FW DAC

**HAND DELIVER RECEIPT**

Patent Department
Boehringer Ingelheim Corp.
900 Ridgebury Road
P.O. Box 368
Ridgefield, CT 06877

I HEREBY CERTIFY THAT THIS CORRESPONDENCE IS BEING HANDELIVERED BY ANNETTE MASIELLO TO THE UNITED STATES PATENT AND TRADEMARK OFFICE ON:

June 7, 2007
Date

By Annette Masiello
Signed,
A. Masiello
A. Masiello

1. Petition for the Correction of Claim of Benefit (3 pages) — Expedited Request
2. Supplemental Application Data Sheet (4 pages)
3. Initial Information Data Sheet (3 pages)
4. Filing Receipt dated 4/11/02 (2 pages)
5. Corrected Filing Receipt dated 3/16/06 (3 pages)
6. Office communication dated 7/8/03 (9 pages)
7. Response to Office communication dated 1/8/04 (41 pages)
8. Office communication dated 4/23/04 (9 pages)
9. Response to Office communication dated 10/21/04 (24 pages)
10. After-Final Amendment dated 3/13/06 (19 pages)
11. Request for Correction of Filing Receipt dated 3/15/06 (6 pages)
12. Advisory Action dated 4/11/06 (4 pages)
13. Request for Correction of Filing Receipt dated 10/6/06 (5 pages)
14. Notice of Allowance dated 1/24/07 (12 pages)
15. Request for Certificate of Correction cover letter (2 pages)
16. Request for Certificate of Correction, Form PTO/SB/44 (1 page)

Expedited Petition

IN THE UNITED STATES PATENT AND TRADEMARK OFFICE

| | | | |
|---|---|---|---|
| Application of | : Himmelsbach, Frank et al. | ) Art Unit: | 1624 |
| U.S. Patent No. | : 7,220,750 | ) Examiner: | Truong, Tamthom N. |
| Issue Date | : May 22, 2007 | | |
| U.S. Appln. No. | : 10/016,280 | | |
| U.S. Filing Date | : December 10, 2001 | | |
| Title of Invention | : Bicyclic Heterocycles, Pharmaceutical Compositions containing these Compounds, Their Use and Processes for Preparing Them | | |
| Attny. Docket No. | : 5/1262 | | |

June 6, 2007

Commissioner for Patents
Mail Stop Petitions
Alexandria, VA 22313-1450

**PETITION FOR THE CORRECTION OF THE CLAIM OF BENEFIT UNDER 37 CFR § 1.78**

Sir:

Applicants respectfully petition for the correction of the claim of benefit for U.S. Patent No. 7,220,750 issued on May 22, 2007. Attached hereto is a Supplemental ADS form with the correct claim to benefit. Applicants' attorney respectfully requests expedited treatment of this petition.

The present patent is a continuation of International Application No. PCT/EP00/05547, filed on June 16, 2000, which claimed the benefit of U.S. Provisional Application No. 60/146,644, filed on July 30, 1999, and two Germany applications, DE199280281.1 and DE10023085.7, filed June 21, 1999 and May 11, 2000, respectively.

U.S. Patent Application No. 10/016,280, which was issued as U.S. Patent No. 7,220,750 on May 22, 2007, was filed on December 10, 2001 with an ADS that indicated it was to be a nationalized application under 35 U.S.C. § 371 of International Application No. PCT/EP00/05547, filed June 21, 2000, and claimed the benefit of U.S. Provisional Application No. 60/146,644, filed on July 30, 1999. However, Applicants' attorney

mistakenly used the wrong transmittal forms, and thus, the application was treated as a continuing application filed under 35 U.S.C. § 111(a). Attached is a copy of the ADS as originally filed (Tab A), the Filing Receipt as issued (Tab B) and the later issued Corrected Filing Receipt (Tab C).

The claim of benefit to the international application and the earlier filed provisional application was repeated in the Declaration which was filed on April 25, 2002. In an Office Action dated July 8, 2003, the Examiner acknowledged receipt of certified copies of the priority documents, but indicated that the specification needed to be amended to recite the relationship of the application to the prior filed applications, as well as a claim of benefit thereto. In a response to this action, Applicants' attorney amended the specification to recite the relationship of the pending application to the earlier filed international application. Attached are copies of said action and Applicants' response thereto (Tabs D and E, respectively).

In a subsequent action dated April 23, 2004, the Examiner acknowledged a claim of benefit to the U.S. Provisional Application No. 60/146,644, filed July 30, 1999, but requested that certified copies of the German applications be submitted. In response to this action, Applicants submitted the certified copies of the Germany applications on October 21, 2004. Attached are copies of the action dated April 23, 2004 and Applicants' response thereto (Tabs F and G, respectively).

Subsequently, Applicants' attorney filed an after-final amendment on March 13, 2006, and therein restated the claim of benefit to the earlier filed applications and requested that the Examiner acknowledge the priority claim. Applicants' attorney also filed a request for a corrected filing receipt in order to correct the claim of benefit on March 15, 2006. In an Advisory Action dated April 11, 2006, the Examiner acknowledged receipt of the certified Germany applications. Attached are copies of the After-Final Amendment, Request for a Corrected Filing Receipt and the Advisory Action (Tabs H, I and J, respectively).

On October 6, 2006, Applicants' attorney filed an RCE and another request to correct the priority data on the Filing Receipt. A Notice of Allowance was issued on January 24, 2007 and attached thereto was an Examiner's amendment, which amended the specification to

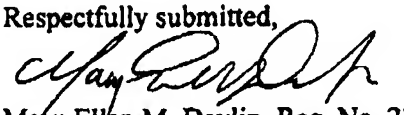
claim the benefit of only the international application. On April 12, 2007, the issue fee was paid. Copies of the second request for a corrected Filing Receipt are attached as well as a copy of the Notice of Allowance (Tabs K and L, respectively).

Based upon the facts as recited above, and evidenced by the attached documents, Applicants' attorney respectfully submits that the delay in attempting to correct the claim of benefit was unintended. Applicants' attorney has also paid the required fee under 37 CFR § 1.17(t) for unintentional delay. Applicants' attorney has also submitted a Supplemental ADS, which correctly identifies U.S. Patent Application No. 10/016,280 as a continuing application of International Patent Application No. PCT/EP00/05547.

The undersigned hereby requests that the Deputy Commissioner for Patent Examination Policy grant this petition.

The Commissioner is hereby authorized to charge any fees due in connection with this Petition for the Correction of the Claim of Benefit Under 37 CFR § 1.78 to Deposit Account No. 02-2955.

Respectfully submitted,



Mary-Ellen M. Devlin, Reg. No. 27,928
Attorney for Applicant(s)

Patent Department
Boehringer Ingelheim Corp.
900 Ridgebury Road, P.O. Box 368
Ridgefield, CT 06877
Tel: (203) 798-4866
Date: June 6, 2007

## SUPPLEMENTAL APPLICATION DATA SHEET

### APPLICATION INFORMATION

| | |
|---|---|
| **Application Number::** | **10/016,280** |
| **Filing Date::** | **December 10, 2001** |
| **Application Type::** | Regular |
| **Subject Matter::** | Utility |
| **CD-ROM or CD-R?::** | None |
| **Number of CD disks::** | 0 |
| **Number of copies of CDs::** | 0 |
| **Sequence submission?::** | None |
| **Computer Readable Form (CRF)?::** | No |
| **Number of copies of CRF::** | 0 |
| **Title::** | Bicyclic heterocycles, pharmaceutical compositions containing these compounds, their use and processes for preparing them |
| **Attorney Docket Number::** | 5/1262 |
| **Request for Early Publication?::** | No |
| **Request for Non-Publication?::** | No |
| **Suggested Drawing Figure::** | **0** |
| **Total Drawing Sheets::** | 0 |
| **Small Entity?::** | No |
| **Petition included?::** | No |
| **Secrecy Order in Parent Appl.?::** | No |

### APPLICANT INFORMATION

| | |
|---|---|
| **Applicant Authority Type::** | Inventor |
| **Primary Citizenship Country::** | Germany |
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| **Given Name::** | Frank |
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| | |
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| **Primary Citizenship Country::** | Germany |
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| **Country of mailing address::** | Germany |
| **Postal or Zip Code of mailing address::** | 88447 |

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| **Applicant Authority Type::** | Inventor |
| **Primary Citizenship Country::** | Germany |
| **Status::** | Full Capacity |
| **Given Name::** | Thomas |
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| **Country of mailing address::** | Germany |
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| | |
|---|---|
| **Applicant Authority Type::** | Inventor |
| **Primary Citizenship Country::** | Switzerland |
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| **Country of mailing address::** | Austria |
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| | |
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| **Applicant Authority Type::** | Inventor |
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| **Country of mailing address::** | Germany |
| **Postal or Zip Code of mailing address::** | 88471 |

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| **Applicant Authority Type::** | Inventor |
| **Primary Citizenship Country::** | Germany |
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| **Country of mailing address::** | Austria |
| **Postal or Zip Code of mailing address::** | A-1050 |

**CORRESPONDENCE INFORMATION**

**Correspondence Customer Number::** 28505

**REPRESENTATIVE INFORMATION**

**Representative Customer Number::** 28505

**DOMESTIC PRIORITY INFORMATION**

| Application:: | Continuity Type:: | Parent Application:: | Parent Filing Date:: |
|---|---|---|---|
| This Application | Continuation | PCT/EP00/05547 | 06/16/2000 |
| PCT/EP00/05547 | an application claiming the benefit under 35 USC 119(e) | 60/146,644 | 07/30/1999 |

**FOREIGN PRIORITY INFORMATION**

| Country:: | Application Number:: | Filing Date:: | Priority Claimed:: |
|---|---|---|---|
| DE | 199 28 281.1 | 06/21/1999 | Yes |
| DE | 100 23 085.7 | 05/11/2000 | Yes |

**ASSIGNEE INFORMATION**

**Assignee name::** Boehringer Ingelheim Pharma GmbH Co. KG

**Street of mailing address::** Binger Strasse 173

**City of mailing address::** Ingelheim

**Country of mailing address::** Germany

**Postal or Zip Code of mailing address::** 55216

# INITIAL INFORMATION DATA SHEET

## Inventor Information:

### Inventor One:

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| Family Name: | Himmelsbach |
| Postal Address Line One: | Ahornweg 16 |
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| Citizenship Country: | DE |

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| State or Province: | Germany |
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| Citizenship Country: | DE |

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| Given Name: | Thomas |
| Family Name: | Metz |
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| State or Province: | Austria |
| Postal or Zip Code: | 1030 |
| Citizenship Country: | AT |

### Inventor Four:

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| Family Name: | Solca |
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| State or Province: | Austria |
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| Citizenship Country: | CH |

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|---|---|
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| Family Name: | Jung |
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| City: | Schwabenheim |
| State or Province: | Germany |
| Postal or Zip Code: | 55270 |
| Citizenship Country: | DE |

**Inventor Six:**

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|---|---|
| Given Name: | Anke |
| Family Name: | Baum |
| Postal Address Line One: | Herminengasse 10/12 |
| City: | Wien |
| State or Province: | Austria |
| Postal or Zip Code: | 1020 |
| Citizenship Country: | AT |

**Correspondence Information:**

**Customer Number or Barcode Label:**

28505

PATENT TRADEMARK OFFICE

**Application Information:**

| | |
|---|---|
| Title Line One: | Bicyclic Heterocycles, pharmaceutical |
| Title Line Two: | compositions containing these compounds, |
| Title Line Three: | their use and processes for preparing them |
| Total Drawing Sheets: | 0 |
| Formal Drawings?: | N/A |
| Application Type: | Utility |
| Docket No.: | 5/1262 |

**Continuity Information:**

| | |
|---|---|
| This application is a: | Non-Provisional of Provisional |
| >Application One: | 60/146,644 |
| Filing Date: | July 30, 1999 |
| This application is a: | 371of |
| >Application Two: | PCT/EP00/05547 |
| Filing Date: | June 21, 1999 |

Prior Foreign Applications:

| | |
|---|---|
| Foreign Application One: | 199 28 281.1 |
| Filing Date: | June 21, 1999 |
| Country: | DE |
| Priority Claimed: | Yes |

| | |
|---|---|
| Foreign Application Two: | 100 23 085.7 |
| Filing Date: | May 11, 2000 |
| Country: | DE |
| Priority Claimed: | Yes |

TXW

UNITED STATES PATENT AND TRADEMARK OFFICE

COMMISSIONER FOR PATENTS
UNITED STATES PATENT AND TRADEMARK OFFICE
WASHINGTON, D.C. 20231
www.uspto.gov

| APPLICATION NUMBER | FILING DATE | GRP ART UNIT | FIL FEE REC'D | ATTY.DOCKET.NO | DRAWINGS | TOT CLAIMS | IND CLAIMS |
|---|---|---|---|---|---|---|---|
| 10/016,280 | 12/10/2001 | 1619 | 1038 | 5/1262 | | 11 | 1 |

**CONFIRMATION NO. 7351**

28505
BOEHRINGER INGELHEIM CORPORATION
900 RIDGEBURY ROAD
P. O. BOX 368
RIDGEFIELD, CT 06877

**FILING RECEIPT**

*OC000000007851317*

Date Mailed: 04/11/2002

Receipt is acknowledged of this nonprovisional Patent Application. It will be considered in its order and you will be notified as to the results of the examination. Be sure to provide the U.S. APPLICATION NUMBER, FILING DATE, NAME OF APPLICANT, and TITLE OF INVENTION when inquiring about this application. Fees transmitted by check or draft are subject to collection. Please verify the accuracy of the data presented on this receipt. **If an error is noted on this Filing Receipt, please write to the Office of Initial Patent Examination's Customer Service Center. Please provide a copy of this Filing Receipt with the changes noted thereon. If you received a "Notice to File Missing Parts" for this application, please submit any corrections to this Filing Receipt with your reply to the Notice. When the USPTO processes the reply to the Notice, the USPTO will generate another Filing Receipt incorporating the requested corrections (if appropriate).**

**Applicant(s)**

Frank Himmelsbach, Mittelbiberach, GERMANY;
Elke Langkopf, Warthausen, GERMANY;
Thomas Metz, Wien, AUSTRIA;
Flavio Solca, Wien, AUSTRIA;
Birgit Jung, Schwabenheim, GERMANY;
Anke Baum, Wien, AUSTRIA;



**Domestic Priority data as claimed by applicant**

THIS APPLN CLAIMS BENEFIT OF 60/146,644 07/30/1999

**Foreign Applications**

GERMANY 199 28 281.1 06/21/1999
GERMANY 100 23 085.7 05/11/2000

**If Required, Foreign Filing License Granted** 04/10/2002

**Projected Publication Date:** To Be Determined - pending completion of Missing Parts

**Non-Publication Request:** No

**Early Publication Request:** No



**Title**

Bicyclic heterocycles, pharmaceutical compositions containing these compounds, their use and processes for preparing them

**Preliminary Class**

424

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## Title 35, United States Code, Section 184
## Title 37, Code of Federal Regulations, 5.11 & 5.15

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UNITED STATES DEPARTMENT OF COMMERCE
United States Patent and Trademark Office
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Alexandria, Virginia 22313-1450
www.uspto.gov

| APPL NO. | FILING OR 371 (c) DATE | ART UNIT | FIL FEE REC'D | ATTY.DOCKET NO | DRAWINGS | TOT CLMS | IND CLMS |
|---|---|---|---|---|---|---|---|
| 10/016,280 | 12/10/2001 | 1624 | 1438 | 5/1262 | | 11 | 1 |

**CONFIRMATION NO. 7351**

**CORRECTED FILING RECEIPT**

*OC000000018304916*

28505
MICHAEL P. MORRIS
BOEHRINGER INGELHEIM CORPORATION
900 RIDGEBURY ROAD
P. O. BOX 368
RIDGEFIELD, CT 06877-0368

Date Mailed: 03/16/2006

Receipt is acknowledged of this regular Patent Application. It will be considered in its order and you will be notified as to the results of the examination. Be sure to provide the U.S. APPLICATION NUMBER, FILING DATE, NAME OF APPLICANT, and TITLE OF INVENTION when inquiring about this application. Fees transmitted by check or draft are subject to collection. Please verify the accuracy of the data presented on this receipt. **If an error is noted on this Filing Receipt, please mail to the Commissioner for Patents P.O. Box 1450 Alexandria Va 22313-1450. Please provide a copy of this Filing Receipt with the changes noted thereon. If you received a "Notice to File Missing Parts" for this application, please submit any corrections to this Filing Receipt with your reply to the Notice. When the USPTO processes the reply to the Notice, the USPTO will generate another Filing Receipt incorporating the requested corrections (if appropriate).**

**Applicant(s)**

Frank Himmelsbach, Mittelbiberach, GERMANY;
Elke Langkopf, Warthausen, GERMANY;
Thomas Metz, Wien, AUSTRIA;
Flavio Solca, Wien, AUSTRIA;
Birgit Jung, Schwabenheim, GERMANY;
Anke Baum, Alland, AUSTRIA;



**Power of Attorney:**

Robert Raymond--25089
Mary-Ellen Devlin--27928
Alan Stempel--28991
Timothy Witkowski--40232
Philip Datlow--41482
Anthony Bottino--41629
Susan Pocchiari--45016

**Domestic Priority data as claimed by applicant**

This application is a CON of PCT/EP00/05547 06/16/2000

**Foreign Applications**

GERMANY 199 28 281.1 06/21/1999
GERMANY 100 23 085.7 05/11/2000

**If Required, Foreign Filing License Granted:** 04/10/2002

**The country code and number of your priority application, to be used for filing abroad under the Paris Convention, is US10/016,280**

**Projected Publication Date:** Not Applicable

**Non-Publication Request:** No

**Early Publication Request:** No

**Title**

Bicyclic heterocycles, pharmaceutical compositions containing these compounds, their use and processes for preparing them

**Preliminary Class**

544

## PROTECTING YOUR INVENTION OUTSIDE THE UNITED STATES

Since the rights granted by a U.S. patent extend only throughout the territory of the United States and have no effect in a foreign country, an inventor who wishes patent protection in another country must apply for a patent in a specific country or in regional patent offices. Applicants may wish to consider the filing of an international application under the Patent Cooperation Treaty (PCT). An international (PCT) application generally has the same effect as a regular national patent application in each PCT-member country. The PCT process **simplifies** the filing of patent applications on the same invention in member countries, but **does not result** in a grant of "an international patent" and does not eliminate the need of applicants to file additional documents and fees in countries where patent protection is desired.

Almost every country has its own patent law, and a person desiring a patent in a particular country must make an application for patent in that country in accordance with its particular laws. Since the laws of many countries differ in various respects from the patent law of the United States, applicants are advised to seek guidance from specific foreign countries to ensure that patent rights are not lost prematurely.

Applicants also are advised that in the case of inventions made in the United States, the Director of the USPTO must issue a license before applicants can apply for a patent in a foreign country. The filing of a U.S. patent application serves as a request for a foreign filing license. The application's filing receipt contains further information and guidance as to the status of applicant's license for foreign filing.

Applicants may wish to consult the USPTO booklet, "General Information Concerning Patents" (specifically, the section entitled "Treaties and Foreign Patents") for more information on timeframes and deadlines for filing foreign patent applications. The guide is available either by contacting the USPTO Contact Center at 800-786-9199, or it can be viewed on the USPTO website at http://www.uspto.gov/web/offices/pac/doc/general/index.html.

For information on preventing theft of your intellectual property (patents, trademarks and copyrights), you may wish to consult the U.S. Government website, http://www.stopfakes.gov. Part of a Department of Commerce initiative, this website includes self-help "toolkits" giving innovators guidance on how to protect intellectual property in specific countries such as China, Korea and Mexico. For questions regarding patent enforcement issues, applicants may call the U.S. Government hotline at 1-866-999-HALT (1-866-999-4158).

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**Title 37, Code of Federal Regulations, 5.11 & 5.15**

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This license is to be retained by the licensee and may be used at any time on or after the effective date thereof unless it is revoked. This license is automatically transferred to any related applications(s) filed under 37 CFR 1.53(d). This license is not retroactive.

The grant of a license does not in any way lessen the responsibility of a licensee for the security of the subject matter as imposed by any Government contract or the provisions of existing laws relating to espionage and the national security or the export of technical data. Licensees should apprise themselves of current regulations especially with respect to certain countries, of other agencies, particularly the Office of Defense Trade Controls, Department of State (with respect to Arms, Munitions and Implements of War (22 CFR 121-128)); the Bureau of Industry and Security, Department of Commerce (15 CFR parts 730-774); the Office of Foreign Assets Control, Department of Treasury (31 CFR Parts 500+) and the Department of Energy.

**NOT GRANTED**

No license under 35 U.S.C. 184 has been granted at this time, if the phrase "IF REQUIRED, FOREIGN FILING LICENSE GRANTED" DOES NOT appear on this form. Applicant may still petition for a license under 37 CFR 5.12, if a license is desired before the expiration of 6 months from the filing date of the application. If 6 months has lapsed from the filing date of this application and the licensee has not received any indication of a secrecy order under 35 U.S.C. 181, the licensee may foreign file the application pursuant to 37 CFR 5.15(b).

d SKP

UNITED STATES PATENT AND TRADEMARK OFFICE

UNITED STATES DEPARTMENT OF COMMERCE
United States Patent and Trademark Office
Address: COMMISSIONER FOR PATENTS
P.O. Box 1450
Alexandria, Virginia 22313-1450
www.uspto.gov

| APPLICATION NO. | FILING DATE | FIRST NAMED INVENTOR | ATTORNEY DOCKET NO. | CONFIRMATION NO. |
|---|---|---|---|---|
| 10/016,280 | 12/10/2001 | Frank Himmelsbach | 5/1262 | 7351 |

28505 7590 07/08/2003

BOEHRINGER INGELHEIM CORPORATION
900 RIDGEBURY ROAD
P. O. BOX 368
RIDGEFIELD, CT 06877

10-8-03
1-08-04 LAST DAY

| EXAMINER | |
|---|---|
| PATEL, SUDHAKER B | |
| ART UNIT | PAPER NUMBER |
| 1624 | |

DATE MAILED: 07/08/2003

Please find below and/or attached an Office communication concerning this application or proceeding.

| Office Action Summary | Application No. | Applicant(s) | |
|---|---|---|---|
| | 10/016,280 | HIMMELSBACH ET AL. | |
| | Examiner | Art Unit | |
| | Sudhaker B. Patel, D.Sc.Tech. | 1624 | |

*-- The MAILING DATE of this communication appears on the cover sheet with the correspondence address --*

**Period for Reply**

A SHORTENED STATUTORY PERIOD FOR REPLY IS SET TO EXPIRE 3 MONTH(S) FROM THE MAILING DATE OF THIS COMMUNICATION.

- Extensions of time may be available under the provisions of 37 CFR 1.136(a). In no event, however, may a reply be timely filed after SIX (6) MONTHS from the mailing date of this communication.
- If the period for reply specified above is less than thirty (30) days, a reply within the statutory minimum of thirty (30) days will be considered timely.
- If NO period for reply is specified above, the maximum statutory period will apply and will expire SIX (6) MONTHS from the mailing date of this communication.
- Failure to reply within the set or extended period for reply will, by statute, cause the application to become ABANDONED (35 U.S.C. § 133).
- Any reply received by the Office later than three months after the mailing date of this communication, even if timely filed, may reduce any earned patent term adjustment. See 37 CFR 1.704(b).

**Status**

1)☒ Responsive to communication(s) filed on *04 June 2003* .

2a)☐ This action is **FINAL**. 2b)☒ This action is non-final.

3)☐ Since this application is in condition for allowance except for formal matters, prosecution as to the merits is closed in accordance with the practice under *Ex parte Quayle*, 1935 C.D. 11, 453 O.G. 213.

**Disposition of Claims**

4)☒ Claim(s) *1-11* is/are pending in the application.

4a) Of the above claim(s) _____ is/are withdrawn from consideration.

5)☐ Claim(s) _____ is/are allowed.

6)☒ Claim(s) *1-7* is/are rejected.

7)☒ Claim(s) *8-11* is/are objected to.

8)☐ Claim(s) _____ are subject to restriction and/or election requirement.

**Application Papers**

9)☐ The specification is objected to by the Examiner.

10)☐ The drawing(s) filed on _____ is/are: a)☐ accepted or b)☐ objected to by the Examiner.

Applicant may not request that any objection to the drawing(s) be held in abeyance. See 37 CFR 1.85(a).

11)☐ The proposed drawing correction filed on _____ is: a)☐ approved b)☐ disapproved by the Examiner.

If approved, corrected drawings are required in reply to this Office action.

12)☐ The oath or declaration is objected to by the Examiner.

**Priority under 35 U.S.C. §§ 119 and 120**

13)☒ Acknowledgment is made of a claim for foreign priority under 35 U.S.C. § 119(a)-(d) or (f).

a)☒ All b)☐ Some * c)☐ None of:

1.☒ Certified copies of the priority documents have been received.

2.☐ Certified copies of the priority documents have been received in Application No. _____ .

3.☐ Copies of the certified copies of the priority documents have been received in this National Stage application from the International Bureau (PCT Rule 17.2(a)).

* See the attached detailed Office action for a list of the certified copies not received.

14)☐ Acknowledgment is made of a claim for domestic priority under 35 U.S.C. § 119(e) (to a provisional application).

a) ☐ The translation of the foreign language provisional application has been received.

15)☐ Acknowledgment is made of a claim for domestic priority under 35 U.S.C. §§ 120 and/or 121.

**Attachment(s)**

1) ☒ Notice of References Cited (PTO-892)
2) ☐ Notice of Draftsperson's Patent Drawing Review (PTO-948)
3) ☒ Information Disclosure Statement(s) (PTO-1449) Paper No(s) *2* .
4) ☐ Interview Summary (PTO-413) Paper No(s). _____ .
5) ☐ Notice of Informal Patent Application (PTO-152)
6) ☐ Other: .

## DETAILED ACTION

Applicants' communication paper # 7 dated 6/4/03 is acknowledged.

### *Election/Restrictions*

1. Because applicants did not distinctly and specifically point out the supposed errors in the restriction/election requirement, the election has been treated as an election without traverse (MPEP 818.03(a)).

Applicants have elected species of generic Formula (I) of claim 1, namely, compound of Example 3 recited in page 44 lines 20-22 (= 4-[(3-Chloro-4-fluorophenyl) amino]-6-{[4-(N,N-diethylamino)-oxo-2-buten-1-yl]amino}-7-ccyclopropylmethoxyquinazoline), claims (in part) 1-11, drawn to compounds, compositions, method of use, and the first recited process of making the same for the generic Formula (I).

Applicants are reminded of the election of species guidelines provided in MPEP 803.02, which are followed for the examination.

The elected species of compound of Example 3 as stated earlier has following meanings for variables in the generic Formula (I) of claim 1:

| | |
|---|---|
| X | = N; |
| A | = imino(= -NH-); |
| B | = -CO-; |
| C | = 1,2-vinylene( = -CH=CH-); |
| D | = methylene (= - CH2-); |
| E | = diethylamino; |
| Ra | =H; |
| Rb | =phenyl substituted by R1 = H, R2 = F, in 4 position of phenyl, and R3 = Cl in 3-position of phenyl; |
| Rc | =-O-(alkyl)-cycloalkyl (= cycloalkyl-alkoxy-). |

Initial search with above definitions of the variables for the species did reveal prior art(s). Therefore, the. search was limited to meanings of variables as stated above. All other definitions of variables than stated above are excluded from further consideration. 37 CFR 1.142(b).

This application has been found to contain more than one invention. Therefore, the requirement is still deemed proper and is therefore maintained.

First action on merits follows.

**2.** ***Priority***

1. Receipt is acknowledged of papers submitted under 35 U.S.C. 119(a)-(d), which papers have been placed of record in the file.

2. Acknowledgment is made of applicant's claim for priority under 35 U.S.C. 119(a)-(d) based upon an application filed in Germany on 6/21/1999. A claim for priority under 35 U.S.C. 119(a)-(d) cannot be based on said application, since the United States application was filed more than twelve months thereafter.

3. It is noted that this application appears to claim subject matter disclosed in prior Application No. 60146644, filed 7/30/1999. A reference to the prior application must be inserted as the first sentence of the specification of this application or in an application data sheet (37 CFR 1.76), if applicant intends to rely on the filing date of the prior application under 35 U.S.C. 119(e) or 120. See 37 CFR 1.78(a). For benefit claims under 35 U.S.C. 120, the reference must include the relationship (i.e., continuation, divisional, or continuation-in-part) of all nonprovisional applications. Also, the current status of all nonprovisional parent applications referenced should be included.

If the application is a utility or plant application filed under 35 U.S.C. 111(a) on or after November 29, 2000, the specific reference to the prior application must be submitted during the pendency of the application and within the later of four months from the actual filing date of the application or sixteen months from the filing date of the prior application. If the application is a utility or plant application which entered the national stage from an international application filed on or after November 29, 2000, after compliance with 35 U.S.C. 371, the specific reference must be submitted during the pendency of the application and within the later of four months from the date on

which the national stage commenced under 35 U.S.C. 371(b) or (f) or sixteen months from the filing date of the prior application. See 37 CFR 1.78(a)(2)(ii) and (a)(5)(ii). This time period is not extendable and a failure to submit the reference required by 35 U.S.C. 119(e) and/or 120, where applicable, within this time period is considered a waiver of any benefit of such prior application(s) under 35 U.S.C. 119(e), 120, 121 and 365(c). A priority claim filed after the required time period may be accepted if it is accompanied by a grantable petition to accept an unintentionally delayed claim for priority under 35 U.S.C. 119(e), 120, 121 and 365(c). The petition must be accompanied by (1) the reference required by 35 U.S.C. 120 or 119(e) and 37 CFR 1.78(a)(2) or (a)(5) to the prior application (unless previously submitted), (2) a surcharge under 37 CFR 1.17(t), and (3) a statement that the entire delay between the date the claim was due under 37 CFR 1.78(a)(2) or (a)(5) and the date the claim was filed was unintentional. The Director may require additional information where there is a question whether the delay was unintentional. The petition should be addressed to: Mail Stop Petition, Commissioner for Patents, P.O. Box 1450, Alexandria, Virginia 22313-1450.

***Specification***

**3.** The disclosure is objected to because of the following informalities: The continuity information recited as :" This application is a 371 of PCT/EP00/05547 filed 6/21/1999" is not proper. The Office record for this application does not indicate the relationship between the above stated applications, namely, the U.S. Provisional Application Sr. No. 60/14664 filed 7/30/199; The PCT/EPOO/05547 filed 6/21/1999; DE 19928281.1 filed 6/21/1999, and DE10023 085.7 filed 5/11/2000.

Appropriate correction is required.

***Claims objections***

**4.** Claims 8-11 are objected to under 37 CFR 1.75(c) as being in improper form because a multiple dependent claim 7. See MPEP § 608.01(n). Accordingly, the claims have not been further treated on the merits.

***Claim Rejections - 35 USC § 112***

**5.** The following is a quotation of the second paragraph of 35 U.S.C. 112:

> The specification shall conclude with one or more claims particularly pointing out and distinctly claiming the subject matter which the applicant regards as his invention.

Claims 1-7 are rejected under 35 U.S.C. 112, second paragraph, as being indefinite for failing to particularly point out and distinctly claim the subject matter which applicant regards as the invention.

(A). Claims 1-5 recite:" Bicyclic heterocycles of general Formula: Correction to A quinqzoline compound of Formula". Is required.

(B). Claims 1-5 recite (where applicable) at the end of the claims: " the tautomets, stereoisomers and salts there of". Such recitation includes other compounds/mixtures those are not claimed. Correction to: " or the tautomers, or stereoisomers or pharmaceutically acceptable salts thereof" is required.

( C ). Claim 6 recites specific compounds and is ending as : " as well as the salts thereof". It is not very clear as to what applicants want to claim. The recitation includes mixture of compound also. Correction to : " or pharmaceutically acceptable salts thereof" is required.

(D). Claim 7 recites: " Physiologically acceptable salts of the compounds... to at least one of claims ....". Correction to Pharmaceutically acceptable salts of the compounds of claims 1 to 6..." is required.

***Claim Rejections - 35 USC § 103***

**6.** The following is a quotation of 35 U.S.C. 103(a) which forms the basis for all obviousness rejections set forth in this Office action:

> (a) A patent may not be obtained though the invention is not identically disclosed or described as set forth in section 102 of this title, if the differences between the subject matter sought to be patented and the prior art are such that the subject matter as a whole would have been obvious at the time the invention was made to a person having ordinary skill in the art to which said subject matter pertains. Patentability shall not be negatived by the manner in which the invention was made.

Claims 1-7 are rejected under 35 U.S.C. 103(a) as being unpatentable over Barker A. J. ( EP 566226, also cited as Chemical Abstract DN 120:217715) as applied to claims above, and further in view of Bridges et al ( WO 9738983).

Applicants are claiming substituted quinazolines, pharmaceutical compositions, and their use as inhibitors of Tyrosine kinase for treating diseases consisting of tumoral diseases, diseases of lungs and respiratory tract and others.

Ref. '226 is teaching making of quinazoline tyrosine kinase-inhibiting anticancer agents.

The ref. '226 chemical core is:

4,6-Quinazolinediamine, 7-methoxy-N-4-(3methylphenyl). See compound having CAS RN # 153437-18-4.

The ref. '226 differs from the instant claims by having CH3-O- instead of –O-CH2-Cycloclkyl, and –NH2 instead of –NH-CO-CH=CH-CH2-N(alkyl)2.

The other ref. '983 teaches making of irreversible inhibitors of tyrosine kinase. See compound of Example 55 on page 123, and the compounds of claim 1. on pages 152-154.

The ref. '983 teaches modification(s) of the 6-NH2 group to –NH-CO-CH=CH—CH2-N(alkyl)2 or NH-CO-CH=CH—CH2-NH2 or NH-CO-CH=CH—CH2-NH(alkyl) as claimed herein.

However, it would have been obvious to one having ordinary skill in the art at the time of the invention to select any of the species of the genus taught by the reference 'Barker i.e. substituted quinazoline with free 6-NH2 and condense with maleic anhydride to get –NHCO-CH=CH-COOH, and mody fy the end group as taught by rwef. ' 983 because an ordinary artisan would have the reasonable expectation that any of the species of the genus would have similar properties, and thus, the same use as the genus as a whole.

The 4-phenyl-substituted quinazoline derivatives were known prior to 6/21/1999.

Also modification of 6-NH2 group on to quinazolines were known, and compounds so obtained are having pharmacological activity as inhibitors of Tyrosan Kinase.. This pharmaceutical activity has been retained by compounds of both of the references.

It has been held that a prior art disclosed genus of useful compounds is sufficient to render prima facie obvious a species falling within the genus. In re Susi, 440 F.2d 442, 445, 169 USPQ 423, 425 (CCPA 1971), followed by the Federal Circuit in Mark & Co. V.s. Biocraft Laboratories, 874 F.2d 804, 10 USPQ 2d 1943, 1846 (Fed. Cir. 1989).

Applicants are claiming their compounds as novel. However, they are differing by having cycloalkyl instead of alkyl substitution on to 7-OH group of quinazoline and such compounds involve close structural similarity with the prior art(s) as cited above. The 6-membered N-contain ring will provide isomers with 2 N atoms participating with a double bond either between themselves or with adjacent carbon atom(s). Applicants have not shown that their novel useful compounds, which are structurally similar to prior art(s), possessed some unobvious or unexpected beneficial property not possessed by the prior art compound(s). In re Norris (CCPA 1950) 179 F2 970, 84 USPQ 458.

***Conclusion***

7. Any inquiry concerning this communication or earlier communications from the examiner should be directed to Sudhaker B. Patel, D.Sc.Tech. whose telephone number is 703 308 4709.

The examiner can normally be reached on 6:30 to 5:00 pm (Monday-Thursday).If attempts to reach the examiner by telephone are unsuccessful, the examiner's supervisor, Dr. Mukund J. Shah can be reached on 703 308 4716 or Sr. Examiner Mr. Richard Raymond at (703) 308 4523.

The fax phone numbers for the organization where this application or proceeding is assigned are 703 308 4556 for regular communications and 703 308 4556 for After Final communications.

Any inquiry of a general nature or relating to the status of this application or proceeding should be directed to the receptionist whose telephone number is 703 308 1235.

Sudhaker B.Patel, D.Sc.Tech.
July 1, 2003.

MUKUND SHAH
SUPERVISORY PATENT
EXAMINER
ART UNIT 1624

**IN THE UNITED STATES PATENT AND TRADEMARK OFFICE**

| | | |
|---|---|---|
| Application of | : Himmelsbach, F. et al | ) Art Unit: 1624 |
| Serial No. | : 10/016,280 | ) Examiner: Patel, S. |
| Confirmation No. | : 7351 | |
| Filed | : December 10, 2001 | |
| For | : Bicyclic heterocycles, pharmaceutical compositions containing these compounds, their use and processes for preparing them | |
| Docket No. | : 5/1262 | |

Commissioner for Patents
P.O. Box 1450
Alexandria, VA 22313-1450

**REPLY WITH AMENDMENT UNDER 37 U.S.C. § 1.111**

Sir:

In response to the Office Action mailed on July 8, 2003, please consider the remarks and enter the amendments below. Submitted herewith is: (a) a Petition for Extension of Time for three months up to and including January 8, 2004, together with the necessary fee; (b) a copy of the Declaration for Utility or Design Application, in three counterparts; and (c) a new Application Data Sheet.

**Amendments to the specification** begin on page 2 of this paper.

**Amendments to the claims** are reflected in the listing of claims which begins on page 3 of this paper.

**Remarks** begin on page 22 of this paper.

**Amendments to the Specification:**

Please add the following new paragraph after the title on page 1:

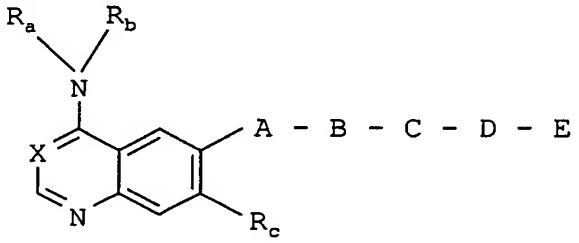
This application is a continuation of International Application PCT/EP00/05547 (WO 00/78735) filed on June 16, 2000 which claims benefit to prior U.S. Provisional Application 60/146,644, filed July 30, 1999 and prior German Application Nos. DE 199 28 281 and DE 100 23 085, filed June 21, 1999 and May 11, 2000, respectively. Benefit of the earlier filing date of the prior International Application is hereby claimed pursuant to 35 U.S.C. § 365(c).

**Amendments to the Claims:**

This listing of claims will replace all prior versions and listing of claims in the application:

**Listing of Claims:**

1. (currently amended) ~~Bicyclic heterocycles~~ A quinazoline compound of ~~general~~ formula




, (I)

wherein

$R_a$ denotes a hydrogen atom or a $C_{1-4}$-alkyl group,

$R_b$ denotes a phenyl, benzyl or 1-phenylethyl group wherein the phenyl nucleus is substituted in each case by the groups $R_1$ to $R_3$, whilst

$R_1$ and $R_2$, which may be identical or different, in each case denote a hydrogen, fluorine, chlorine, bromine or iodine atom,

a $C_{1-4}$-alkyl, hydroxy, $C_{1-4}$-alkoxy, $C_{3-6}$-cycloalkyl, $C_{4-6}$-cycloalkoxy, $C_{2-5}$-alkenyl or $C_{2-5}$-alkynyl group,

an aryl, aryloxy, arylmethyl or arylmethoxy group,

a $C_{3-5}$-alkenyloxy or $C_{3-5}$-alkynyloxy group, whilst the unsaturated moiety may not be linked to the oxygen atom,

a $C_{1-4}$-alkylsulfenyl, $C_{1-4}$-alkylsulfinyl, $C_{1-4}$-alkylsulfonyl, $C_{1-4}$-alkylsulfonyloxy, trifluoromethylsulfenyl, trifluoromethylsulfinyl or trifluoromethylsulfonyl group,

a methyl or methoxy group substituted by 1 to 3 fluorine atoms,

an ethyl or ethoxy group substituted by 1 to 5 fluorine atoms,

a cyano or nitro group or an amino group optionally substituted by one or two $C_{1-4}$-alkyl groups, wherein the substituents may be identical or different, or

$R_1$ together with $R_2$, if they are bound to adjacent carbon atoms, denote a -CH=CH-CH=CH~~, -CH=CH-NH or -CH=N-NH~~ group and

$R_3$ denotes a hydrogen, fluorine, chlorine or bromine atom,

a $C_{1-4}$-alkyl, trifluoromethyl or $C_{1-4}$-alkoxy group,

X denotes ~~a methine group substituted by a cyano group or~~ a nitrogen atom,

A denotes an imino group optionally substituted by a $C_{1-4}$-alkyl group,

B denotes a carbonyl ~~or sulfonyl~~ group,

C denotes a 1,3-allenylene, 1,1- or 1,2-vinylene group which may be substituted in each case by one or two methyl groups or by a trifluoromethyl group,

an ethynylene group or

a 1,3-butadien-1,4-ylene group optionally substituted by 1 to 4 methyl groups or by a trifluoromethyl group,

D denotes an alkylene~~, -CO-alkylene or -$SO_2$-alkylene~~ group wherein the alkylene moiety ~~in each case~~ contains 1 to 8 carbon atoms and additionally 1 to 4 hydrogen atoms in the alkylene moiety may be replaced by fluorine atoms, ~~whilst the linking of the -CO-alkylene or -$SO_2$-alkylene group to the adjacent group C in each case must take place via the carbonyl or sulfonyl group,~~

~~a -CO-O-alkylene, -CO-NR$_4$-alkylene or -SO$_2$-NR$_4$-alkylene group wherein the alkylene moiety in each case contains 1 to 8 carbon atoms, whilst the linking to the adjacent group C in each case must take place via the carbonyl or sulfonyl group, wherein~~

~~R$_4$ denotes a hydrogen atom or a C$_{1-4}$-alkyl group,~~

~~or, if D is bound to a carbon atom of the group E, it may also denote a bond~~

~~or, if D is bound to a nitrogen atom of the group E, it may also denote a carbonyl or sulfonyl group,~~

E denotes an amino, C$_{1-4}$-alkylamino or di-(C$_{1-4}$-alkyl)-amino group wherein the alkyl moieties may be identical or different,

a C$_{2-4}$-alkylamino group wherein the alkyl moiety is substituted in β-, γ-, or δ-position with regard to the nitrogen atom of the amino group by the group R$_5$, whilst

R$_5$ denotes a hydroxy, C$_{1-4}$-alkoxy, amino, C$_{1-4}$-alkylamino or di-(C$_{1-4}$-alkyl)-amino group,

~~a 4- to 7-membered alkyleneimino group optionally substituted by one or two methyl groups or~~

~~a 6- to 7-membered alkyleneimino group optionally substituted by one or two methyl groups wherein in each case a methylene group in position 4 is replaced by an oxygen or sulfur atom, by a sulfinyl, sulfonyl, imino or N-(C$_{1-4}$-alkyl)-imino group,~~

an N-(C$_{1-4}$-alkyl)-N-(C$_{2-4}$-alkyl)-amino group wherein the C$_{2-4}$-alkyl moiety is substituted in β-, γ-, or δ-position with regard to the nitrogen atom of the amino group by the group R$_5$, whilst R$_5$ is as hereinbefore defined,

a di-($C_{2-4}$-alkyl)-amino group wherein the two $C_{2-4}$-alkyl moieties are substituted in each case in β-, γ-, or δ-position with regard to the nitrogen atom of the amino group by the group $R_5$, whilst the substituents may be identical or different and $R_5$ is as hereinbefore defined,

a $C_{3-7}$-cycloalkylamino or $C_{3-7}$-cycloalkyl-$C_{1-3}$-alkylamino group wherein in each case the nitrogen atom may be substituted by a further $C_{1-4}$-alkyl group,

~~an amino or $C_{1-4}$-alkylamino group wherein in each case the nitrogen atom is substituted by a tetrahydrofuran-3-yl, tetrahydropyran-3-yl, tetrahydropyran-4-yl, tetrahydrofuranylmethyl, 1-(tetrahydrofuran-3-yl)-piperidin-4-yl, 1-(tetrahydropyran-3-yl)-piperidin-4-yl, 1-(tetrahydropyran-4-yl)-piperidin-4-yl, 3-pyrrolidinyl, 3-piperidinyl, 4-piperidinyl, 3-hexahydro-azepinyl or 4-hexahydro-azepinyl group optionally substituted by 1 to 3 $C_{1-4}$-alkyl groups,~~

~~a 4- to 7-membered alkyleneimino group optionally substituted by 1 to 4 $C_{1-2}$-alkyl groups, which may be substituted by the group $R_5$ either at a cyclic carbon atom or at one of the alkyl groups, whilst $R_5$ is as hereinbefore defined,~~

~~a piperidino group substituted by a tetrahydrofuranyl, tetrahydropyranyl or tetrahydrofuranylmethyl group,~~

~~a 6- to 7-membered alkyleneimino group optionally substituted by 1 or 2 $C_{1-2}$-alkyl groups wherein a methylene group in each case is replaced in the 4-position by an oxygen or sulfur atom, by an imino group substituted by the group $R_6$, or by a sulfinyl or sulfonyl group, whilst~~

~~$R_6$ denotes a hydrogen atom, a $C_{1-4}$-alkyl, 2-methoxy-ethyl, 3-methoxy-propyl, $C_{3-7}$-cycloalkyl, $C_{3-7}$-cycloalkyl-$C_{1-4}$-alkyl, tetrahydrofuran-3-yl, tetrahydropyran-3-yl, tetrahydropyran-4-yl, tetrahydrofuranylmethyl, formyl, $C_{1-4}$-alkylcarbonyl, $C_{1-4}$-alkylsulfonyl, aminocarbonyl, $C_{1-4}$-alkylaminocarbonyl or di-($C_{1-4}$-alkyl)-aminocarbonyl group,~~

~~an imidazolyl group optionally substituted by 1 to 3 methyl groups,~~

~~a $C_{5-7}$-cycloalkyl group wherein a methylene group is replaced by an oxygen or sulfur atom, by an imino group substituted by the group $R_6$, by a sulfinyl or sulfonyl group, whilst $R_6$ is as hereinbefore defined,~~

~~or D together with E denotes a hydrogen, fluorine or chlorine atom,~~

~~a $C_{1-4}$-alkyl group optionally substituted by 1 to 5 fluorine atoms,~~

~~a $C_{3-6}$-cycloalkyl group,~~

~~an aryl, heteroaryl, $C_{1-4}$-alkylcarbonyl or arylcarbonyl group,~~

~~a carboxy, $C_{1-4}$-alkoxycarbonyl, aminocarbonyl, $C_{1-4}$-alkylaminocarbonyl or di-($C_{1-4}$-alkyl)-aminocarbonyl group or~~

~~a carbonyl which is substituted by a 4- to 7-membered alkyleneimino group, whilst in the abovementioned 6- to 7-membered alkyleneimino groups in each case a methylene group may be replaced in the 4 position by an oxygen or sulfur atom, by an imino group substituted by the group $R_6$, by a sulfinyl or sulfonyl group, whilst $R_6$ is as hereinbefore defined, and~~

$R_c$ denotes a $C_{4-7}$-cycloalkoxy or $C_{3-7}$-cycloalkyl-$C_{1-6}$-alkoxy group wherein the cycloalkyl moiety in each case may be substituted by a $C_{1-3}$-alkyl, hydroxy, $C_{1-4}$-alkoxy, amino, $C_{1-4}$-alkylamino, di-($C_{1-4}$-alkyl)-amino, ~~pyrrolidino, piperidino, morpholino, piperazino, N-($C_{1-2}$-alkyl)-piperazino,~~ hydroxy-$C_{1-2}$-alkyl, $C_{1-4}$-alkoxy-$C_{1-2}$-alkyl, amino-$C_{1-2}$-alkyl, $C_{1-4}$-alkylamino-$C_{1-2}$-alkyl, or di-($C_{1-4}$-alkyl)-amino-$C_{1-2}$-alkyl, ~~pyrrolidino-$C_{1-2}$-alkyl, piperidino-$C_{1-2}$-alkyl, morpholino-$C_{1-2}$-alkyl, piperazino-$C_{1-2}$-alkyl or N-($C_{1-2}$-alkyl)-piperazino-$C_{1-2}$-alkyl~~ group, whilst the abovementioned monosubstituted cycloalkyl moieties may additionally be substituted by a $C_{1-3}$-alkyl group,

~~a tetrahydrofuran-3-yloxy, tetrahydropyran-3-yloxy, tetrahydropyran-4-yloxy or tetrahydrofuranylmethoxy group,~~

~~an $C_{2-4}$-alkoxy group substituted in β-, γ-, or δ-position with regard to the oxygen atom by an azetidin-1-yl, 4-methyl-homopiperazino or 4-ethyl-homopiperazino group,~~

~~a 3-pyrrolidinyloxy, 2-pyrrolidinyl-$C_{1-4}$-alkyloxy, 3-pyrrolidinyl-$C_{1-4}$-alkyloxy, 3-piperidinyloxy, 4-piperidinyloxy, 2-piperidinyl-$C_{1-4}$-alkyloxy, 3-piperidinyl-$C_{1-4}$-alkyloxy, 4-piperidinyl-$C_{1-4}$-alkyloxy, 3-hexahydro-azepinyloxy, 4-hexahydro-azepinyloxy, 2-hexahydro-azepinyl-$C_{1-4}$-alkyloxy, 3-Hexahydro-azepinyl-$C_{1-4}$-alkyloxy or 4-hexahydro-azepinyl-$C_{1-4}$-alkyloxy group wherein in each case the cyclic nitrogen atom is substituted by the group $R_6$, where $R_6$ is as hereinbefore defined,~~ whilst

by the aryl moieties mentioned in the definition of the abovementioned groups is meant a phenyl group which in each case may be monosubstituted by $R_7$, mono-, di- or trisubstituted by $R_8$ or monosubstituted by $R_7$ and additionally mono- or disubstituted by $R_8$, wherein the substituents may be identical or different and

$R_7$ denotes a cyano, carboxy, $C_{1-4}$-alkoxycarbonyl, aminocarbonyl, $C_{1-4}$-alkylaminocarbonyl, di-($C_{1-4}$-alkyl)-aminocarbonyl, $C_{1-4}$-alkylsulfenyl, $C_{1-4}$-alkylsulfinyl, $C_{1-4}$-alkylsulfonyl, hydroxy, $C_{1-4}$-alkylsulfonyloxy, trifluoromethyloxy, nitro, amino, $C_{1-4}$-alkylamino, di-($C_{1-4}$-alkyl)-amino, $C_{1-4}$-alkylcarbonylamino, N-($C_{1-4}$-alkyl)-$C_{1-4}$-alkylcarbonylamino, $C_{1-4}$-alkylsulfonylamino, N-($C_{1-4}$-alkyl)-$C_{1-4}$-alkylsulfonylamino, aminosulfonyl, $C_{1-4}$-alkylaminosulfonyl or di-($C_{1-4}$-alkyl)-aminosulfonyl group ~~or a carbonyl group which is substituted by a 5- to 7-membered alkyleneimino group, whilst in the abovementioned 6- to 7-membered alkyleneimino groups in each case a methylene group in the 4-position may be replaced by an oxygen or sulfur atom, by a sulfinyl, sulfonyl, imino or N-($C_{1-4}$-alkyl)-imino group~~, and

$R_8$ denotes a fluorine, chlorine, bromine or iodine atom, a $C_{1-4}$-alkyl, trifluoromethyl or $C_{1-4}$-alkoxy group or

two groups $R_8$, if they are bound to adjacent carbon atoms, together denote a $C_{3-5}$-alkylene, ~~methylenedioxy~~ or 1,3-butadien-1,4-ylene group,

~~and the heteroaryl groups mentioned in the definition of the abovementioned groups include a 5-membered heteroaromatic group which contains an imino group, an oxygen or sulfur atom or an imino group, an oxygen or sulfur atom and one or two nitrogen atoms, or~~

~~a 6-membered heteroaromatic group which contains one, two or three nitrogen atoms,~~

~~whilst the abovementioned 5-membered heteroaromatic groups may be substituted in each case by 1 or 2 methyl or ethyl groups and the abovementioned 6-membered heteroaromatic groups may be substituted in each case by 1 or 2 methyl or ethyl groups or by a fluorine, chlorine, bromine or iodine atom or by a trifluoromethyl, hydroxy, methoxy or ethoxy group,~~

or the tautomers, or stereoisomers ~~and~~ or pharmaceutically acceptable salts thereof.

2. (currently amended) ~~Bicyclic heterocycles~~ A quinazoline of ~~general~~ formula I according to claim 1, wherein

$R_a$ denotes a hydrogen atom,

$R_b$ denotes a phenyl, benzyl or 1-phenylethyl group wherein the phenyl nucleus is substituted in each case by the groups $R_1$ to $R_3$, whilst

$R_1$ and $R_2$, which may be identical or different, in each case denote a hydrogen, fluorine, chlorine, bromine or iodine atom,

a $C_{1-4}$-alkyl, hydroxy, $C_{1-4}$-alkoxy, $C_{3-6}$-cycloalkyl, $C_{4-6}$-cycloalkoxy, $C_{2-5}$-alkenyl or $C_{2-5}$-alkynyl group,

an aryl, aryloxy, arylmethyl or arylmethoxy group,

a methyl or methoxy group substituted by 1 to 3 fluorine atoms,

a cyano or nitro group and

$R_3$ denotes a hydrogen, fluorine, chlorine or bromine atom,

a $C_{1-4}$-alkyl, trifluoromethyl or $C_{1-4}$-alkoxy group,

X denotes ~~a methine group substituted by a cyano group or~~ a nitrogen atom,

A denotes an imino group,

B denotes a carbonyl ~~or sulfonyl~~ group,

C denotes a 1,3-allenylene, 1,1- or 1,2-vinylene group,

an ethynylene or 1,3-butadien-1,4-ylene group,

D denotes an alkylene~~, CO-alkylene or $SO_2$-alkylene~~ group wherein the alkylene moiety in each case contains 1 to 4 carbon atoms and additionally 1 to 4 hydrogen atoms in the alkylene moiety may be replaced by fluorine atoms, ~~whilst the linking of the CO-alkylene or $SO_2$-alkylene group to the adjacent group C in each case must take place via the carbonyl or sulfonyl group,~~

~~a CO-O-alkylene, CO-$NR_4$-alkylene or $SO_2$-$NR_4$-alkylene group wherein the alkylene moiety in each case contains 1 to 4 carbon atoms, whilst the linking to the adjacent group C in each case must take place via the carbonyl or sulfonyl group, wherein~~

~~$R_4$ denotes a hydrogen atom or a $C_{1-4}$-alkyl group,~~

~~or, if D is bound to a carbon atom of the group E, it may also denote a bond,~~

~~or, if D is bound to a nitrogen atom of the group E, it may also denote a carbonyl or sulfonyl group,~~

E denotes a di-($C_{1-4}$-alkyl)-amino group wherein the alkyl moieties may be identical or different,

an N-($C_{1-4}$-alkyl)-N-($C_{2-4}$-alkyl)-amino group wherein the $C_{2-4}$-alkyl moiety is substituted in β-, γ-, or δ-position with regard to the nitrogen atom of the amino group by the group $R_5$, where

$R_5$ denotes a hydroxy, $C_{1-4}$-alkoxy or di-($C_{1-4}$-alkyl)-amino group,

~~a 4- to 7-membered alkyleneimino group optionally substituted by one or two methyl groups or~~

~~a 6- to 7-membered alkyleneimino group optionally substituted by one or two methyl groups wherein in each case a methylene group in position 4 is replaced by an oxygen or sulfur atom, or by a sulfinyl, sulfonyl or N-($C_{1-4}$-alkyl)-imino group,~~

a di-($C_{2-4}$-alkyl)-amino group wherein the two $C_{2-4}$-alkyl moieties in each case are substituted in β-, γ-, or δ-position with regard to the nitrogen atom of the amino group by the group $R_5$, wherein the substituents may be identical or different and $R_5$ is as hereinbefore defined,

a $C_{3-7}$-cycloalkylamino or $C_{3-7}$-cycloalkyl-$C_{1-3}$-alkylamino group wherein in each case the nitrogen atom is substituted by a further $C_{1-4}$-alkyl group,

~~a $C_{1-4}$-alkylamino group wherein the nitrogen atom is substituted by a tetrahydrofuran-3-yl, tetrahydropyran-3-yl, tetrahydropyran-4-yl, tetrahydrofuranylmethyl, 1-(tetrahydrofuran-3-yl)-piperidin-4-yl, 1-(tetrahydropyran-3-yl)-piperidin-4-yl, 1-(tetrahydropyran-4-yl)-piperidin-4-yl, N-($C_{1-2}$-alkyl)-3-pyrrolidinyl, N-($C_{1-2}$-alkyl)-3-piperidinyl, N-($C_{1-2}$-alkyl)-4-piperidinyl, N-($C_{1-2}$-alkyl)-3-hexahydro-azepinyl or N-($C_{1-2}$-alkyl)-4-hexahydro-azepinyl group,~~

~~an 4- to 7-membered alkyleneimino group optionally substituted by 1 to 4 methyl groups, which may be substituted either at a cyclic carbon atom or at one of the methyl groups by the group $R_5$, where $R_5$ is as hereinbefore defined,~~

~~a piperidino group substituted by a tetrahydrofuranyl, tetrahydropyranyl or tetrahydrofuranylmethyl group,~~

~~a 6- to 7-membered alkyleneimino group optionally substituted by 1 or 2 methyl groups wherein in each case a methylene group is replaced in the 4 position by an oxygen or sulfur atom, by an imino group substituted by the group $R_6$, by a sulfinyl or sulfonyl group, whilst~~

~~$R_6$ denotes a $C_{1-4}$-alkyl, 2-methoxy-ethyl, 3-methoxy-propyl, $C_{3-7}$-cycloalkyl, $C_{3-7}$-cycloalkyl-$C_{1-4}$-alkyl, tetrahydrofuran-3-yl, tetrahydropyran-3-yl, tetrahydropyran-4-yl, tetrahydrofuranylmethyl, formyl, $C_{1-4}$-alkylcarbonyl, $C_{1-4}$-alkylsulfonyl, aminocarbonyl, $C_{1-4}$-alkylaminocarbonyl or di-($C_{1-4}$-alkyl)-aminocarbonyl group,~~

~~a $C_{5-7}$-cycloalkyl group wherein a methylene group is replaced by an oxygen or sulfur atom, by an imino group substituted by the group $R_6$, or by a sulfinyl or sulfonyl group, where $R_6$ is as hereinbefore defined,~~

~~or D together with E denotes a hydrogen, fluorine or chlorine atom,~~

~~a $C_{1-4}$-alkyl group optionally substituted by 1 to 5 fluorine atoms,~~

~~a $C_{3-6}$-cycloalkyl group,~~

~~an aryl, $C_{1-4}$-alkylcarbonyl or arylcarbonyl group,~~

~~a carboxy, $C_{1-4}$-alkoxycarbonyl, aminocarbonyl, $C_{1-4}$-alkylaminocarbonyl or di-($C_{1-4}$-alkyl)-aminocarbonyl group or~~

~~a carbonyl group which is substituted by a 4- to 7-membered alkyleneimino group, whilst in the abovementioned 6- to 7-membered alkyleneimino groups in each case a methylene group in the 4 position may be replaced by an oxygen or sulfur atom, by an imino group substituted by the group $R_6$, or by a sulfinyl or sulfonyl group, where $R_6$ is as hereinbefore defined, and~~

$R_c$ denotes a $C_{4-7}$-cycloalkoxy or $C_{3-7}$-cycloalkyl-$C_{1-6}$-alkoxy group wherein the cycloalkyl moiety in each case may be substituted by a $C_{1-3}$-alkyl, hydroxy, $C_{1-4}$-alkoxy, di-($C_{1-4}$-alkyl)-amino, ~~pyrrolidino, piperidino, morpholino, N-($C_{1-2}$-alkyl)-piperazino,~~ hydroxy-$C_{1-2}$-alkyl, $C_{1-4}$-alkoxy-$C_{1-2}$-alkyl, or di-($C_{1-4}$-alkyl)-amino-$C_{1-2}$-alkyl~~, pyrrolidino-$C_{1-2}$-alkyl, piperidino-~~

~~$C_{1-2}$-alkyl, morpholino-$C_{1-2}$-alkyl or N-($C_{1-2}$-alkyl)-piperazino-$C_{1-2}$-alkyl~~ group, whilst the abovementioned monosubstituted cycloalkyl moieties may additionally be substituted by a $C_{1-3}$-alkyl group,

~~a tetrahydrofuran-3-yloxy, tetrahydropyran-3-yloxy, tetrahydropyran-4-yloxy or tetrahydrofuranylmethoxy group,~~

~~an $C_{2-4}$-alkoxy group substituted in β-, γ-, or δ-position with regard to the oxygen atom by an azetidin-1-yl, 4-methyl-homopiperazino or 4-ethyl-homopiperazino group,~~

~~a 3-pyrrolidinyloxy, 2-pyrrolidinyl-$C_{1-4}$-alkyloxy, 3-pyrrolidinyl-$C_{1-4}$-alkyloxy, 3-piperidinyloxy, 4-piperidinyloxy, 2-piperidinyl-$C_{1-4}$-alkyloxy, 3-piperidinyl-$C_{1-4}$-alkyloxy, 4-piperidinyl-$C_{1-4}$-alkyloxy, 3-hexahydro-azepinyloxy, 4-hexahydro-azepinyloxy, 2-hexahydro-azepinyl-$C_{1-4}$-alkyloxy, 3-hexahydro-azepinyl-$C_{1-4}$-alkyloxy or 4-hexahydro-azepinyl-$C_{1-4}$-alkyloxy group wherein in each case the cyclic nitrogen atom is substituted by the group $R_6$, where $R_6$ is as hereinbefore defined~~, whilst

by the aryl moieties mentioned in the definition of the abovementioned groups is meant a phenyl group which may in each case be monosubstituted by $R_7$, mono-, di- or trisubstituted by $R_8$ or monosubstituted by $R_7$ and additionally mono- or disubstituted by $R_8$, wherein the substituents may be identical or different and

$R_7$ denotes a cyano, carboxy, $C_{1-4}$-alkoxycarbonyl, aminocarbonyl, $C_{1-4}$-alkylaminocarbonyl, di-($C_{1-4}$-alkyl)-aminocarbonyl, $C_{1-4}$-alkylsulfenyl, $C_{1-4}$-alkylsulfinyl, $C_{1-4}$-alkylsulfonyl, hydroxy, $C_{1-4}$-alkylsulfonyloxy, trifluoromethyloxy, nitro, amino, $C_{1-4}$-alkylamino, di-($C_{1-4}$-alkyl)-amino, $C_{1-4}$-alkylcarbonylamino, N-($C_{1-4}$-alkyl)-$C_{1-4}$-alkylcarbonylamino, $C_{1-4}$-alkylsulfonylamino, N-($C_{1-4}$-alkyl)-$C_{1-4}$-alkylsulfonylamino, aminosulfonyl, $C_{1-4}$-alkylaminosulfonyl or di-($C_{1-4}$-alkyl)-aminosulfonyl group~~ or a carbonyl group which is substituted by a 5- to 7-membered alkyleneimino group, whilst in the abovementioned 6- to 7-membered alkyleneimino groups in each case a methylene group may be replaced in the 4-position by an oxygen or sulfur atom, by a sulfinyl, sulfonyl, imino or N-($C_{1-4}$-alkyl)-imino group~~, and

$R_8$ denotes a fluorine, chlorine, bromine or iodine atom, a $C_{1-4}$-alkyl, trifluoromethyl or $C_{1-4}$-alkoxy group or

two groups $R_8$, if they are bound to adjacent carbon atoms, together denote a $C_{3-5}$-alkylene, ~~methylenedioxy~~ or 1,3-butadien-1,4-ylene group,

or the tautomers, or stereoisomers ~~and~~ or pharmaceutically acceptable salts thereof.

3. (currently amended) ~~Bicyclic heterocycles~~ A quinazoline of ~~general~~ formula I according to claim 1, wherein

$R_a$ denotes a hydrogen atom,

$R_b$ denotes a phenyl, benzyl or 1-phenylethyl group wherein the phenyl nucleus is substituted in each case by the groups $R_1$ and $R_2$, where

$R_1$ and $R_2$, which may be identical or different, in each case denote a hydrogen, fluorine, chlorine or bromine atom,

a methyl, trifluoromethyl or methoxy group,

X denotes a nitrogen atom,

A denotes an imino group,

B denotes a carbonyl group,

C denotes a 1,2-vinylene group,

an ethynylene or 1,3-butadien-1,4-ylene group,

D denotes a $C_{1-4}$-alkylene group,

~~or, if D is bound to a carbon atom of the group E, it may also denote a bond,~~

~~or, if D is bound to a nitrogen atom of the group E, it may also denote a carbonyl group,~~

E denotes a di-($C_{1-4}$-alkyl)-amino group wherein the alkyl moieties may be identical or different,

an N-($C_{1-4}$-alkyl)-N-($C_{2-4}$-alkyl)-amino group wherein the $C_{2-4}$-alkyl moiety is substituted in β-, γ-, or δ-position with regard to the nitrogen atom of the amino group by the group $R_5$, whilst

$R_5$ denotes a hydroxy, $C_{1-3}$-alkoxy or di-($C_{1-3}$-alkyl)-amino group,

~~a pyrrolidino, piperidino or morpholino group,~~

a di-($C_{2-4}$-alkyl)-amino group wherein the two $C_{2-4}$-alkyl moieties in each case are substituted in β-, γ-, or δ-position with regard to the nitrogen atom of the amino group by the group $R_5$, wherein the substituents may be identical or different and $R_5$ is as hereinbefore defined,

~~an $C_{1-4}$-alkylamino group substituted at the nitrogen atom by a tetrahydrofuran-3-yl, tetrahydropyran-3-yl, tetrahydropyran-4-yl, tetrahydrofuranylmethyl, 1-($C_{1-2}$-alkyl)-pyrrolidin-3-yl, 1-($C_{1-2}$-alkyl)-piperidin-3-yl, 1-($C_{1-2}$-alkyl)-piperidin-4-yl, 1-(tetrahydrofuran-3-yl)-piperidin-4-yl, 1-(tetrahydropyran-3-yl)-piperidin-4-yl or 1-(tetrahydropyran-4-yl)-piperidin-4-yl group,~~

a $C_{3-5}$-cycloalkylamino or $C_{3-5}$-cycloalkyl-$C_{1-3}$-alkylamino group wherein in each case the nitrogen atom is substituted by a further $C_{1-3}$-alkyl group,

~~a 5- to 7-membered alkyleneimino group optionally substituted by 1 or 2 methyl groups which may be substituted either at a cyclic carbon atom or at one or the methyl groups by the group $R_5$, where $R_5$ is as hereinbefore defined, or~~

~~a piperidino group substituted by a tetrahydrofuranyl, tetrahydropyranyl or tetrahydrofuranylmethyl group,~~

~~a piperidino group optionally substituted by 1 or 2 methyl groups wherein the methylene group is replaced in the 4 position by an oxygen or sulfur atom, by sulfinyl or sulfonyl group or by an imino group substituted by the group $R_6$, whilst~~

~~$R_6$ denotes a $C_{1-3}$-alkyl, 2-methoxy-ethyl, 3-methoxy-propyl, $C_{3-6}$-cycloalkyl, $C_{3-6}$-cycloalkyl-$C_{1-3}$-alkyl, tetrahydrofuran-3-yl, tetrahydropyran-3-yl, tetrahydropyran-4-yl, tetrahydrofuranylmethyl, $C_{1-3}$-alkylcarbonyl, $C_{1-3}$-alkylsulfonyl, aminocarbonyl, $C_{1-3}$-alkylaminocarbonyl or di-($C_{1-3}$-alkyl)-aminocarbonyl group,~~

~~or D together with E denotes a hydrogen atom,~~

~~a $C_{1-3}$-alkyl group,~~

~~an aryl or $C_{1-4}$-alkylcarbonyl group or~~

~~a $C_{1-4}$-alkoxycarbonyl group,~~

$R_c$ denotes a $C_{4-7}$-cycloalkoxy or $C_{3-7}$-cycloalkyl-$C_{1-4}$-alkoxy group wherein the cycloalkyl moiety in each case may be substituted by a $C_{1-3}$-alkyl or $C_{1-3}$-alkoxy group,

~~a tetrahydrofuran-3-yloxy, tetrahydropyran-3-yloxy, tetrahydropyran-4-yloxy or tetrahydrofuranylmethoxy group,~~

~~an $C_{2-4}$-alkoxy group substituted in β, γ, or δ position with regard to the oxygen atom by an azetidin-1-yl, 4-methyl homopiperazino or 4-ethyl homopiperazino group,~~

~~a 3-pyrrolidinyloxy, 2-pyrrolidinyl-$C_{1-3}$-alkyloxy, 3-pyrrolidinyl-$C_{1-3}$-alkyloxy, 3-piperidinyloxy, 4-piperidinyloxy, 2-piperidinyl-$C_{1-3}$-alkyloxy, 3-piperidinyl-$C_{1-3}$-alkyloxy, 4-piperidinyl-$C_{1-3}$-alkyloxy, 3-hexahydro-azepinyloxy, 4-hexahydro-azepinyloxy, 2-hexahydro-azepinyl-$C_{1-3}$-alkyloxy, 3-hexahydro-azepinyl-$C_{1-3}$-alkyloxy or 4-hexahydro-~~

~~azepinyl-$C_{1-3}$-alkyloxy-group-wherein-in-each-case-the-cyclic-nitrogen-atom-is-substituted-by-a-methyl-or-ethyl-group,-whilst~~

~~by-the-aryl-moieties-mentioned-in-the-definition-of-the-abovementioned-groups-is-meant-a-phenyl-group-which-may-be-mono-,-di-or-trisubstituted-by-$R_8$,-wherein-the-substituents-may-be-identical-or-different-and~~

~~$R_8$-denotes-a-fluorine,-chlorine,-bromine-or-iodine-atom,-a-$C_{1-4}$-alkyl,-trifluoromethyl-or-$C_{1-4}$-alkoxy-group,~~

or the tautomers, or stereoisomers ~~and~~ or pharmaceutically acceptable salts thereof.

4. (currently amended) ~~Bicyclic heterocycles~~ A quinazoline of ~~general~~ formula I according to claim 1, wherein

$R_a$ denotes a hydrogen atom,

$R_b$ denotes a phenyl, benzyl or 1-phenylethyl group, whilst the phenyl nucleus is substituted in each case by the radicals $R_1$ and $R_2$, whilst

$R_1$ and $R_2$, which may be identical or different, each denotes a hydrogen, fluorine, chlorine or bromine atom,

X denotes a nitrogen atom,

A denotes an imino group,

B denotes a carbonyl group,

C denotes a 1,2-vinylene, ~~ethinylene~~ethynylene or 1,3-butadien-1,4-ylene group,

D denotes an $C_{1-3}$-alkylene group,

E denotes a Di-($C_{1-4}$-alkyl)-amino group, wherein the alkyl moieties may be identical or different,

a methylamino or ethylamino group each substituted at the nitrogen atom by a 2-methoxy-ethyl, 1-methoxy-2-propyl, 2-methoxypropyl, 3-methoxypropyl, ~~tetrahydrofuran-3-yl, tetrahydropyran-4-yl, tetrahydrofuran-2-ylmethyl, 1-methylpiperidin-4-yl, 1-ethyl-piperidin-4-yl, 1-(tetrahydrofuran-3-yl)-piperidin-4-yl,~~ cyclopropyl or cyclopropylmethyl group,

a ~~Bis~~bis-(2-methoxyethyl)amino group,

~~a pyrrolidino, piperidino or morpholino group each optionally substituted by one or two methyl groups,~~

~~a piperazino group substituted in 4-position by a methyl, ethyl, cyclopropyl, cyclopropylmethyl, 2-methoxy-ethyl, tetrahydrofuran-3-yl, tetrahydropyran-4-yl or tetrahydrofuran-2-ylmethyl group,~~

~~a thiomorpholino, S-oxidothiomorpholino or S,S-dioxidothiomorpholino group,~~

~~a 2-(methoxymethyl)pyrrolidino, 2-(ethoxymethyl)pyrrolidino, 4-hydroxypiperidino, 4-methoxypiperidino, 4-ethoxypiperidino, 4-(tetrahydrofuran-3-yl)piperidino or 4-morpholinopiperidino group~~

~~or D together with E denote a hydrogen atom, a methyl, phenyl, methoxycarbonyl or ethoxycarbonyl group and~~

$R_c$ denotes a cyclopropylmethoxy, cyclobutylmethoxy, cyclopentylmethoxy or cyclohexylmethoxy group,

a cyclobutyloxy, cyclopentyloxy or cyclohexyloxy group,

~~a tetrahydrofuran-3-yloxy, tetrahydropyran-4-yloxy or tetrahydrofuran-2-ylmethoxy group,~~

~~a straight-chained $C_{2-4}$-alkoxy group terminally substituted by an azetidin-1-yl, 4-methyl-homopiperazino or 4-ethyl-homopiperazino group,~~

~~a 1-methyl-piperidin-4-yloxy or 1-ethyl-piperidin-4-yloxy group,~~

~~a (1-methyl-piperidin-4-yl)-$C_{1-3}$-alkyloxy or (1-ethyl-piperidin-4-yl)-$C_{1-3}$-alkyloxy group,~~

or the tautomers, or stereoisomers ~~and~~ or pharmaceutically acceptable salts thereof.

5. (currently amended) ~~Bicyclic heterocycles~~ A quinazoline of ~~general~~ formula I according to claim 1, wherein

$R_a$ denotes a hydrogen atom,

$R_b$ denotes a 1-phenylethyl group or a phenyl group wherein the phenyl nucleus is substituted by the radicals $R_1$ and $R_2$, whilst

$R_1$ and $R_2$, which may be identical or different, each denote a hydrogen, fluorine, chlorine or bromine atom,

X denotes a nitrogen atom,

A denotes an imino group,

B denotes a carbonyl group,

C denotes a 1,2-vinylene, ~~ethinylene~~ ethynylene or 1,3-butadien-1,4-ylene group,

D denotes a methylene group,

E denotes a dimethylamino, diethylamino, Bis(2-methoxyethyl)amino, *N*-methyl-*N*-(2-methoxyethyl)amino, *N*-ethyl-*N*-(2-methoxyethyl)amino, *N*-methyl-*N*-cyclopropylamino, *N*-methyl-*N*-cyclopropylmethyl-amino, *N*-methyl-*N*-(1-methoxy-2-propyl)amino, *N*-methyl-

*N*-(2-methoxypropyl)amino~~,~~ or *N*-methyl-*N*-(3-methoxypropyl)amino, *N*-methyl-*N*-(tetrahydrofuran-3-yl)amino, *N*-methyl-*N*-(tetrahydropyran-4-yl)amino~~, *N*-methyl-*N*-(tetrahydrofuran-2-ylmethyl)amino or *N*-methyl-*N*-(1-methylpiperidin-4-yl)amino~~ group,

~~a pyrrolidino, piperidino or morpholino group each optionally substituted by one or two methyl groups,~~

~~a piperazino group substituted in 4-position by a methyl, ethyl, cyclopropylmethyl or 2-methoxyethyl group,~~

~~a S-oxidothiomorpholino group,~~

~~a 2-(methoxymethyl)pyrrolidino, 4-hydroxypiperidino or 4-methoxypiperidino group~~

~~or D together with E denote a hydrogen atom, a methyl, phenyl or ethoxycarbonyl group, and~~

$R_c$ denotes a cyclopropylmethoxy, cyclobutyloxy or cyclopentyloxy group,

~~a tetrahydrofuran-3-yloxy, tetrahydropyran-4-yloxy or tetrahydrofuran-2-ylmethoxy group,~~

~~a straight-chained $C_{2-4}$-alkoxy group terminally substituted by an azetidin-1-yl or 4-methylhomopiperazino group,~~

~~a 1-methyl-piperidin-4-yloxy group or~~

~~a (1-methylpiperidin-4-yl)-$C_{1-3}$-alkyloxy group,~~

or the tautomers, or stereoisomers ~~and~~ or pharmaceutically acceptable salts thereof.

6. (currently amended) The following compounds of general formula I according to claim 1:

~~(a) 4-[(3-Chloro-4-fluorophenyl)amino]-7-[3-(1-methylpiperidin-4-yl)propyloxy]-6-[(vinylcarbonyl)amino]quinazoline,~~

~~(b)~~ 4-[(3-Chloro-4-fluorophenyl)amino]-6-{[4-(*N*,*N*-diethylamino)-1-oxo-2-buten-1-yl]amino}-7-cyclopropylmethoxyquinazoline ~~and~~

~~(c) 4-[(3-Chloro-4-fluorophenyl)amino]-6-{[4-(morpholin-4-yl)-1-oxo-2-buten-1-yl]amino}-7-cyclopropylmethoxyquinazoline~~

~~as well as the salts thereof~~for pharmaceutically acceptable salts thereof.

7. (currently amended) ~~Physiologically~~ Pharmaceutically acceptable salts of the compounds according to ~~at least~~ one of claims 1 to 6 with inorganic or organic acids or bases.

8. (currently amended) Pharmaceutical compositions containing a compound according to at least one of claims 1 to 6, ~~or a physiologically acceptable salt according to claim 7~~ optionally together with one or more inert carriers and/or diluents.

9. (currently amended) ~~Use of a compound according to at least one of claims 1 to 7 for preparing a pharmaceutical composition which is suitable for treating~~ A method for treating or preventing a disease comprising administering a pharmaceutical composition according to one of claims 1 to 6, wherein said disease is selected from the group consisting of: benign or malignant tumors, ~~for preventing and treating~~ diseases of the airways and lungs and ~~for treating~~ diseases of the gastrointestinal tract and the bile duct and gall bladder.

Claims 10-11 (canceled)

12. (new) Pharmaceutical compositions containing a physiologically acceptable salt according to claim 7, optionally together with one or more inert carriers and/or diluents.

13. (new) A method for treating or preventing a disease comprising administering a pharmaceutical composition according to claim 7, wherein said disease is selected from the group consisting of: benign or malignant tumors, diseases of the airways and lungs and diseases of the gastrointestinal tract and the bile duct and gall bladder.

## REMARKS

Claims 1-9, 12 and 13 are currently pending in the instant application. Claims 1-9 have been amended. Claim 8 has been amended to correct dependency on multiple claim7. New claim 12 contains the deleted subject matter of claim 8. Claim 9 has been amended to correct dependency on multiple claim7. New claim 13 contains the deleted subject matter of claim 9. Claims 10 and 11 have been canceled.

No new matter has been added. In light of the above amendments, claims 1-9, 12 and 13 are under active consideration in this application.

## Priority

The instant application is the national stage of International Application PCT/EP00/05547 (WO 00/78735) filed on June 16, 2000 which claims benefit to prior U.S. Provisional Application 60/146,644, filed July 30, 1999 and prior German Application Nos. DE 199 28 281 and DE 100 23 085, filed June 21, 1999 and May 11, 2000, respectively. Benefit of the earlier filing date of the prior International Application was claimed pursuant to 35 U.S.C. §365(c) on the Declaration for Utility or Design Application, filed on April 25, 2002 in three counterparts, copies of which are submitted herewith. Benefit of the earlier filing date of the prior International Application was incorrectly claimed under 371 on the Application Data Sheet. A new Application Data Sheet is submitted herewith. Attorney for Applicants submits that the priority is in order and respectfully requests acknowledgement.

## Specification

The specification is objected to for informalities regarding the continuity information. The specification has been amended to recite:

This application is a continuation of International Application PCT/EP00/05547 (WO 00/78735) filed on June 16, 2000 which claims benefit to prior U.S. Provisional Application 60/146,644, filed July 30, 1999 and prior German Application Nos. DE 199 28 281 and DE 100 23 085, filed June 21, 1999 and May 11, 2000, respectively. Benefit of the earlier filing date of the prior International Application is hereby claimed pursuant to 35 U.S.C. § 365(c).

This objection, therefore, has been obviated.

## Claim Objections

Claims 8-11 are objected to as being in improper form for dependency on multiple dependent claim 7. Claims 10 and 11 have been cancelled without prejudice. Claims 8 and 9 have been amended to depend only on claims 1 to 6, thus this objection has been obviated.

## Rejections under Section 112

Claims 1-7 are rejected under 35 U.S.C. §112, second paragraph as being indefinite. According to the Examiner, claims 1-5 are indefinite in the recitation "Bicyclic heterocyles of general Formula". Applicants disagree, however, in order to advance prosecution, claims 1-5 have been amended according to the Examiner's suggestion to recite "A quinazoline compound of Formula". This rejection, therefore, has been overcome.

According to the Examiner, claims 1-5 are indefinite in the recitation "the tautomers, stereoisomers and salts thereof". Applicants disagree, however, in order to advance prosecution, claims 1-5 have been amended according to the Examiner's suggestion to recite "or the tautomers, or stereoisomers or pharmaceutically acceptable salts thereof". This rejection, therefore, has been overcome.

According to the Examiner, claim 6 is indefinite in the recitation "as well as the salts thereof". Applicants disagree, however, in order to advance prosecution, claim 6 has been amended according to the Examiner's suggestion to recite "or pharmaceutically acceptable salts thereof". This rejection, therefore, has been overcome.

According to the Examiner, claim 7 is indefinite in the recitation "Physiologically acceptable salts of the compounds...to at least one of claims...". Applicants disagree, however, in order to advance prosecution, claim 7 has been amended according to the Examiner's suggestion to recite "Pharmaceutically acceptable salts of the compounds...to one of claims...". This rejection, therefore, has been overcome.

## Rejections under Section 103

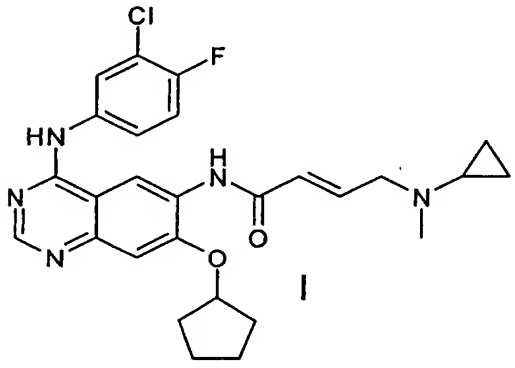
Claims 1-7 are rejected under 36 U.S.C. §103(A) as being obvious in view of Barker, EP 566226 ("the '226 reference") and further in view of Bridges *et al.*, WO 9738983 ("the '983 reference").

According to the Examiner, it would have been obvious to one having ordinary skill in the art at the time of the invention to select any of the species of the genus taught by the '226 reference, *i.e.*, substituted quinazoline with free 6-NH2 and condense with maleic anhydride to get –NHCO-CH=CH-COOH, and to modify the end group as taught by the '983 reference.

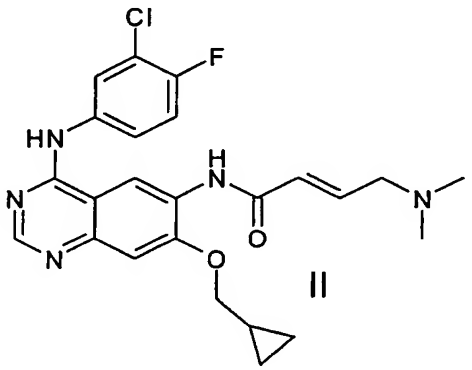
Applicants respectfully disagree. The claims, as amended, refer only to quinazolines which are substituted in position 7 (which is $R_c$) with cylcloalkyloxy- or cycloalkylalkoxy-groups.

The difference of the compounds as claimed to the compounds of the '226 reference and the '983 reference cited by the Examiner is that the compounds of the present invention, in contrast to the cited state of the art, comprise only cylcloalkyloxy- or cycloalkylalkoxy-groups at position 7.

Furthermore, these groups are responsible for a higher stability with regard to microsomal metabolic degradation. The half-lives of two of the compounds falling under the scope of the amended claims was evaluated in human liver microsomes. These compounds have the following formula:



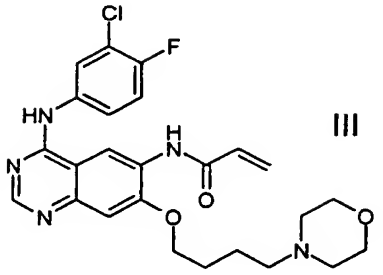

I



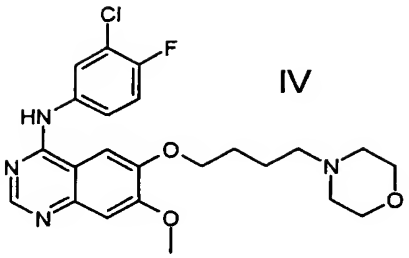

II

The $t_{1/2}$-value of compound I was 30 min and for compound II it was 107 min.

Further, two compounds falling under the scope of the cited applications having the following formula were evaluated:



III



IV

The $t_{1/2}$-value for compound III was 10 min and for compound IV it was 18 min.

In contrast to the compounds of the cited state of the art, the compounds of the present invention, having a cylcloalkyloxy- or cycloalkylmethoxy-group as $R_c$, are much more stable with regard to microsomal metabolic degradation. This advantage cannot be derived from the cited documents. Therefore, the amended claims are not obvious in view of the prior art. This rejection under Section 103, therefore, should be withdrawn.

## CONCLUSION

In light of the above amendments and remarks, Applicants submit that all of the objections and rejections have been overcome and must be withdrawn. Further, Applicants submit that the application is now in form for issuance and an early allowance is earnestly requested. If any issues remain, the Examiner is invited to telephone the Attorney at the number below.

Respectfully submitted,

Susan K. Pocchiari

Susan K. Pocchiari
Attorney for Applicant(s)
Reg. No. 45,016

Patent Department
Boehringer Ingelheim Corp.
900 Ridgebury Road
P.O. Box 368
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January 8, 2004

I hereby certify that this correspondence is being transmitted to the U.S. Patent and Trademark Office by Express Mail EV 353704455 US to the following address:

Commissioner for Patents
P.O. Box 1450
Alexandria, VA 22313-1450

on January 8, 2004.

Susan K. Pocchiari

By: Susan K. Pocchiari
Reg. No. 45,016

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# DECLARATION FOR UTILITY OR DESIGN PATENT APPLICATION (37 CFR 1.63)

☐ Declaration Submitted with Initial Filing OR ☑ Declaration Submitted after Initial Filing (surcharge (37 CFR 1.16 (e)) required)

| | |
|---|---|
| Attorney Docket Number | 5/1262 |
| First Named Inventor | Frank Himmelsbach |
| *COMPLETE IF KNOWN* | |
| Application Number | 10 / 016,280 |
| Filing Date | December 10, 2001 |
| Group Art Unit | |
| Examiner Name | |

**As a below named inventor, I hereby declare that:**

My residence, post office address, and citizenship are as stated below next to my name.

I believe I am the original, first and sole inventor (if only one name is listed below) or an original, first and joint inventor (if plural names are listed below) of the subject matter which is claimed and for which a patent is sought on the invention entitled:

BICYCLIC HETEROCYLES, PHARMACEUTICAL COMPOSITIONS CONTAINING THESE COMPOUNDS, THEIR USE AND PROCESSES FOR PREPARING THEM

*(Title of the Invention)*

the specification of which
☐ is attached hereto
OR
☑ was filed on (MM/DD/YYYY) 12/10/2001 as United States Application Number or PCT International Application Number 10/016,280 and was amended on (MM/DD/YYYY) _____ (if applicable).

I hereby state that I have reviewed and understand the contents of the above identified specification, including the claims, as amended by any amendment specifically referred to above.

I acknowledge the duty to disclose information which is material to patentability as defined in 37 CFR 1.56.

I hereby claim foreign priority benefits under 35 U.S.C. 119(a)-(d) or 365(b) of any foreign application(s) for patent or inventor's certificate, or 365(a) of any PCT international application which designated at least one country other than the United States of America, listed below and have also identified below, by checking the box, any foreign application for patent or inventor's certificate, or of any PCT international application having a filing date before that of the application on which priority is claimed.

| Prior Foreign Application Number(s) | Country | Foreign Filing Date (MM/DD/YYYY) | Priority Not Claimed | Certified Copy Attached? YES | Certified Copy Attached? NO |
|---|---|---|---|---|---|
| 100 23 085.7 | DE | 05/11/2000 | ☐ | ☐ | ☑ |
| 199 28 281.1 | DE | 06/21/1999 | ☐ | ☐ | ☑ |
| | | | ☐ | ☐ | ☐ |
| | | | ☐ | ☐ | ☐ |

☐ Additional foreign application numbers are listed on a supplemental priority data sheet PTO/SB/02B attached hereto:

I hereby claim the benefit under 35 U.S.C. 119(e) of any United States provisional application(s) listed below.

| Application Number(s) | Filing Date (MM/DD/YYYY) |
|---|---|
| 60/146,644 | 07/30/1999 |

☐ Additional provisional application numbers are listed on a supplemental priority data sheet PTO/SB/02B attached hereto.



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# DECLARATION — Utility or Design Patent Application

I hereby claim the benefit under 35 U.S.C. 120 of any United States application(s), or 365(c) of any PCT international application designating the United States of America, listed below and, insofar as the subject matter of each of the claims of this application is not disclosed in the prior United States or PCT International application in the manner provided by the first paragraph of 35 U.S.C. 112, I acknowledge the duty to disclose information which is material to patentability as defined in 37 CFR 1.56 which became available between the filing date of the prior application and the national or PCT international filing date of this application.

| U.S. Parent Application or PCT Parent Number | Parent Filing Date (MM/DD/YYYY) | Parent Patent Number (if applicable) |
|---|---|---|
| PCT/EP00/05547 | 06/21/1999 | |

☐ Additional U.S. or PCT international application numbers are listed on a supplemental priority data sheet PTO/SB/02B attached hereto.

As a named inventor, I hereby appoint the following registered practitioner(s) to prosecute this application and to transact all business in the Patent and Trademark Office connected therewith: ☐ Customer Number 28505 → *Place Customer Number Bar Code Label here*
*OR*
☑ Registered practitioner(s) name/registration number listed below

| Name | Registration Number | Name | Registration Number |
|---|---|---|---|
| Robert P. Raymond | 25,089 | Susan K. Pocchiari | 45,016 |
| Alan R. Stempel | 28,991 | Philip I. Datlow | 41,482 |
| Mary-Ellen M. Devlin | 27,928 | Timothy X. Witkowski | 40,232 |
| Anthony P. Bottino | 41,629 | | |

☐ Additional registered practitioner(s) named on supplemental Registered Practitioner Information sheet PTO/SB/02C attached hereto.

Direct all correspondence to: ☑ Customer Number or Bar Code Label 28505 *OR* ☐ Correspondence address below

| | | | | | |
|---|---|---|---|---|---|
| Name | | | | | |
| Address | | | | | |
| Address | | | | | |
| City | | State | | ZIP | |
| Country | | Telephone | | Fax | |

I hereby declare that all statements made herein of my own knowledge are true and that all statements made on information and belief are believed to be true; and further that these statements were made with the knowledge that willful false statements and the like so made are punishable by fine or imprisonment, or both, under 18 U.S.C. 1001 and that such willful false statements may jeopardize the validity of the application or any patent issued thereon.

**Name of Sole or First Inventor:** ☐ A petition has been filed for this unsigned inventor

| Given Name (first and middle [if any]) | Family Name or Surname |
|---|---|
| Frank | HIMMELSBACH |

| | | | | | | | |
|---|---|---|---|---|---|---|---|
| Inventor's Signature | | | | | | Date | |
| Residence: City | Mittelbiberach | State | | Country | Germany | Citizenship | DE |
| Post Office Address | Ahornweg 16 | | | | | | |
| Post Office Address | | | | | | | |
| City | Mittelbiberach | State | | ZIP | 88441 | Country | Germany |

☑ Additional inventors are being named on the 2 supplemental Additional Inventor(s) sheet(s) PTO/SB/02A attached hereto

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|---|---|---|---|---|---|---|---|
| **Name of Additional Joint Inventor, if any:** | ☐ A petition has been filed for this unsigned inventor | | | | | | |
| Given Name (first and middle [if any]) | | | | Family Name or Surname | | | |
| Elke | | | | LANGKOPF | | | |
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| **Post Office Address** | Schloss 3 | | | | | | |
| **Post Office Address** | | | | | | | |
| **City** | Warthausen | **State** | | **ZIP** | 88447 | **Country** | Germany |

| | | | | | | | |
|---|---|---|---|---|---|---|---|
| **Name of Additional Joint Inventor, if any:** | ☐ A petition has been filed for this unsigned inventor | | | | | | |
| Given Name (first and middle [if any]) | | | | Family Name or Surname | | | |
| Thomas | | | | METZ | | | |
| **Inventor's Signature** | | | | | | **Date** | |
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| **Post Office Address** | Traungasse 6/5 | | | | | | |
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| **City** | Wien | **State** | | **ZIP** | 1030 | **Country** | Austria |

| | | | | | | | |
|---|---|---|---|---|---|---|---|
| **Name of Additional Joint Inventor, if any:** | ☐ A petition has been filed for this unsigned inventor | | | | | | |
| Given Name (first and middle [if any]) | | | | Family Name or Surname | | | |
| Flavio | | | | SOLCA | | | |
| **Inventor's Signature** | | | | | | **Date** | 26.2.2002 |
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| **Post Office Address** | Fimbingergasse 1/9 | | | | | | |
| **Post Office Address** | | | | | | | |
| **City** | Wien | **State** | | **ZIP** | 1230 | **Country** | Austria |

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| **Name of Additional Joint Inventor, if any:** | ☐ A petition has been filed for this unsigned inventor | | | | | | |
| Given Name (first and middle [if any]) | Family Name or Surname | | | | | | |
| Birgit | JUNG | | | | | | |
| **Inventor's Signature** | | | | | **Date** | | |
| **Residence: City** | Schwabenheim | **State** | | **Country** | Germany | **Citizenship** | DE |
| **Post Office Address** | Muehlstrasse 23 | | | | | | |
| **Post Office Address** | | | | | | | |
| **City** | Schwabenheim | **State** | | **ZIP** | 55270 | **Country** | Germany |

| | | | | | | | |
|---|---|---|---|---|---|---|---|
| **Name of Additional Joint Inventor, if any:** | ☐ A petition has been filed for this unsigned inventor | | | | | | |
| Given Name (first and middle [if any]) | Family Name or Surname | | | | | | |
| Anke | BAUM | | | | | | |
| **Inventor's Signature** | A. Baum | | | | **Date** | 26.02.02 | |
| **Residence: City** | Alland | **State** | | **Country** | Austria | **Citizenship** | DE |
| **Post Office Address** | Groisbach 13 | | | | | | |
| **Post Office Address** | | | | | | | |
| **City** | Alland | **State** | | **ZIP** | 2534 | **Country** | Austria |

| | | | | | | | |
|---|---|---|---|---|---|---|---|
| **Name of Additional Joint Inventor, if any:** | ☐ A petition has been filed for this unsigned inventor | | | | | | |
| Given Name (first and middle [if any]) | Family Name or Surname | | | | | | |
| | | | | | | | |
| **Inventor's Signature** | | | | | **Date** | | |
| **Residence: City** | | **State** | | **Country** | | **Citizenship** | |
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| **Post Office Address** | | | | | | | |
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# DECLARATION FOR UTILITY OR DESIGN PATENT APPLICATION (37 CFR 1.63)

☐ Declaration Submitted with Initial Filing OR ☑ Declaration Submitted after Initial Filing (surcharge (37 CFR 1.16 (e)) required)

| | |
|---|---|
| Attorney Docket Number | 5/1262 |
| First Named Inventor | Frank Himmelsbach |
| *COMPLETE IF KNOWN* | |
| Application Number | 10 / 016,280 |
| Filing Date | December 10, 2001 |
| Group Art Unit | |
| Examiner Name | |

**As a below named inventor, I hereby declare that:**

My residence, post office address, and citizenship are as stated below next to my name.

I believe I am the original, first and sole inventor (if only one name is listed below) or an original, first and joint inventor (if plural names are listed below) of the subject matter which is claimed and for which a patent is sought on the invention entitled:

BICYCLIC HETEROCYLES, PHARMACEUTICAL COMPOSITIONS CONTAINING THESE COMPOUNDS, THEIR USE AND PROCESSES FOR PREPARING THEM

*(Title of the Invention)*

the specification of which
☐ is attached hereto
OR
☑ was filed on (MM/DD/YYYY) 12/10/2001 as United States Application Number or PCT International Application Number 10/016,280 and was amended on (MM/DD/YYYY) _____ (if applicable).

I hereby state that I have reviewed and understand the contents of the above identified specification, including the claims, as amended by any amendment specifically referred to above.

I acknowledge the duty to disclose information which is material to patentability as defined in 37 CFR 1.56.

I hereby claim foreign priority benefits under 35 U.S.C. 119(a)-(d) or 365(b) of any foreign application(s) for patent or inventor's certificate, or 365(a) of any PCT international application which designated at least one country other than the United States of America, listed below and have also identified below, by checking the box, any foreign application for patent or inventor's certificate, or of any PCT international application having a filing date before that of the application on which priority is claimed.

| Prior Foreign Application Number(s) | Country | Foreign Filing Date (MM/DD/YYYY) | Priority Not Claimed | Certified Copy Attached? YES | Certified Copy Attached? NO |
|---|---|---|---|---|---|
| 100 23 085.7 | DE | 05/11/2000 | ☐ | ☐ | ☑ |
| 199 28 281.1 | DE | 06/21/1999 | ☐ | ☐ | ☑ |
| | | | ☐ | ☐ | ☐ |
| | | | ☐ | ☐ | ☐ |

☐ Additional foreign application numbers are listed on a supplemental priority data sheet PTO/SB/02B attached hereto:

I hereby claim the benefit under 35 U.S.C. 119(e) of any United States provisional application(s) listed below.

| Application Number(s) | Filing Date (MM/DD/YYYY) | |
|---|---|---|
| 60/146,644 | 07/30/1999 | ☐ Additional provisional application numbers are listed on a supplemental priority data sheet PTO/SB/02B attached hereto. |



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# DECLARATION — Utility or Design Patent Application

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| U.S. Parent Application or PCT Parent Number | Parent Filing Date (MM/DD/YYYY) | Parent Patent Number (if applicable) |
|---|---|---|
| PCT/EP00/05547 | 06/21/1999 | |

☐ Additional U.S. or PCT international application numbers are listed on a supplemental priority data sheet PTO/SB/02B attached hereto.

As a named inventor, I hereby appoint the following registered practitioner(s) to prosecute this application and to transact all business in the Patent and Trademark Office connected therewith: ☐ Customer Number 28505 → *Place Customer Number Bar Code Label here*
*OR*
☑ Registered practitioner(s) name/registration number listed below

| Name | Registration Number | Name | Registration Number |
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| Robert P. Raymond | 25,089 | Susan K. Pocchiari | 45,016 |
| Alan R. Stempel | 28,991 | Philip I. Datlow | 41,482 |
| Mary-Ellen M. Devlin | 27,928 | Timothy X. Witkowski | 40,232 |
| Anthony P. Bottino | 41,629 | | |

☐ Additional registered practitioner(s) named on supplemental Registered Practitioner Information sheet PTO/SB/02C attached hereto.

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| | | | | | |
|---|---|---|---|---|---|
| Name | | | | | |
| Address | | | | | |
| Address | | | | | |
| City | | State | | ZIP | |
| Country | | Telephone | | Fax | |

I hereby declare that all statements made herein of my own knowledge are true and that all statements made on information and belief are believed to be true; and further that these statements were made with the knowledge that willful false statements and the like so made are punishable by fine or imprisonment, or both, under 18 U.S.C. 1001 and that such willful false statements may jeopardize the validity of the application or any patent issued thereon.

**Name of Sole or First Inventor:** ☐ A petition has been filed for this unsigned inventor

| Given Name (first and middle [if any]) | Family Name or Surname |
|---|---|
| Frank | HIMMELSBACH |

| | | | | | | | |
|---|---|---|---|---|---|---|---|
| Inventor's Signature | F. [signature] | | | | | Date | 01/30/02 |
| Residence: City | Mittelbiberach | State | | Country | Germany | Citizenship | DE |
| Post Office Address | Ahornweg 16 | | | | | | |
| Post Office Address | | | | | | | |
| City | Mittelbiberach | State | | ZIP | 88441 | Country | Germany |

☑ Additional inventors are being named on the 2 supplemental Additional Inventor(s) sheet(s) PTO/SB/02A attached hereto

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| **Name of Additional Joint Inventor, if any:** | ☐ A petition has been filed for this unsigned inventor | | | | | | |
| Given Name (first and middle [if any]) | | | Family Name or Surname | | | | |
| Elke | | | LANGKOPF | | | | |
| Inventor's Signature | E. Langkopf | | | | Date | 01/30/02 | |
| Residence: City | Warthausen | State | | Country | Germany | Citizenship | DE |
| Post Office Address | Schloss 3 | | | | | | |
| Post Office Address | | | | | | | |
| City | Warthausen | State | | ZIP | 88447 | Country | Germany |

| | | | | | | | |
|---|---|---|---|---|---|---|---|
| **Name of Additional Joint Inventor, if any:** | ☐ A petition has been filed for this unsigned inventor | | | | | | |
| Given Name (first and middle [if any]) | | | Family Name or Surname | | | | |
| Thomas | | | METZ | | | | |
| Inventor's Signature | | | | | Date | | |
| Residence: City | Wien | State | | Country | Austria | Citizenship | DE |
| Post Office Address | Traungasse 6/5 | | | | | | |
| Post Office Address | | | | | | | |
| City | Wien | State | | ZIP | 1030 | Country | Austria |

| | | | | | | | |
|---|---|---|---|---|---|---|---|
| **Name of Additional Joint Inventor, if any:** | ☐ A petition has been filed for this unsigned inventor | | | | | | |
| Given Name (first and middle [if any]) | | | Family Name or Surname | | | | |
| Flavio | | | SOLCA | | | | |
| Inventor's Signature | | | | | Date | | |
| Residence: City | Wien | State | | Country | Austria | Citizenship | CH |
| Post Office Address | Fimbingergasse 1/9 | | | | | | |
| Post Office Address | | | | | | | |
| City | Wien | State | | ZIP | 1230 | Country | Austria |

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**Supplemental Sheet**
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| | | | | | | | |
|---|---|---|---|---|---|---|---|
| **Name of Additional Joint Inventor, if any:** | ☐ A petition has been filed for this unsigned inventor | | | | | | |
| Given Name (first and middle [if any]) | | | | Family Name or Surname | | | |
| Birgit | | | | JUNG | | | |
| Inventor's Signature | Birgit Jung | | | | | Date | Feb 19/02 |
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| Post Office Address | Muehlstrasse 23 | | | | | | |
| Post Office Address | | | | | | | |
| City | Schwabenheim | State | | ZIP | 55270 | Country | Germany |

| | | | | | | | |
|---|---|---|---|---|---|---|---|
| **Name of Additional Joint Inventor, if any:** | ☐ A petition has been filed for this unsigned inventor | | | | | | |
| Given Name (first and middle [if any]) | | | | Family Name or Surname | | | |
| Anke | | | | BAUM | | | |
| Inventor's Signature | | | | | | Date | |
| Residence: City | Alland | State | | Country | Austria | Citizenship | DE |
| Post Office Address | Groisbach 13 | | | | | | |
| Post Office Address | | | | | | | |
| City | Alland | State | | ZIP | 2534 | Country | Austria |

| | | | | | | | |
|---|---|---|---|---|---|---|---|
| **Name of Additional Joint Inventor, if any:** | ☐ A petition has been filed for this unsigned inventor | | | | | | |
| Given Name (first and middle [if any]) | | | | Family Name or Surname | | | |
| | | | | | | | |
| Inventor's Signature | | | | | | Date | |
| Residence: City | | State | | Country | | Citizenship | |
| Post Office Address | | | | | | | |
| Post Office Address | | | | | | | |
| City | | State | | ZIP | | Country | |

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Case No.

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# DECLARATION FOR UTILITY OR DESIGN PATENT APPLICATION (37 CFR 1.63)

☐ Declaration Submitted with Initial Filing OR ☑ Declaration Submitted after Initial Filing (surcharge (37 CFR 1.16 (e)) required)

| | |
|---|---|
| **Attorney Docket Number** | 5/1262 |
| **First Named Inventor** | Frank Himmelsbach |
| ***COMPLETE IF KNOWN*** | |
| Application Number | 10 / 016,280 |
| Filing Date | December 10, 2001 |
| Group Art Unit | |
| Examiner Name | |

**As a below named inventor, I hereby declare that:**

My residence, post office address, and citizenship are as stated below next to my name.

I believe I am the original, first and sole inventor (if only one name is listed below) or an original, first and joint inventor (if plural names are listed below) of the subject matter which is claimed and for which a patent is sought on the invention entitled:

BICYCLIC HETEROCYLES, PHARMACEUTICAL COMPOSITIONS CONTAINING THESE COMPOUNDS, THEIR USE AND PROCESSES FOR PREPARING THEM

*(Title of the Invention)*

the specification of which
☐ is attached hereto
OR
☑ was filed on (MM/DD/YYYY) 12/10/2001 as United States Application Number or PCT International Application Number 10/016,280 and was amended on (MM/DD/YYYY) _____ (if applicable).

I hereby state that I have reviewed and understand the contents of the above identified specification, including the claims, as amended by any amendment specifically referred to above.

I acknowledge the duty to disclose information which is material to patentability as defined in 37 CFR 1.56.

I hereby claim foreign priority benefits under 35 U.S.C. 119(a)-(d) or 365(b) of any foreign application(s) for patent or inventor's certificate, or 365(a) of any PCT international application which designated at least one country other than the United States of America, listed below and have also identified below, by checking the box, any foreign application for patent or inventor's certificate, or of any PCT international application having a filing date before that of the application on which priority is claimed.

| Prior Foreign Application Number(s) | Country | Foreign Filing Date (MM/DD/YYYY) | Priority Not Claimed | Certified Copy Attached? YES | Certified Copy Attached? NO |
|---|---|---|---|---|---|
| 100 23 085.7 | DE | 05/11/2000 | ☐ | ☐ | ☑ |
| 199 28 281.1 | DE | 06/21/1999 | ☐ | ☐ | ☑ |
| | | | ☐ | ☐ | ☐ |
| | | | ☐ | ☐ | ☐ |

☐ Additional foreign application numbers are listed on a supplemental priority data sheet PTO/SB/02B attached hereto:

I hereby claim the benefit under 35 U.S.C. 119(e) of any United States provisional application(s) listed below.

| Application Number(s) | Filing Date (MM/DD/YYYY) |
|---|---|
| 60/146,644 | 07/30/1999 |

☐ Additional provisional application numbers are listed on a supplemental priority data sheet PTO/SB/02B attached hereto.



Burden Hour Statement: This form is estimated to take 0.4 hours to complete. Time will vary depending upon the needs of the individual case. Any comments on the amount of time you are required to complete this form should be sent to the Chief Information Officer, Patent and Trademark Office, Washington, DC 20231. DO NOT SEND FEES OR COMPLETED FORMS TO THIS ADDRESS. SEND TO: Assistant Commissioner for Patents, Washington, DC 20231.

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# DECLARATION — Utility or Design Patent Application

I hereby claim the benefit under 35 U.S.C. 120 of any United States application(s), or 365(c) of any PCT international application designating the United States of America, listed below and, insofar as the subject matter of each of the claims of this application is not disclosed in the prior United States or PCT International application in the manner provided by the first paragraph of 35 U.S.C. 112, I acknowledge the duty to disclose information which is material to patentability as defined in 37 CFR 1.56 which became available between the filing date of the prior application and the national or PCT International filing date of this application.

| U.S. Parent Application or PCT Parent Number | Parent Filing Date (MM/DD/YYYY) | Parent Patent Number *(If applicable)* |
|---|---|---|
| PCT/EP00/05547 | 06/21/1999 | |

☐ Additional U.S. or PCT International application numbers are listed on a supplemental priority data sheet PTO/SB/02B attached hereto.

As a named inventor, I hereby appoint the following registered practitioner(s) to prosecute this application and to transact all business in the Patent and Trademark Office connected therewith: ☐ Customer Number 28505 → *Place Customer Number Bar Code Label here*
*OR*
☑ Registered practitioner(s) name/registration number listed below

| Name | Registration Number | Name | Registration Number |
|---|---|---|---|
| Robert P. Raymond | 25,089 | Susan K. Pocchiari | 45,016 |
| Alan R. Stempel | 28,991 | Philip I. Datlow | 41,482 |
| Mary-Ellen M. Devlin | 27,928 | Timothy X. Witkowski | 40,232 |
| Anthony P. Bottino | 41,629 | | |

☐ Additional registered practitioner(s) named on supplemental Registered Practitioner Information sheet PTO/SB/02C attached hereto.

Direct all correspondence to: ☑ Customer Number or Bar Code Label 28505 *OR* ☐ Correspondence address below

| | | | | | |
|---|---|---|---|---|---|
| Name | | | | | |
| Address | | | | | |
| Address | | | | | |
| City | | State | | ZIP | |
| Country | | Telephone | | Fax | |

I hereby declare that all statements made herein of my own knowledge are true and that all statements made on information and belief are believed to be true; and further that these statements were made with the knowledge that willful false statements and the like so made are punishable by fine or imprisonment, or both, under 18 U.S.C. 1001 and that such willful false statements may jeopardize the validity of the application or any patent issued thereon.

**Name of Sole or First Inventor:** ☐ A petition has been filed for this unsigned inventor

| Given Name (first and middle [if any]) | Family Name or Surname |
|---|---|
| Frank | HIMMELSBACH |

| | | | | | | | |
|---|---|---|---|---|---|---|---|
| Inventor's Signature | | | | | | Date | |
| Residence: City | Mittelbiberach | State | | Country | Germany | Citizenship | DE |
| Post Office Address | Ahornweg 16 | | | | | | |
| Post Office Address | | | | | | | |
| City | Mittelbiberach | State | | ZIP | 88441 | Country | Germany |

☑ Additional inventors are being named on the 2 supplemental Additional Inventor(s) sheet(s) PTO/SB/02A attached hereto

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PTO/SB/02A (3-97)
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# DECLARATION

**ADDITIONAL INVENTOR(S)**
**Supplemental Sheet**
Page 1 of 2

| | | | | | | | |
|---|---|---|---|---|---|---|---|
| **Name of Additional Joint Inventor, if any:** | ☐ A petition has been filed for this unsigned inventor | | | | | | |
| Given Name (first and middle [if any]) | | | Family Name or Surname | | | | |
| Elke | | | LANGKOPF | | | | |
| Inventor's Signature | | | | | Date | | |
| Residence: City | Warthausen | State | | Country | Germany | Citizenship | DE |
| Post Office Address | Schloss 3 | | | | | | |
| Post Office Address | | | | | | | |
| City | Warthausen | State | | ZIP | 88447 | Country | Germany |

| | | | | | | | |
|---|---|---|---|---|---|---|---|
| **Name of Additional Joint Inventor, if any:** | ☐ A petition has been filed for this unsigned inventor | | | | | | |
| Given Name (first and middle [if any]) | | | Family Name or Surname | | | | |
| Thomas | | | METZ | | | | |
| Inventor's Signature | Thomas metz | | | | Date | 01-28-02 | |
| Residence: City | Wien | State | | Country | Austria | Citizenship | DE |
| Post Office Address | Traungasse 6/5 | | | | | | |
| Post Office Address | | | | | | | |
| City | Wien | State | | ZIP | 1030 | Country | Austria |

| | | | | | | | |
|---|---|---|---|---|---|---|---|
| **Name of Additional Joint Inventor, if any:** | ☐ A petition has been filed for this unsigned inventor | | | | | | |
| Given Name (first and middle [if any]) | | | Family Name or Surname | | | | |
| Flavio | | | SOLCA | | | | |
| Inventor's Signature | | | | | Date | | |
| Residence: City | Wien | State | | Country | Austria | Citizenship | CH |
| Post Office Address | Fimbingergasse 1/9 | | | | | | |
| Post Office Address | | | | | | | |
| City | Wien | State | | ZIP | 1230 | Country | Austria |

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# DECLARATION

**ADDITIONAL INVENTOR(S)**
**Supplemental Sheet**
Page 2 of 2

| | | | | | | | |
|---|---|---|---|---|---|---|---|
| **Name of Additional Joint Inventor, if any:** | ☐ A petition has been filed for this unsigned inventor | | | | | | |
| Given Name (first and middle [if any]) | | | Family Name or Surname | | | | |
| Birgit | | | JUNG | | | | |
| **Inventor's Signature** | | | | | | **Date** | |
| **Residence: City** | Schwabenheim | **State** | | **Country** | Germany | **Citizenship** | DE |
| **Post Office Address** | Muehlstrasse 23 | | | | | | |
| **Post Office Address** | | | | | | | |
| **City** | Schwabenheim | **State** | | **ZIP** | 55270 | **Country** | Germany |

| | | | | | | | |
|---|---|---|---|---|---|---|---|
| **Name of Additional Joint Inventor, if any:** | ☐ A petition has been filed for this unsigned inventor | | | | | | |
| Given Name (first and middle [if any]) | | | Family Name or Surname | | | | |
| Anke | | | BAUM | | | | |
| **Inventor's Signature** | | | | | | **Date** | |
| **Residence: City** | Alland | **State** | | **Country** | Austria | **Citizenship** | DE |
| **Post Office Address** | Groisbach 13 | | | | | | |
| **Post Office Address** | | | | | | | |
| **City** | Alland | **State** | | **ZIP** | 2534 | **Country** | Austria |

| | | | | | | | |
|---|---|---|---|---|---|---|---|
| **Name of Additional Joint Inventor, if any:** | ☐ A petition has been filed for this unsigned inventor | | | | | | |
| Given Name (first and middle [if any]) | | | Family Name or Surname | | | | |
| | | | | | | | |
| **Inventor's Signature** | | | | | | **Date** | |
| **Residence: City** | | **State** | | **Country** | | **Citizenship** | |
| **Post Office Address** | | | | | | | |
| **Post Office Address** | | | | | | | |
| **City** | | **State** | | **ZIP** | | **Country** | |

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Case No.

# APPLICATION DATA SHEET

## APPLICATION INFORMATION

| | |
|---|---|
| **Application Type::** | Regular |
| **Subject Matter::** | Utility |
| **CD-ROM or CD-R?::** | None |
| **Number of CD disks::** | 0 |
| **Number of copies of CDs::** | 0 |
| **Sequence submission?::** | None |
| **Computer Readable Form (CRF)?::** | No |
| **Number of copies of CRF::** | 0 |
| **Title::** | Bicyclic heterocycles, pharmaceutical compositions containing these compounds, their use and processes for preparing them |
| **Attorney Docket Number::** | 5/1262 |
| **Request for Early Publication?::** | No |
| **Request for Non-Publication?::** | No |
| **Total Drawing Sheets::** | 0 |
| **Small Entity?::** | No |
| **Petition included?::** | No |
| **Secrecy Order in Parent Appl.?::** | No |

## APPLICANT INFORMATION

| | |
|---|---|
| **Applicant Authority Type::** | Inventor |
| **Primary Citizenship Country::** | Germany |
| **Status::** | Full Capacity |
| **Given Name::** | Frank |
| **Family Name::** | HIMMELSBACH |
| **City of Residence::** | Mittelbiberach |
| **Country of Residence::** | Germany |
| **Street of mailing address::** | Ahornweg 16 |
| **City of mailing address::** | Mittelbiberach |
| **Country of mailing address::** | Germany |



Initial 01/08/04

| | |
|---|---|
| **Postal or Zip Code of mailing address::** | 88441 |
| **Applicant Authority Type::** | Inventor |
| **Primary Citizenship Country::** | Germany |
| **Status::** | Full Capacity |
| **Given Name::** | Elke |
| **Family Name::** | LANGKOPF |
| **City of Residence::** | Warthausen |
| **Country of Residence::** | Germany |
| **Street of mailing address::** | Schloss 3 |
| **City of mailing address::** | Warthausen |
| **Country of mailing address::** | Germany |
| **Postal or Zip Code of mailing address::** | 88447 |
| **Applicant Authority Type::** | Inventor |
| **Primary Citizenship Country::** | Austria |
| **Status::** | Full Capacity |
| **Given Name::** | Thomas |
| **Family Name::** | METZ |
| **City of Residence::** | Wien |
| **Country of Residence::** | Austria |
| **Street of mailing address::** | Traungasse 6/5 |
| **City of mailing address::** | Wien |
| **Country of mailing address::** | Austria |
| **Postal or Zip Code of mailing address::** | A-1030 |
| **Applicant Authority Type::** | Inventor |
| **Primary Citizenship Country::** | Switzerland |
| **Status::** | Full Capacity |
| **Given Name::** | Flavio |
| **Family Name::** | SOLCA |
| **City of Residence::** | Wien |
| **Country of Residence::** | Austria |



Initial 01/08/04

| | |
|---|---|
| **Street of mailing address::** | Fimbingergasse 1/9 |
| **City of mailing address::** | Wien |
| **Country of mailing address::** | Austria |
| **Postal or Zip Code of mailing address::** | A-1230 |

| | |
|---|---|
| **Applicant Authority Type::** | Inventor |
| **Primary Citizenship Country::** | Germany |
| **Status::** | Full Capacity |
| **Given Name::** | Birgit |
| **Family Name::** | JUNG |
| **City of Residence::** | Schwabenheim |
| **Country of Residence::** | Germany |
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| **City of mailing address::** | Schwabenheim |
| **Country of mailing address::** | Germany |
| **Postal or Zip Code of mailing address::** | 55270 |

| | |
|---|---|
| **Applicant Authority Type::** | Inventor |
| **Primary Citizenship Country::** | Austria |
| **Status::** | Full Capacity |
| **Given Name::** | Anke |
| **Family Name::** | BAUM |
| **City of Residence::** | Wien |
| **Country of Residence::** | Austria |
| **Street of mailing address::** | Herminengasse 10/12 |
| **City of mailing address::** | Wien |
| **Country of mailing address::** | Austria |
| **Postal or Zip Code of mailing address::** | A-1020 |

**CORRESPONDENCE INFORMATION**

| | |
|---|---|
| **Correspondence Customer Number::** | 28505 |



Initial 01/08/04

**REPRESENTATIVE INFORMATION**

**Representative Customer Number::** 28505

**DOMESTIC PRIORITY INFORMATION**

| Application:: | Continuity Type:: | Parent Application:: | Parent Filing Date:: |
|---|---|---|---|
| This Application | National Stage of | PCT/EP00/05547 | 06/21/1999 |
| | Non-Provisional of | 60/146,644 | 07/30/1999 |

**FOREIGN PRIORITY INFORMATION**

| Country:: | Application Number:: | Filing Date:: | Priority Claimed:: |
|---|---|---|---|
| DE | 199 28 281.1 | 06/21/1999 | Yes |
| DE | 100 23 085.7 | 05/11/2000 | Yes |

**ASSIGNEE INFORMATION**

**Assignee name::** Boehringer Ingelheim Pharma KG

**Street of mailing address::** Binger Strasse 173

**City of mailing address::** Ingelheim

**Country of mailing address::** Germany

**Postal or Zip Code of mailing address::** 55216



Initial 01/08/04

UNITED STATES PATENT AND TRADEMARK OFFICE

UNITED STATES DEPARTMENT OF COMMERCE
United States Patent and Trademark Office
Address: COMMISSIONER FOR PATENTS
P.O. Box 1450
Alexandria, Virginia 22313-1450
www.uspto.gov

| APPLICATION NO. | FILING DATE | FIRST NAMED INVENTOR | ATTORNEY DOCKET NO. | CONFIRMATION NO. |
|---|---|---|---|---|
| 10/016,280 | 12/10/2001 | Frank Himmelsbach | 5/1262 | 7351 |

28505 7590 04/23/2004

BOEHRINGER INGELHEIM CORPORATION
900 RIDGEBURY ROAD
P. O. BOX 368
RIDGEFIELD, CT 06877

7-23-04
10-23-04 LAST DAY

| EXAMINER | |
|---|---|
| PATEL, SUDHAKER B | |
| **ART UNIT** | **PAPER NUMBER** |
| 1624 | |

DATE MAILED: 04/23/2004

Please find below and/or attached an Office communication concerning this application or proceeding.

| Office Action Summary | Application No. | Applicant(s) | |
|---|---|---|---|
| | 10/016,280 | HIMMELSBACH ET AL | |
| | Examiner | Art Unit | |
| | Sudhaker B. Patel, D.Sc.Tech. | 1624 | |

*-- The MAILING DATE of this communication appears on the cover sheet with the correspondence address --*

**Period for Reply**

A SHORTENED STATUTORY PERIOD FOR REPLY IS SET TO EXPIRE 3 MONTH(S) FROM THE MAILING DATE OF THIS COMMUNICATION.

- Extensions of time may be available under the provisions of 37 CFR 1.136(a). In no event, however, may a reply be timely filed after SIX (6) MONTHS from the mailing date of this communication.
- If the period for reply specified above is less than thirty (30) days, a reply within the statutory minimum of thirty (30) days will be considered timely.
- If NO period for reply is specified above, the maximum statutory period will apply and will expire SIX (6) MONTHS from the mailing date of this communication.
- Failure to reply within the set or extended period for reply will, by statute, cause the application to become ABANDONED (35 U.S.C. § 133). Any reply received by the Office later than three months after the mailing date of this communication, even if timely filed, may reduce any earned patent term adjustment. See 37 CFR 1.704(b).

**Status**

1)☒ Responsive to communication(s) filed on *08 January 2004*.

2a)☒ This action is **FINAL**. 2b)☐ This action is non-final.

3)☐ Since this application is in condition for allowance except for formal matters, prosecution as to the merits is closed in accordance with the practice under *Ex parte Quayle*, 1935 C.D. 11, 453 O.G. 213.

**Disposition of Claims**

4)☒ Claim(s) *1-9,12 and 13* is/are pending in the application.

4a) Of the above claim(s) *10 and 11* is/are withdrawn from consideration.

5)☐ Claim(s) _____ is/are allowed.

6)☒ Claim(s) *1-5,7-9,12 and 13* is/are rejected.

7)☒ Claim(s) *6* is/are objected to.

8)☐ Claim(s) _____ are subject to restriction and/or election requirement.

**Application Papers**

9)☐ The specification is objected to by the Examiner.

10)☐ The drawing(s) filed on _____ is/are: a)☐ accepted or b)☐ objected to by the Examiner.

Applicant may not request that any objection to the drawing(s) be held in abeyance. See 37 CFR 1.85(a).

Replacement drawing sheet(s) including the correction is required if the drawing(s) is objected to. See 37 CFR 1.121(d).

11)☐ The oath or declaration is objected to by the Examiner. Note the attached Office Action or form PTO-152.

**Priority under 35 U.S.C. § 119**

12)☒ Acknowledgment is made of a claim for foreign priority under 35 U.S.C. § 119(a)-(d) or (f).

a)☐ All b)☐ Some * c)☒ None of:

1.☒ Certified copies of the priority documents have been received.

2.☐ Certified copies of the priority documents have been received in Application No. _____.

3.☐ Copies of the certified copies of the priority documents have been received in this National Stage application from the International Bureau (PCT Rule 17.2(a)).

* See the attached detailed Office action for a list of the certified copies not received.

**Attachment(s)**

1) ☒ Notice of References Cited (PTO-892)
2) ☐ Notice of Draftsperson's Patent Drawing Review (PTO-948)
3) ☐ Information Disclosure Statement(s) (PTO-1449 or PTO/SB/08) Paper No(s)/Mail Date _____.
4) ☒ Interview Summary (PTO-413) Paper No(s)/Mail Date. *4/20/04* .
5) ☐ Notice of Informal Patent Application (PTO-152)
6) ☐ Other: _____.

## DETAILED ACTION

1. Applicants' communication paper dated 1/8/04 is acknowledged.

Applicants have, cancelled claims 10-11, amended claims 1-9,and presented new claims 12,13. Therefore, the claims in this application are the claims 1-9,12,13.

Applicants' above stated amendments to claims, cancellation of claims together with their arguments and remarks have been reviewed, but not found persuasive for the allowance of this case as is for the reasons stated bellow. See interview summary dated 4/20/04 enclosed with this communication.

***Election/Restrictions***

2. Applicants have elected species of generic Formula (I) of claim 1, namely, compound of Example 3 recited in page 44 lines 20-22 (= 4-[(3-Chloro-4-fluorophenyl) amino]-6-{[4-(N, N-diethyl amino)-oxo-2-buten-1-yl] amino}-7-ccyclopropylmethoxyquinazoline), claims (in part) 1-11, drawn to compounds, compositions, method of use, and the first recited process of making the same for the generic Formula (I). The restriction/election has been made FINAL in earlier Office communication paper dated 7/8/03.

**Rejections maintained:**

3. Applicants' above stated arguments, remarks and amendments have been reconsidered but not found persuasive for withdrawal of rejections made under 35 U.S.C.112 paragraph second for claims 1-5,7,8,9,12,13 for reasons already stated in prior Office communication paper dated 7/8/03. Following additional reasons apply.

(A). Claim 1 recites C variable as:" 1,3-allenylene, 1,1- or 1,2-vinylelne". It is not very clear as to what applicants want to present with. Ally group consists of 3 carbon atoms with 1 double bond. Alternatively it is a propylene group with 1 double bond. Similarly, vinyl group consists of 2 carbon atoms with 1 double bond". Correction to what is exactly and definitely claimed group(s) is required.

(B). Claims 1,3 recites C variable as:" 1,3-butadien-1, 4-ylene". 1,3-butadien is having a core:" CH2=CH-CH=CH2 wherein the double bonds are carbon atoms 1 and 3 respectively". Are diacetylene(s) with 4 carbon atoms excluded or a mixture of a double bond and a triple bond in a 4-carbon chain/bridge? Correction to exact and definite structure is required.

©. Claims 1,3 recite C components as:" ethynylene group". Is acetylene group excluded?. Correction to exact and definite structure is required.

(D). Claims 9,13 recite:"or preventing". Cancellation is required.

(E). Claim 12 recites:" with one or more inert carriers and/or diluents". A pharmaceutical composition with a carrier is different from with a carrier and a diluent. With other combination(s) either alone or in plurals the nature and composition(s) are

also different. Correction to:" with an inert carrier and with or without a diluent" is required.
(F). Claims 9, 13 recite "benign or malignant tumors". Correction to a single, specific and definite tumor that can be treated by the invention is required.
(G). Claims1-9,are presented after amendments to delete prior art(s), but based on above stated reasons it is difficult to read what is exactly claimed. E.g. The reference Wissner et al(WO 9909016, also cited as Chemical Abstract DN 130:196664).

Claims 2,4,5,7,8 are rejected because they are dependent on the rejected claim(s).

***Priority***

4. This application claims benefit of 60146644 filed 7/30/1999. It also claims the priority to foreign applications (1). Germany 19928281.1 filed 6/21/1999. (2). Germany 10023085.7 5/11/200. On going thru' the records and the eDAN electronic file as received by the Examiner, this file is missing the certified true copies of both of the foreign files stated above. Applicants are urged to provide the same to complete the records of e-DAN system prior to allowance. See interview summary attached with this communication.

***Specification***

5. The specification is amended as per applicants page 2 of the amendment dated 1/1/8/04.

***Conclusion***

***Allowable Subject Matter***

6. Claim6 is objected to as being dependent upon a rejected base claim, but would be allowable if rewritten in independent form including all of the limitations of the base claim and any intervening claims.
7. Claims 1-5,7-9,12,13 would be allowable if rewritten to overcome the rejection(s) under 35 U.S.C. 112, second paragraph and others together with other requirements, set forth in this Office action and to include all of the limitations of the base claim and any intervening claims.
8. The closest prior Art(s) of record reference. '226(EP566226) is teaching making of quinazoline tyrosine kinase-inhibiting anticancer agents.

The ref. '226 chemical core is:

"4,6-Quinazolinediamine, 7-methoxy-N-4-(3methylphenyl)"

The ref. '226 differs from the instant claims by having CH3-O- instead of –O-CH2-Cycloclkyl, and –NH2 instead of –NH-CO-CH=CH-CH2-N(alkyl)2.

The other ref. '983(WO 9738983) teaches making of irreversible inhibitors of tyrosine kinase. See compound of Example 55 on page 123, and the compounds of claim 1 on pages 152-154.

The references either alone or in combination do not suggest totarrive at the instant claims as presented after amendments and cancellation of claims 10,11 .

9. **THIS ACTION IS MADE FINAL.** Applicant is reminded of the extension of time policy as set forth in 37 CFR 1.136(a).

A shortened statutory period for reply to this final action is set to expire THREE MONTHS from the mailing date of this action. In the event a first reply is filed within TWO MONTHS of the mailing date of this final action and the advisory action is not mailed until after the end of the THREE-MONTH shortened statutory period, then the shortened statutory period will expire on the date the advisory action is mailed, and any extension fee pursuant to 37 CFR 1.136(a) will be calculated from the mailing date of the advisory action. In no event, however, will the statutory period for reply expire later than SIX MONTHS from the mailing date of this final action.

10. Any inquiry concerning this communication or earlier communications from the examiner should be directed to Sudhaker B. Patel, D.Sc.Tech. whose telephone number is (571) 272-0671.

The examiner can normally be reached on 6:30 to 5:00 pm (Monday-Thursday). If attempts to reach the examiner by telephone are unsuccessful, the examiner's supervisor, Dr. Mukund J. Shah can be reached on (571) 272 0674 or Sr. Examiner Mr. Richard Raymond at (571) 272 0673 or Mr. James O. Wilson at (571) 272-0661.

The fax phone numbers for the organization where this application or proceeding is assigned are 703 308 4556 for regular communications and 703 308 4556 for After Final communications. Any inquiry of a general nature or relating to the status of this application or proceeding should be directed to the receptionist whose telephone number is 703 308 1235.Information regarding the status of an application may be obtained from the Patent Application Information Retrieval (PAIR) system. Status information for published applications may be obtained from either Private PAIR or Public PAIR. Status information for unpublished applications is available through Private PAIR only. For more information about the PAIR system, see http://pair-direct.uspto.gov. Should you have questions on access to the Private PAIR system, contact the Electronic Business Center (EBC) at 866-217-9197 (toll-free).

RICHARD L. RAYMOND
PRIMARY EXAMINER
ART UNIT 1624

Sudhaker B. Patel, D.Sc. Tech.
April 20, 2004

MUKUND SHAH
SUPERVISORY PATENT EXAMINER
ART UNIT 1624/1623

| Interview Summary | Application No. | Applicant(s) | |
|---|---|---|---|
| | 10/016,280 | HIMMELSBACH ET AL | |
| | Examiner | Art Unit | |
| | Sudhaker B. Patel, D.Sc.Tech. | 1624 | |

All participants (applicant, applicant's representative, PTO personnel):

(1) *Sudhaker B. Patel, D.Sc.Tech.*. (3)_____.

(2) *ATTY.S.K.Pocchiari*. (4)_____.

Date of Interview: *20 April 2004*.

Type: a)☒ Telephonic b)☐ Video Conference
c)☐ Personal [copy given to: 1)☐ applicant 2)☐ applicant's representative]

Exhibit shown or demonstration conducted: d)☐ Yes e)☒ No.
If Yes, brief description: _____.

Claim(s) discussed: *1-9,12 and 13*.

Identification of prior art discussed: *None*.

Agreement with respect to the claims f)☐ was reached. g)☒ was not reached. h)☐ N/A.

Substance of Interview including description of the general nature of what was agreed to if an agreement was reached, or any other comments: *Examiner initiated the discussion by calling the applicants to informthem that the ibstant application is not ready for allowance in the as is stagte.The Foreign priority papewrs' cerfified copies are notin the e-DAN file received by the examined. Applicants were urged to provide the same. FR will be mailed for applicants' review with their clients abroad and reply to Office.*.

(A fuller description, if necessary, and a copy of the amendments which the examiner agreed would render the claims allowable, if available, must be attached. Also, where no copy of the amendments that would render the claims allowable is available, a summary thereof must be attached.)

THE FORMAL WRITTEN REPLY TO THE LAST OFFICE ACTION MUST INCLUDE THE SUBSTANCE OF THE INTERVIEW. (See MPEP Section 713.04). If a reply to the last Office action has already been filed, APPLICANT IS GIVEN ONE MONTH FROM THIS INTERVIEW DATE, OR THE MAILING DATE OF THIS INTERVIEW SUMMARY FORM, WHICHEVER IS LATER, TO FILE A STATEMENT OF THE SUBSTANCE OF THE INTERVIEW. See Summary of Record of Interview requirements on reverse side or on attached sheet.

Examiner Note: You must sign this form unless it is an Attachment to a signed Office action.

Examiner's signature, if required

## Summary of Record of Interview Requirements

**Manual of Patent Examining Procedure (MPEP), Section 713.04, Substance of Interview Must be Made of Record**
**A complete written statement as to the substance of any face-to-face, video conference, or telephone interview with regard to an application must be made of record in the application whether or not an agreement with the examiner was reached at the interview.**

**Title 37 Code of Federal Regulations (CFR) § 1.133 Interviews**
**Paragraph (b)**
**In every instance where reconsideration is requested in view of an interview with an examiner, a complete written statement of the reasons presented at the interview as warranting favorable action must be filed by the applicant. An interview does not remove the necessity for reply to Office action as specified in §§ 1.111, 1.135. (35 U.S.C. 132)**

**37 CFR §1.2 Business to be transacted in writing.**
**All business with the Patent or Trademark Office should be transacted in writing. The personal attendance of applicants or their attorneys or agents at the Patent and Trademark Office is unnecessary. The action of the Patent and Trademark Office will be based exclusively on the written record in the Office. No attention will be paid to any alleged oral promise, stipulation, or understanding in relation to which there is disagreement or doubt.**

---

The action of the Patent and Trademark Office cannot be based exclusively on the written record in the Office if that record is itself incomplete through the failure to record the substance of interviews.

It is the responsibility of the applicant or the attorney or agent to make the substance of an interview of record in the application file, unless the examiner indicates he or she will do so. It is the examiner's responsibility to see that such a record is made and to correct material inaccuracies which bear directly on the question of patentability.

Examiners must complete an Interview Summary Form for each interview held where a matter of substance has been discussed during the interview by checking the appropriate boxes and filling in the blanks. Discussions regarding only procedural matters, directed solely to restriction requirements for which interview recordation is otherwise provided for in Section 812.01 of the Manual of Patent Examining Procedure, or pointing out typographical errors or unreadable script in Office actions or the like, are excluded from the interview recordation procedures below. Where the substance of an interview is completely recorded in an Examiners Amendment, no separate Interview Summary Record is required.

The Interview Summary Form shall be given an appropriate Paper No., placed in the right hand portion of the file, and listed on the "Contents" section of the file wrapper. In a personal interview, a duplicate of the Form is given to the applicant (or attorney or agent) at the conclusion of the interview. In the case of a telephone or video-conference interview, the copy is mailed to the applicant's correspondence address either with or prior to the next official communication. If additional correspondence from the examiner is not likely before an allowance or if other circumstances dictate, the Form should be mailed promptly after the interview rather than with the next official communication.

The Form provides for recordation of the following information:
- Application Number (Series Code and Serial Number)
- Name of applicant
- Name of examiner
- Date of interview
- Type of interview (telephonic, video-conference, or personal)
- Name of participant(s) (applicant, attorney or agent, examiner, other PTO personnel, etc.)
- An indication whether or not an exhibit was shown or a demonstration conducted
- An identification of the specific prior art discussed
- An indication whether an agreement was reached and if so, a description of the general nature of the agreement (may be by attachment of a copy of amendments or claims agreed as being allowable). Note: Agreement as to allowability is tentative and does not restrict further action by the examiner to the contrary.
- The signature of the examiner who conducted the interview (if Form is not an attachment to a signed Office action)

It is desirable that the examiner orally remind the applicant of his or her obligation to record the substance of the interview of each case. It should be noted, however, that the Interview Summary Form will not normally be considered a complete and proper recordation of the interview unless it includes, or is supplemented by the applicant or the examiner to include, all of the applicable items required below concerning the substance of the interview.

A complete and proper recordation of the substance of any interview should include at least the following applicable items:
1) A brief description of the nature of any exhibit shown or any demonstration conducted,
2) an identification of the claims discussed,
3) an identification of the specific prior art discussed,
4) an identification of the principal proposed amendments of a substantive nature discussed, unless these are already described on the Interview Summary Form completed by the Examiner,
5) a brief identification of the general thrust of the principal arguments presented to the examiner,
   (The identification of arguments need not be lengthy or elaborate. A verbatim or highly detailed description of the arguments is not required. The identification of the arguments is sufficient if the general nature or thrust of the principal arguments made to the examiner can be understood in the context of the application file. Of course, the applicant may desire to emphasize and fully describe those arguments which he or she feels were or might be persuasive to the examiner.)
6) a general indication of any other pertinent matters discussed, and
7) if appropriate, the general results or outcome of the interview unless already described in the Interview Summary Form completed by the examiner.

Examiners are expected to carefully review the applicant's record of the substance of an interview. If the record is not complete and accurate, the examiner will give the applicant an extendable one month time period to correct the record.

**Examiner to Check for Accuracy**

If the claims are allowable for other reasons of record, the examiner should send a letter setting forth the examiner's version of the statement attributed to him or her. If the record is complete and accurate, the examiner should place the indication, "Interview Record OK" on the paper recording the substance of the interview along with the date and the examiner's initials.

| Notice of References Cited | Application/Control No. 10/016,280 | Applicant(s)/Patent Under Reexamination HIMMELSBACH ET AL. | |
|---|---|---|---|
| | Examiner Sudhaker B. Patel, D.Sc.Tech. | Art Unit 1624 | Page 1 of 1 |

**U.S. PATENT DOCUMENTS**

| * | | Document Number Country Code-Number-Kind Code | Date MM-YYYY | Name | Classification |
|---|---|---|---|---|---|
| | A | US- | | | |
| | B | US- | | | |
| | C | US- | | | |
| | D | US- | | | |
| | E | US- | | | |
| | F | US- | | | |
| | G | US- | | | |
| | H | US- | | | |
| | I | US- | | | |
| | J | US- | | | |
| | K | US- | | | |
| | L | US- | | | |
| | M | US- | | | |

**FOREIGN PATENT DOCUMENTS**

| * | | Document Number Country Code-Number-Kind Code | Date MM-YYYY | Country | Name | Classification |
|---|---|---|---|---|---|---|
| * | N | 9909016 | 02-1999 | WO | Wissner et al | |
| * | O | 9738983 | 10-1997 | WO | Bridges et al | |
| * | P | 566226 | 10-1993 | EP | Barker et al | |
| | Q | | | | | |
| | R | | | | | |
| | S | | | | | |
| | T | | | | | |

**NON-PATENT DOCUMENTS**

| * | | Include as applicable: Author, Title Date, Publisher, Edition or Volume, Pertinent Pages) |
|---|---|---|
| | U | Chemical Abstract DN 130:196664, also cited as WO9909016. |
| | V | |
| | W | |
| | X | |

*A copy of this reference is not being furnished with this Office action. (See MPEP § 707.05(a).)
Dates in MM-YYYY format are publication dates. Classifications may be US or foreign.

L8 ANSWER 9 OF [illegible] CAPLUS COPYRIGHT 2004 ACS on STN
AN 1999:139837 CAPLUS
DN 130:196664
TI Preparation of 4-phenylaminoquinazolin-6-ylamides and related compounds as tyrosine kinase inhibitors.
IN Wissner, Allan; Tsou, Hwei-ru; Johnson, Bernard Dean; Hamann, Philip Ross; Zhang, Nan
PA American Cyanamid Company, USA
SO PCT Int. Appl., 121 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| PI WO 9909016 | A1 | 19990225 | WO 1998-US15789 | 19980729 |
| W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, GM, HR, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM | | | | |
| RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG | | | | |
| | | | US 1997-904942 A | 19970801 |
| TW 436485 | B | 20010528 | TW 1998-87112356 | 19980728 |
| | | | US 1997-904942 A | 19970801 |
| AU 9886023 | A1 | 19990308 | AU 1998-86023 | 19980729 |
| AU 757418 | B2 | 20030220 | | |
| | | | US 1997-904942 A | 19970801 |
| | | | WO 1998-US15789W | 19980729 |
| EP 1000039 | A1 | 20000517 | EP 1998-937275 | 19980729 |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, SI, LT, LV, FI, RO | | | | |
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| | | | US 1997-55072P P | 19970801 |
| | | | US 1997-904942 A | 19970801 |
| JP 2001515071 | T2 | 20010918 | JP 2000-509699 | 19980729 |
| | | | US 1997-904942 A | 19970801 |
| | | | WO 1998-US15789W | 19980729 |
| ZA 9806905 | A | 20000131 | ZA 1998-6905 | 19980731 |
| | | | US 1997-904942 A | 19970801 |
| NO 2000000487 | A | 20000331 | NO 2000-487 | 20000131 |
| | | | US 1997-904942 A | 19970801 |
| | | | WO 1998-US15789W | 19980729 |

OS MARPAT 130:196664
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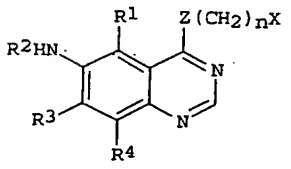


I

AB Title compds. [I; X = (substituted) cycloalkyl, pyridinyl, pyrimidinyl, Ph; Z = NH, O, S, NR; R = alkyl; R1, R3, R4 = H, halo, alkyl, alkenyl, alkynyl, alkenyloxy, alkynyloxy, CH2OH, halomethyl, alkanoyloxy, alkenoyloxy, alkynoyloxy, alkanoyloxymethyl, etc.; R2 = R5C.tplbond.CCO, (R5)2C:CR5CO, R5SS[C(R5)2]rCO, etc.; n = 0, 1; r = 1-4; R5 = H, CO2H, carboalkoxy, Ph, etc.], were prepared Thus, 4-dimethylamino-2-butynoic acid (preparation given) was stirred with iso-Bu chloroformate and N-methylmorpholine in THF with ice cooling; N-(3-bromophenyl)-4,6-quinazolinediamine in pyridine was added and the mixture was stirred 2 h at 0° to give 4-dimethylamino-2-butynoic acid [4-(3-bromophenylamino)quinazolin-6-yl]amide. The latter inhibited MB435 tumor cell growth with IC50 = 0.05 μg/mL.
RE.CNT 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

APPLICANT(S): **Himmelsbach, et al**
SERIAL NO.: **10/016,280**
FILING DATE: **December 10, 2001**
DOCKET NO.: **5/1262**
TITLE: **Bicyclic heterocycles, pharmaceutical compositions containing these compounds, their use and processes for preparing them**

IN CONNECTION WITH THE ABOVE CASE, PLEASE DATE STAMP TO ACKNOWLEDGE RECEIPT OF THE DOCUMENTS LISTED BELOW, AND RETURN TO ADDRESSEE.

1. **Reply after Final with Amendment (16 pages)**
2. **Notice of Appeal (1 page in triplicate)**
3. **Petition for Extension of Time (1 page in triplicate)**
4. **Priority Document #199 28 281.1 and #100 23 085.7**

**Mailed: October 21, 2004**

**IN THE UNITED STATES PATENT AND TRADEMARK OFFICE**

| | | | |
|---|---|---|---|
| Application of | : Himmelsbach, F. et al | ) Art Unit: | 1624 |
| Serial No. | : 10/016,280 | ) Examiner: | Patel, S. |
| Confirmation No. | : 7351 | | |
| Filed | : December 10, 2001 | | |
| For | : Bicyclic heterocycles, pharmaceutical compositions containing these compounds, their use and processes for preparing them | | |
| Docket No. | : 5/1262 | | |

Mail Stop - AF
Commissioner for Patents
P.O. Box 1450
Alexandria, VA 22313-1450

**REPLY AFTER FINAL WITH AMENDMENT UNDER 37 U.S.C. § 1.116**

Sir:

In response to the Office Action mailed on April 23, 2004, please consider the remarks and enter the amendments below. Submitted herewith is: (a) a Petition for Extension of Time for three months up to and including October 23, 2004, together with the necessary fee; (b) a Notice of Appeal, with fee; and (c) certified true copies of priority applications Germany 19928281.1 and Germany 10023085.7.

**Amendments to the claims** are reflected in the listing of claims which begins on page 2 of this paper.

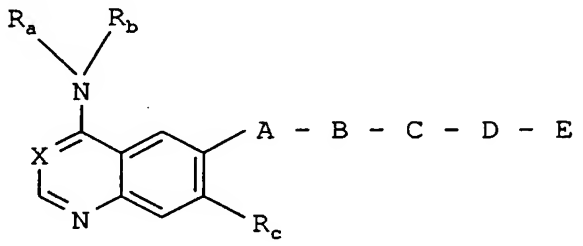
**Remarks** begin on page 13 of this paper.

**Amendments to the Claims:**

This listing of claims will replace all prior versions and listing of claims in the application:

**Listing of Claims:**

1. (currently amended) A quinazoline compound of formula




, (I)

wherein

$R_a$ denotes a hydrogen atom or a $C_{1-4}$-alkyl group,

$R_b$ denotes a phenyl, benzyl or 1-phenylethyl group wherein the phenyl nucleus is substituted in each case by the groups $R_1$ to $R_3$, whilst

$R_1$ and $R_2$, which may be identical or different, in each case denote a hydrogen, fluorine, chlorine, bromine or iodine atom,

a $C_{1-4}$-alkyl, hydroxy, $C_{1-4}$-alkoxy, $C_{3-6}$-cycloalkyl, $C_{4-6}$-cycloalkoxy, $C_{2-5}$-alkenyl or $C_{2-5}$-alkynyl group,

an aryl, aryloxy, arylmethyl or arylmethoxy group,

a $C_{3-5}$-alkenyloxy or $C_{3-5}$-alkynyloxy group, whilst the unsaturated moiety may not be linked to the oxygen atom,

a $C_{1-4}$-alkylsulfenyl, $C_{1-4}$-alkylsulfinyl, $C_{1-4}$-alkylsulfonyl, $C_{1-4}$-alkylsulfonyloxy, trifluoromethylsulfenyl, trifluoromethylsulfinyl or trifluoromethylsulfonyl group,

a methyl or methoxy group substituted by 1 to 3 fluorine atoms,

an ethyl or ethoxy group substituted by 1 to 5 fluorine atoms,

a cyano or nitro group or an amino group optionally substituted by one or two $C_{1-4}$-alkyl groups, wherein the substituents may be identical or different, or

$R_1$ together with $R_2$, if they are bound to adjacent carbon atoms, denote a -CH=CH-CH=CH group and

$R_3$ denotes a hydrogen, fluorine, chlorine or bromine atom,

a $C_{1-4}$-alkyl, trifluoromethyl or $C_{1-4}$-alkoxy group,

X denotes a nitrogen atom,

A denotes an imino group optionally substituted by a $C_{1-4}$-alkyl group,

B denotes a carbonyl group,

C denotes a ~~1,3-allenylene~~ -CH=C=CH-, ~~1,1-~~ >C=$CH_2$ or ~~1,2-vinylene~~ -CH=CH- group which may be substituted in each case by one or two methyl groups or by a trifluoromethyl group,

an ~~ethynylene~~ -C≡C- group or

a ~~1,3-butadien-1,4-ylene~~ -CH=CH-CH=CH- group optionally substituted by 1 to 4 methyl groups or by a trifluoromethyl group,

D denotes an alkylene group wherein the alkylene moiety contains 1 to 8 carbon atoms and additionally 1 to 4 hydrogen atoms in the alkylene moiety may be replaced by fluorine atoms,

E denotes an amino, $C_{1-4}$-alkylamino or di-($C_{1-4}$-alkyl)-amino group wherein the alkyl moieties may be identical or different,

a $C_{2-4}$-alkylamino group wherein the alkyl moiety is substituted in β-, γ-, or δ-position with regard to the nitrogen atom of the amino group by the group $R_5$, whilst

$R_5$ denotes a hydroxy, $C_{1-4}$-alkoxy, amino, $C_{1-4}$-alkylamino or di-($C_{1-4}$-alkyl)-amino group,

an N-($C_{1-4}$-alkyl)-N-($C_{2-4}$-alkyl)-amino group wherein the $C_{2-4}$-alkyl moiety is substituted in β-, γ-, or δ-position with regard to the nitrogen atom of the amino group by the group $R_5$, whilst $R_5$ is as hereinbefore defined,

a di-($C_{2-4}$-alkyl)-amino group wherein the two $C_{2-4}$-alkyl moieties are substituted in each case in β-, γ-, or δ-position with regard to the nitrogen atom of the amino group by the group $R_5$, whilst the substituents may be identical or different and $R_5$ is as hereinbefore defined,

a $C_{3-7}$-cycloalkylamino or $C_{3-7}$-cycloalkyl-$C_{1-3}$-alkylamino group wherein in each case the nitrogen atom may be substituted by a further $C_{1-4}$-alkyl group,

$R_c$ denotes a $C_{4-7}$-cycloalkoxy or $C_{3-7}$-cycloalkyl-$C_{1-6}$-alkoxy group wherein the cycloalkyl moiety in each case may be substituted by a $C_{1-3}$-alkyl, hydroxy, $C_{1-4}$-alkoxy, amino, $C_{1-4}$-alkylamino, di-($C_{1-4}$-alkyl)-amino, hydroxy-$C_{1-2}$-alkyl, $C_{1-4}$-alkoxy-$C_{1-2}$-alkyl, amino-$C_{1-2}$-alkyl, $C_{1-4}$-alkylamino-$C_{1-2}$-alkyl, or di-($C_{1-4}$-alkyl)-amino-$C_{1-2}$-alkyl group, whilst the abovementioned monosubstituted cycloalkyl moieties may additionally be substituted by a $C_{1-3}$-alkyl group,

whilst

by the aryl moieties mentioned in the definition of the abovementioned groups is meant a phenyl group which in each case may be monosubstituted by $R_7$, mono-, di- or trisubstituted by $R_8$ or monosubstituted by $R_7$ and additionally mono- or disubstituted by $R_8$, wherein the substituents may be identical or different and

$R_7$ denotes a cyano, carboxy, $C_{1-4}$-alkoxycarbonyl, aminocarbonyl, $C_{1-4}$-alkylaminocarbonyl, di-($C_{1-4}$-alkyl)-aminocarbonyl, $C_{1-4}$-alkylsulfenyl, $C_{1-4}$-alkylsulfinyl, $C_{1-4}$-alkylsulfonyl, hydroxy, $C_{1-4}$-alkylsulfonyloxy, trifluoromethyloxy, nitro, amino, $C_{1-4}$-alkylamino, di-($C_{1-4}$-alkyl)-amino, $C_{1-4}$-alkylcarbonylamino, N-($C_{1-4}$-alkyl)-$C_{1-4}$-alkylcarbonylamino, $C_{1-4}$-alkylsulfonylamino, N-($C_{1-4}$-alkyl)-$C_{1-4}$-alkylsulfonylamino, aminosulfonyl, $C_{1-4}$-alkylaminosulfonyl or di-($C_{1-4}$-alkyl)-aminosulfonyl group, and

$R_8$ denotes a fluorine, chlorine, bromine or iodine atom, a $C_{1-4}$-alkyl, trifluoromethyl or $C_{1-4}$-alkoxy group or

two groups $R_8$, if they are bound to adjacent carbon atoms, together denote a $C_{3-5}$-alkylene or 1,3-butadien-1,4-ylene group,

or the tautomers, or stereoisomers or pharmaceutically acceptable salts thereof.

2. (currently amended) A quinazoline of formula I according to claim 1, wherein

$R_a$ denotes a hydrogen atom,

$R_b$ denotes a phenyl, benzyl or 1-phenylethyl group wherein the phenyl nucleus is substituted in each case by the groups $R_1$ to $R_3$, whilst

$R_1$ and $R_2$, which may be identical or different, in each case denote a hydrogen, fluorine, chlorine, bromine or iodine atom,

a $C_{1-4}$-alkyl, hydroxy, $C_{1-4}$-alkoxy, $C_{3-6}$-cycloalkyl, $C_{4-6}$-cycloalkoxy, $C_{2-5}$-alkenyl or $C_{2-5}$-alkynyl group,

an aryl, aryloxy, arylmethyl or arylmethoxy group,

a methyl or methoxy group substituted by 1 to 3 fluorine atoms,

a cyano or nitro group and

$R_3$ denotes a hydrogen, fluorine, chlorine or bromine atom,

a $C_{1-4}$-alkyl, trifluoromethyl or $C_{1-4}$-alkoxy group,

X denotes a nitrogen atom,

A denotes an imino group,

B denotes a carbonyl group,

C denotes a ~~1,3-allenylene~~ -CH=C=CH-, ~~1,1-~~ >C=$CH_2$ or ~~1,2-vinylene~~ -CH=CH- group,

an ~~ethynylene~~ -C≡C- or ~~1,3-butadien-1,4-ylene~~ -CH=CH-CH=CH- group,

D denotes an alkylene group wherein the alkylene moiety in each case contains 1 to 4 carbon atoms and additionally 1 to 4 hydrogen atoms in the alkylene moiety may be replaced by fluorine atoms,

E denotes a di-($C_{1-4}$-alkyl)-amino group wherein the alkyl moieties may be identical or different,

an N-($C_{1-4}$-alkyl)-N-($C_{2-4}$-alkyl)-amino group wherein the $C_{2-4}$-alkyl moiety is substituted in β-, γ-, or δ-position with regard to the nitrogen atom of the amino group by the group $R_5$, where

$R_5$ denotes a hydroxy, $C_{1-4}$-alkoxy or di-($C_{1-4}$-alkyl)-amino group,

a di-($C_{2-4}$-alkyl)-amino group wherein the two $C_{2-4}$-alkyl moieties in each case are substituted in β-, γ-, or δ-position with regard to the nitrogen atom of the amino group by the group $R_5$, wherein the substituents may be identical or different and $R_5$ is as hereinbefore defined,

a $C_{3-7}$-cycloalkylamino or $C_{3-7}$-cycloalkyl-$C_{1-3}$-alkylamino group wherein in each case the nitrogen atom is substituted by a further $C_{1-4}$-alkyl group,

$R_c$ denotes a $C_{4-7}$-cycloalkoxy or $C_{3-7}$-cycloalkyl-$C_{1-6}$-alkoxy group wherein the cycloalkyl moiety in each case may be substituted by a $C_{1-3}$-alkyl, hydroxy, $C_{1-4}$-alkoxy, di-($C_{1-4}$-alkyl)-amino, hydroxy-$C_{1-2}$-alkyl, $C_{1-4}$-alkoxy-$C_{1-2}$-alkyl, or di-($C_{1-4}$-alkyl)-amino-$C_{1-2}$-alkyl group, whilst the abovementioned monosubstituted cycloalkyl moieties may additionally be substituted by a $C_{1-3}$-alkyl group, , whilst

by the aryl moieties mentioned in the definition of the abovementioned groups is meant a phenyl group which may in each case be monosubstituted by $R_7$, mono-, di- or trisubstituted by $R_8$ or monosubstituted by $R_7$ and additionally mono- or disubstituted by $R_8$, wherein the substituents may be identical or different and

$R_7$ denotes a cyano, carboxy, $C_{1-4}$-alkoxycarbonyl, aminocarbonyl, $C_{1-4}$-alkylaminocarbonyl, di-($C_{1-4}$-alkyl)-aminocarbonyl, $C_{1-4}$-alkylsulfenyl, $C_{1-4}$-alkylsulfinyl, $C_{1-4}$-alkylsulfonyl, hydroxy, $C_{1-4}$-alkylsulfonyloxy, trifluoromethyloxy, nitro, amino, $C_{1-4}$-alkylamino, di-($C_{1-4}$-alkyl)-amino, $C_{1-4}$-alkylcarbonylamino, N-($C_{1-4}$-alkyl)-$C_{1-4}$-alkylcarbonylamino, $C_{1-4}$-alkylsulfonylamino, N-($C_{1-4}$-alkyl)-$C_{1-4}$-alkylsulfonylamino, aminosulfonyl, $C_{1-4}$-alkylaminosulfonyl or di-($C_{1-4}$-alkyl)-aminosulfonyl group, and

$R_8$ denotes a fluorine, chlorine, bromine or iodine atom, a $C_{1-4}$-alkyl, trifluoromethyl or $C_{1-4}$-alkoxy group or

two groups $R_8$, if they are bound to adjacent carbon atoms, together denote a $C_{3-5}$-alkylene or 1,3-butadien-1,4-ylene group,

or the tautomers, or stereoisomers or pharmaceutically acceptable salts thereof.

3. (currently amended) A quinazoline of formula I according to claim 1, wherein

$R_a$ denotes a hydrogen atom,

$R_b$ denotes a phenyl, benzyl or 1-phenylethyl group wherein the phenyl nucleus is substituted in each case by the groups $R_1$ and $R_2$, where

$R_1$ and $R_2$, which may be identical or different, in each case denote a hydrogen, fluorine, chlorine or bromine atom,

a methyl, trifluoromethyl or methoxy group,

X denotes a nitrogen atom,

A denotes an imino group,

B denotes a carbonyl group,

C denotes a ~~1,2-vinylene~~ -CH=CH- group,

an ~~ethynylene~~ -C≡C- or ~~1,3-butadien-1,4-ylene~~ -CH=CH-CH=CH- group,

D denotes a $C_{1-4}$-alkylene group,

E denotes a di-($C_{1-4}$-alkyl)-amino group wherein the alkyl moieties may be identical or different,

an N-($C_{1-4}$-alkyl)-N-($C_{2-4}$-alkyl)-amino group wherein the $C_{2-4}$-alkyl moiety is substituted in β-, γ-, or δ-position with regard to the nitrogen atom of the amino group by the group $R_5$, whilst

$R_5$ denotes a hydroxy, $C_{1-3}$-alkoxy or di-($C_{1-3}$-alkyl)-amino group,

a di-($C_{2-4}$-alkyl)-amino group wherein the two $C_{2-4}$-alkyl moieties in each case are substituted in β-, γ-, or δ-position with regard to the nitrogen atom of the amino group by the group $R_5$, wherein the substituents may be identical or different and $R_5$ is as hereinbefore defined,

a $C_{3-5}$-cycloalkylamino or $C_{3-5}$-cycloalkyl-$C_{1-3}$-alkylamino group wherein in each case the nitrogen atom is substituted by a further $C_{1-3}$-alkyl group,

$R_c$ denotes a $C_{4-7}$-cycloalkoxy or $C_{3-7}$-cycloalkyl-$C_{1-4}$-alkoxy group wherein the cycloalkyl moiety in each case may be substituted by a $C_{1-3}$-alkyl or $C_{1-3}$-alkoxy group, or the tautomers, or stereoisomers or pharmaceutically acceptable salts thereof.

4. (currently amended) A quinazoline of formula I according to claim 1, wherein

$R_a$ denotes a hydrogen atom,

$R_b$ denotes a phenyl, benzyl or 1-phenylethyl group, whilst the phenyl nucleus is substituted in each case by the radicals $R_1$ and $R_2$, whilst

$R_1$ and $R_2$, which may be identical or different, each denotes a hydrogen, fluorine, chlorine or bromine atom,

X denotes a nitrogen atom,

A denotes an imino group,

B denotes a carbonyl group,

C denotes a ~~1,2-vinylene~~ -CH=CH-, ~~ethynylene~~ -C≡C- or ~~1,3-butadien-1,4-ylene~~ -CH=CH-CH=CH- group,

D denotes an $C_{1-3}$-alkylene group,

E denotes a Di-($C_{1-4}$-alkyl)-amino group, wherein the alkyl moieties may be identical or different,

a methylamino or ethylamino group each substituted at the nitrogen atom by a 2-methoxy-ethyl, 1-methoxy-2-propyl, 2-methoxypropyl, 3-methoxypropyl, cyclopropyl or cyclopropylmethyl group,

a bis-(2-methoxyethyl)amino group,

$R_c$ denotes a cyclopropylmethoxy, cyclobutylmethoxy, cyclopentylmethoxy or cyclohexylmethoxy group,

a cyclobutyloxy, cyclopentyloxy or cyclohexyloxy group,
or the tautomers, or stereoisomers or pharmaceutically acceptable salts thereof.

5. (currently amended) A quinazoline of formula I according to claim 1, wherein

$R_a$ denotes a hydrogen atom,

$R_b$ denotes a 1-phenylethyl group or a phenyl group wherein the phenyl nucleus is substituted by the radicals $R_1$ and $R_2$, whilst

$R_1$ and $R_2$, which may be identical or different, each denote a hydrogen, fluorine, chlorine or bromine atom,

X denotes a nitrogen atom,

A denotes an imino group,

B denotes a carbonyl group,

C denotes a ~~1,2-vinylene~~ -CH=CH-, ~~ethynylene~~ -C≡C- or ~~1,3-butadien-1,4-ylene~~ -CH=CH-CH=CH- group,

D denotes a methylene group,

E denotes a dimethylamino, diethylamino, Bis(2-methoxyethyl)amino, *N*-methyl-*N*-(2-methoxyethyl)amino, *N*-ethyl-*N*-(2-methoxyethyl)amino, *N*-methyl-*N*-cyclopropylamino, *N*-methyl-*N*-cyclopropylmethyl-amino, *N*-methyl-*N*-(1-methoxy-2-propyl)amino, *N*-methyl-*N*-(2-methoxypropyl)amino or *N*-methyl-*N*-(3-methoxypropyl)amino, *N*-methyl-*N*-(tetrahydrofuran-3-yl)amino, *N*-methyl-*N*-(tetrahydropyran-4-yl)amino group,

$R_c$ denotes a cyclopropylmethoxy, cyclobutyloxy or cyclopentyloxy group,

or the tautomers, or stereoisomers or pharmaceutically acceptable salts thereof.

6. (previously presented) The following compounds of general formula I according to claim 1:

4-[(3-Chloro-4-fluorophenyl)amino]-6-{[4-(*N*,*N*-diethylamino)-1-oxo-2-buten-1-yl]amino}-7-cyclopropylmethoxyquinazoline

or pharmaceutically acceptable salts thereof.

7. (previously presented) Pharmaceutically acceptable salts of the compounds according to one of claims 1 to 6 with inorganic or organic acids or bases.

8. (currently amended) Pharmaceutical composition containing a compound according to at least one of claims 1 to 6, optionally together with ~~one or more inert carriers and/or diluents~~ an inert carrier and with or without a diluent.

9. (currently amended) A method for treating ~~or preventing~~ a disease comprising administering a pharmaceutical composition according to one of claims 1 to 6, wherein said disease is selected from the group consisting of: ~~benign or~~ malignant tumors, diseases of the airways and lungs and diseases of the gastrointestinal tract and the bile duct and gall bladder.

Claims 10-11 (canceled)

12. (currently amended) Pharmaceutical compositions containing a physiologically acceptable salt according to claim 7, optionally together with ~~one or more inert carriers and/or diluents~~ an inert carrier and with or without a diluent.

13. (currently amended) A method for treating ~~or preventing~~ a disease comprising administering a pharmaceutical composition according to claim 7, wherein said disease is selected from the group consisting of: ~~benign or~~ malignant tumors, diseases of the airways and lungs and diseases of the gastrointestinal tract and the bile duct and gall bladder.

## REMARKS

Claims 1-9, 12 and 13 are currently pending in the instant application. Claims 1-5, 8, 9, 12, and 13 have been amended. Claims 1-5 have been amended to recite the structures of variable C. Claims 8 and 12 have been amended to recite "an inert carrier and with or without a diluent". Claims 9 and 13 been amended delete the phrases "or preventing" and "benign or".

No new matter has been added. In light of the above amendments, claims 1-9, 12 and 13 are under active consideration in this application.

## Interview Summary

Examiner, Sudhaker B. Patel, initiated a telephonic interview with Attorney for Applicants, Susan K. Pocchiari, on April 20, 2004 wherein claims 1-9, 12 and 13 were discussed. Agreement with respect to the claims was not reached. No exhibits or prior art were discussed. The Examiner informed Attorney that the claims were not ready for allowance in their present state. The Examiner also informed Attorney that certified copies of the foreign priority applications are not in the e-DAN file received by the Examiner. Attorney for Applicants agreed to provide said certified copies (attached hereto). Due to a lack of availability of Applicants, the Examiner agreed to mail a Final Office Action for Attorney's review with Applicants abroad and for preparation of a response.

## Priority

The Examiner has indicated that the records and the eDAN electronic file as received by the Examiner for the instant application are missing the certified true copies of German Application Nos. DE 199 28 281 and DE 100 23 085, filed June 21, 1999 and May 11, 2000, respectively, to which the instant application claims priority.

Submitted herewith are certified true copies of German Application Nos. DE 199 28 281 and DE 100 23 085, filed June 21, 1999 and May 11, 2000.

## Rejections under Section 112

According to the Examiner, the rejection of claims 1-5, 7, 8, 9, 12, 13 under 35 U.S.C. §112, second paragraph as being indefinite are maintained for reasons already stated in prior Office communication paper dated July 8, 2003.

As a preliminary matter, Applicants respectfully point out that only claims 1-7 were rejected under Section 112, second paragraph in the prior Office communication dated July 8, 2003. Further, Applicants respectfully submit that claims 1-7 were amended according to the Examiner's suggestions in the Response filed January 8, 2004. Applicants respectfully request that the rejections under Section 112, second paragraph in the prior Office communication be withdrawn.

The Examiner cites the following additional reasons for rejection of claims 1-9, 12, and 13 under 35 U.S.C. §112, second paragraph as being indefinite.

According to the Examiner, claim 1 is indefinite in the recitation of variable C as "1,3-allenylene, 1,1- or 1,2-vinylene".

Applicants disagree and submit that the terms are clear and are commonly used terms that can be found in chemistry textbooks. However, claim 1 has been amended to recite the chemical structures of 1,3-allenylene, 1,1-vinylene and 1,2-vinylene. Applicants submit that one skilled in the art would know that 1,3-allenylene is -CH=C=CH- (allenyl group (from allene) substituted (by B and D, respectively) in position 1 and 3; the "-ene" signifying that the rest has two binding sites (for example, methyl: $-CH_3$; methylene: $-CH_2-$)). Further, Applicants submit that one skilled in the art would know that 1,1-vinylene means $>C=CH_2$ and 1,2-vinylene means -CH=CH-. Applicants submit that in light of the above amendments and remarks, this rejection under Section 112 has been overcome.

According to the Examiner, claims 1 and 3 are indefinite in the recitation of variable C as "1,3-butadien-1,4-ylene".

Applicants disagree and submit that the term is clear and is a commonly used term that can be found in chemistry textbooks. However, claims 1 and 3 have been amended to recite the chemical structure of 1,3-butadien-1,4-ylene as -CH=CH-CH=CH- (1,3-butadiene as the Examiner pointed out, to which B and D, respectively, are linked in positions 1 and 4 (*i.e.*, instead of a hydrogen atom in the pure compound); in spite of the different resulting name of the entire molecule, the rest is exemplified for example, in Example 2, wherein B is carbonyl and D and E together are methyl). Applicants submit that in light of the above amendments and remarks, this rejection under Section 112 has been overcome.

According to the Examiner, claims 1 and 3 are indefinite in the recitation of variable C as "ethynylene".

Applicants disagree and submit that the term is clear and is a commonly used term that can be found in chemistry textbooks. However, claims 1 and 3 have been amended to recite the chemical structure of ethynylene as –C≡C-, *i.e.*, acetylene, bond to B on the one side/carbon atom and to D on the other side/carbon atom. Applicants submit that in light of the above amendments and remarks, this rejection under Section 112 has been overcome.

According to the Examiner, claims 9 and 13 are indefinite in the recitation "or preventing"

Applicants disagree. However, claims 9 and 13 have been amended to delete the phrase "or preventing". Applicants submit that in light of the above amendments and remarks, this rejection under Section 112 has been overcome.

According to the Examiner claim 12 is indefinite in the recitation "with one or more inert carriers and/or diluents".

Applicants disagree. However, claims 8 and 12 have been amended according to the Examiner's suggestion to recite "an inert carrier and with or without a diluent". Applicants submit that in light of the above amendments and remarks, this rejection under Section 112 has been overcome.

According to the Examiner claim 12 is indefinite in the recitation "with one or more inert carriers and/or diluents".

Applicants disagree. However, claims 8 and 12 have been amended according to the Examiner's suggestion to recite "an inert carrier and with or without a diluent". Applicants submit that in light of the above amendments and remarks, this rejection under Section 112 has been overcome.

According to the Examiner claims 9 and 13 are indefinite in the recitation "benign or malignant tumors".

Applicants disagree. However, claims 9 and 13 have been amended according to the Examiner's suggestion to recite a single tumor, *i.e.*, "malignant tumors". Applicants submit that in light of the above amendments and remarks, this rejection under Section 112 has been overcome.

According to the Examiner claims 1-9 are difficult to read what is exactly claimed.

Applicants disagree. However, claims 1-9 have been amended to replace the definitions of variable C by the respective chemical formula. Applicants submit that in light of the above amendments and remarks, this rejection under Section 112 has been overcome.

Applicants submit that in light of the amendments and remarks above, all of the rejections under Section 112, second paragraph have been overcome and must be withdrawn.

## CONCLUSION

In light of the above amendments and remarks, Applicants submit that all of the objections and rejections have been overcome and must be withdrawn. Further, Applicants submit that the application is now in form for issuance and an early allowance is earnestly requested. If any issues remain, the Examiner is invited to telephone the Attorney at the number below.

Respectfully submitted,

Susan K. Pocchiari

Susan K. Pocchiari
Attorney for Applicant(s)
Reg. No. 45,016

Patent Department
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October 21, 2004

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Susan K. Pocchiari

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Reg. No. 45,016

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on October 21, 2004

Signature: Susan K. Pocchiari

Typed or printed name: Susan K. Pocchiari

In re Application of: Himmelsbach, F. et al.

| Application Number | Filed |
|---|---|
| 10/016,280 | December 10, 2001 |

For: Bicyclic heterocycles, pharmaceutical compositions containing these compounds, their use and processes for preparing them

| Art Unit | Examiner |
|---|---|
| 1624 | Patel, Sudhaker B. |

Applicant hereby appeals to the Board of Patent Appeals and Interferences from the last decision of the examiner.

The fee for this Notice of Appeal is (37 CFR 41.20(b)(1)) $ 340.00

☐ Applicant claims small entity status. See 37 CFR 1.27. Therefore, the fee shown above is reduced by half, and the resulting fee is: $ _____

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☐ applicant/inventor.

☐ assignee of record of the entire interest. See 37 CFR 3.71. Statement under 37 CFR 3.73(b) is enclosed. (Form PTO/SB/96)

☑ attorney or agent of record. Registration number 45,016

☐ attorney or agent acting under 37 CFR 1.34. Registration number if acting under 37 CFR 1.34. _____

Susan K. Pocchiari
Signature

Susan K. Pocchiari
Typed or printed name

203-798-5648
Telephone number

October 21, 2004
Date

NOTE: Signatures of all the inventors or assignees of record of the entire interest or their representative(s) are required. Submit multiple forms if more than one signature is required, see below*.

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| 1624 | Patel, Sudhaker B. |

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| **PETITION FOR EXTENSION OF TIME UNDER 37 CFR 1.136(a)**<br>**FY 2005**<br>**(fees effective on or after October 1, 2004)** | Docket Number (Optional)<br>5/1262 |
|---|---|
| Application Number 10/016,280 | Filed December 10, 2001 |
| For Bicyclic heterocycles, pharmaceutical compositions containing these compounds, their use and processes for preparing them | |
| Art Unit 1624 | Examiner Patel, S. |

This is a request under the provisions of 37 CFR 1.136(a) to extend the period for filing a reply in the above identified application.

The requested extension and fee are as follows (check time period desired and enter the appropriate fee below):

| | | Fee | Small Entity Fee | |
|---|---|---|---|---|
| ☐ | One month (37 CFR 1.17(a)(1)) | $110 | $55 | $ |
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☑ attorney or agent of record. Registration Number 45,016

☐ attorney or agent under 37 CFR 1.34. Registration number if acting under 37 CFR 1.34 _____

Susan K. Pocchiari — Signature

October 21, 2004 — Date

Susan K. Pocchiari Reg #45,016 — Typed or printed name

203-798-5648 — Telephone Number

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| For Bicyclic heterocycles, pharmaceutical compositions containing these compounds, their use and processes for preparing them | |
| Art Unit 1624 | Examiner Patel, S. |

This is a request under the provisions of 37 CFR 1.136(a) to extend the period for filing a reply in the above identified application.

The requested extension and fee are as follows (check time period desired and enter the appropriate fee below):

| | Fee | Small Entity Fee | |
|---|---|---|---|
| ☐ One month (37 CFR 1.17(a)(1)) | $110 | $55 | $ |
| ☐ Two months (37 CFR 1.17(a)(2)) | $430 | $215 | $ |
| ☑ Three months (37 CFR 1.17(a)(3)) | $980 | $490 | $ 980.00 |
| ☐ Four months (37 CFR 1.17(a)(4)) | $1530 | $765 | $ |
| ☐ Five months (37 CFR 1.17(a)(5)) | $2080 | $1040 | $ |

☐ Applicant claims small entity status. See 37 CFR 1.27.

☐ A check in the amount of the fee is enclosed.

☐ Payment by credit card. Form PTO-2038 is attached.

☐ The Director has already been authorized to charge fees in this application to a Deposit Account.

☑ The Director is hereby authorized to charge any fees which may be required, or credit any overpayment, to Deposit Account Number 02-2955. I have enclosed a duplicate copy of this sheet.

**WARNING: Information on this form may become public. Credit card information should not be included on this form. Provide credit card information and authorization on PTO-2038.**

I am the

☐ applicant/inventor.

☐ assignee of record of the entire interest. See 37 CFR 3.71. Statement under 37 CFR 3.73(b) is enclosed (Form PTO/SB/96).

☑ attorney or agent of record. Registration Number 45,016

☐ attorney or agent under 37 CFR 1.34. Registration number if acting under 37 CFR 1.34 _____

Susan K. Pocchiari
Signature

October 21, 2004
Date

Susan K. Pocchiari Reg #45,016
Typed or printed name

203-798-5648
Telephone Number

NOTE: Signatures of all the inventors or assignees of record of the entire interest or their representative(s) are required. Submit multiple forms if more than one signature is required, see below.

☑ Total of 3 forms are submitted.

This collection of information is required by 37 CFR 1.136(a). The information is required to obtain or retain a benefit by the public which is to file (and by the USPTO to process) an application. Confidentiality is governed by 35 U.S.C. 122 and 37 CFR 1.11 and 1.14. This collection is estimated to take 6 minutes to complete, including gathering, preparing, and submitting the completed application form to the USPTO. Time will vary depending upon the individual case. Any comments on the amount of time you require to complete this form and/or suggestions for reducing this burden, should be sent to the Chief Information Officer, U.S. Patent and Trademark Office, U.S. Department of Commerce, P.O. Box 1450, Alexandria, VA 22313-1450. DO NOT SEND FEES OR COMPLETED FORMS TO THIS ADDRESS. **SEND TO: Commissioner for Patents, P.O. Box 1450, Alexandria, VA 22313-1450.**

*If you need assistance in completing the form, call 1-800-PTO-9199 and select option 2.*

PTO/SB/22 (10-04)
Approved for use through 07/31/2006. OMB 0651-0031
U.S. Patent and Trademark Office; U.S. DEPARMENT OF COMMERCE


| PETITION FOR EXTENSION OF TIME UNDER 37 CFR 1.136(a)<br>FY 2005<br>(fees effective on or after October 1, 2004) | Docket Number (Optional)<br>5/1262 |
|---|---|
| Application Number 10/016,280 | Filed December 10, 2001 |
| For Bicyclic heterocycles, pharmaceutical compositions containing these compounds, their use and processes for preparing them | |
| Art Unit 1624 | Examiner Patel, S. |

This is a request under the provisions of 37 CFR 1.136(a) to extend the period for filing a reply in the above identified application.

The requested extension and fee are as follows (check time period desired and enter the appropriate fee below):

| | Fee | Small Entity Fee | |
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| ☐ One month (37 CFR 1.17(a)(1)) | $110 | $55 | $ |
| ☐ Two months (37 CFR 1.17(a)(2)) | $430 | $215 | $ |
| ☑ Three months (37 CFR 1.17(a)(3)) | $980 | $490 | $ 980.00 |
| ☐ Four months (37 CFR 1.17(a)(4)) | $1530 | $765 | $ |
| ☐ Five months (37 CFR 1.17(a)(5)) | $2080 | $1040 | $ |

☐ Applicant claims small entity status. See 37 CFR 1.27.

☐ A check in the amount of the fee is enclosed.

☐ Payment by credit card. Form PTO-2038 is attached.

☐ The Director has already been authorized to charge fees in this application to a Deposit Account.

☑ The Director is hereby authorized to charge any fees which may be required, or credit any overpayment, to Deposit Account Number 02-2955. I have enclosed a duplicate copy of this sheet.

**WARNING: Information on this form may become public. Credit card information should not be included on this form. Provide credit card information and authorization on PTO-2038.**

I am the

☐ applicant/inventor.

☐ assignee of record of the entire interest. See 37 CFR 3.71. Statement under 37 CFR 3.73(b) is enclosed (Form PTO/SB/96).

☑ attorney or agent of record. Registration Number 45,016

☐ attorney or agent under 37 CFR 1.34. Registration number if acting under 37 CFR 1.34 _____

Susan K. Pocchiari
Signature

October 21, 2004
Date

Susan K. Pocchiari Reg #45,016
Typed or printed name

203-798-5648
Telephone Number

NOTE: Signatures of all the inventors or assignees of record of the entire interest or their representative(s) are required. Submit multiple forms if more than one signature is required, see below.

☑ Total of 3 forms are submitted.

# BUNDESREPUBLIK DEUTSCHLAND

## Prioritätsbescheinigung über die Einreichung einer Patentanmeldung

**Aktenzeichen:** 199 28 281.1

**Anmeldetag:** 21. Juni 1999

**Anmelder/Inhaber:** Boehringer Ingelheim Pharma GmbH & Co KG, 55218 Ingelheim/DE

(vormals: Boehringer Ingelheim Pharma KG)

**Bezeichnung:** Bicyclische Heterocyclen, diese Verbindungen enthaltende Arzneimittel, deren Verwendung und Verfahren zu ihrer Herstellung

**IPC:** C 07 D, A 61 K

**Die angehefteten Stücke sind eine richtige und genaue Wiedergabe der ursprünglichen Unterlagen dieser Patentanmeldung.**

München, den 15. September 2004
**Deutsches Patent- und Markenamt**
**Der Präsident**
Im Auftrag

Ebert

A 9161
03/00
EDV-L

# BUNDESREPUBLIK DEUTSCHLAND

## Prioritätsbescheinigung über die Einreichung einer Patentanmeldung

| | |
|---|---|
| **Aktenzeichen:** | 100 23 085.7 |
| **Anmeldetag:** | 11. Mai 2000 |
| **Anmelder/Inhaber:** | BOEHRINGER INGELHEIM PHARMA KG, Ingelheim/DE |
| **Bezeichnung:** | Bicyclische Heterocyclen, diese Verbindungen enthaltende Arzneimittel, deren Verwendung und Verfahren zu ihrer Herstellung |
| **IPC:** | C 07 D, A61 K |

**Die angehefteten Stücke sind eine richtige und genaue Wiedergabe der ursprünglichen Unterlagen dieser Anmeldung.**

München, den 6. Juli 2000
**Deutsches Patent- und Markenamt**
**Der Präsident**
Im Auftrag

Nietiedt

# Electronic Acknowledgement Receipt

| | |
|---|---|
| **EFS ID:** | 1008627 |
| **Application Number:** | 10016280 |
| **Confirmation Number:** | 7351 |
| **Title of Invention:** | Bicyclic heterocycles, pharmaceutical compositions containing these compounds, their use and processes for preparing them |
| **First Named Inventor:** | Frank Himmelsbach |
| **Customer Number:** | 28505 |
| **Filer:** | Paula Wittmayer/Susan Wasilko |
| **Filer Authorized By:** | Paula Wittmayer |
| **Attorney Docket Number:** | 5/1262 |
| **Receipt Date:** | 13-MAR-2006 |
| **Filing Date:** | 10-DEC-2001 |
| **Time Stamp:** | 14:59:00 |
| **Application Type:** | Utility |
| **International Application Number:** | |

## Payment information:

| | |
|---|---|
| Submitted with Payment | yes |
| Payment was successfully received in RAM | $450.0 |
| RAM confirmation Number | 5 |
| Deposit Account | 022955 |
| The Director of the USPTO is hereby authorized to charge indicated fees and credit any overpayment as follows: Charge any Additional Fees required under 37 C.F.R. Section 1.16 and 1.17 | |

## File Listing:

| Document Number | Document Description | File Name | File Size(Bytes) | Multi Part | Pages |
|---|---|---|---|---|---|
| 1 | | 5-1262-ROA-03-13-06.pdf | 144482 | yes | 15 |

| | Multipart Description | | |
|---|---|---|---|
| | Doc Desc | Start | End |
| | Amendment After Final | 1 | 1 |
| | Claims | 2 | 12 |
| | Applicant Arguments/Remarks Made in an Amendment | 13 | 15 |

| | | | | | |
|---|---|---|---|---|---|
| **Warnings:** | | | | | |
| **Information:** | | | | | |
| 2 | Fee Worksheet (PTO-875) | fee-info.pdf | 8190 | no | 2 |
| **Warnings:** | | | | | |
| **Information:** | | | | | |
| | | **Total Files Size (in bytes):** | 152672 | | |

**This Acknowledgement Receipt evidences receipt on the noted date by the USPTO of the indicated documents, characterized by the applicant, and including page counts, where applicable. It serves as evidence of receipt similar to a Post Card, as described in MPEP 503.**

**New Applications Under 35 U.S.C. 111**
**If a new application is being filed and the application includes the necessary components for a filing date (see 37 CFR 1.53(b)-(d) and MPEP 506), a Filing Receipt (37 CFR 1.54) will be issued in due course and the date shown on this Acknowledgement Receipt will establish the filing date of the application.**

**National Stage of an International Application under 35 U.S.C. 371**
**If a timely submission to enter the national stage of an international application is compliant with the conditions of 35 U.S.C. 371 and other applicable requirements a Form PCT/DO/EO/903 indicating acceptance of the application as a national stage submission under 35 U.S.C. 371 will be issued in addition to the Filing Receipt, in due course.**

# Electronic Patent Application Fee Transmittal

| | |
|---|---|
| **Application Number:** | 10016280 |
| **Filing Date:** | 10-Dec-2001 |
| **Title of Invention:** | Bicyclic heterocycles, pharmaceutical compositions containing these compounds, their use and processes for preparing them |
| **First Named Inventor:** | Frank Himmelsbach |
| **Filer:** | Paula Wittmayer/Susan Wasilko |
| **Attorney Docket Number:** | 5/1262 |

Filed as Large Entity

**Utility Filing Fees**

| Description | Fee Code | Quantity | Amount | Sub-Total in USD($) |
|---|---|---|---|---|
| **Basic Filing:** | | | | |
| **Pages:** | | | | |
| **Claims:** | | | | |
| **Miscellaneous-Filing:** | | | | |
| **Petition:** | | | | |
| **Patent-Appeals-and-Interference:** | | | | |
| Post-Allowance-and-Post-Issuance: | | | | |
| **Extension-of-Time:** | | | | |
| Extension - 2 months with $0 paid | 1252 | 1 | 450 | 450 |

| Description | Fee Code | Quantity | Amount | Sub-Total in USD($) |
|---|---|---|---|---|
| Miscellaneous: | | | | |
| | | | Total in USD ($) | 450 |

**IN THE UNITED STATES PATENT AND TRADEMARK OFFICE**

| | | | |
|---|---|---|---|
| Application of: | Frank Himmelsbach, et al) | Confirm. No.: | 7351 |
| Serial No.: | 10/016,280 ) | Art Unit: | 1624 |
| Filed: | December 10, 2001 ) | Examiner: | Tamthom N. Truong |

For: Bicyclic heterocycles, pharmaceutical compositions containing these compounds, their use and processes for preparing them

Docket No.: 5/1262

Mail Stop Amendment
Commissioner for Patents
P.O. Box 1450
Alexandria, VA 22313-1450

AMENDMENT

Sir:

This is in response to a communication from the Examiner in charge of the subject application, which communication was mailed on October 12, 2005. In that Office Action, a three-month shortened statutory period was set for response. Applicants hereby petition for the necessary two-month extension of time under 37 C.F.R. § 1.136 to respond to that action and note that the fee required under 37 C.F.R. § 1.17(a) in connection with this Reply will be paid during electronic filing via the Revenue Accounting and Management System.

**Listing of the Claims** begin on page two (2) of this paper.

**Remarks/Arguments** begin on page thirteen (13) of this paper.

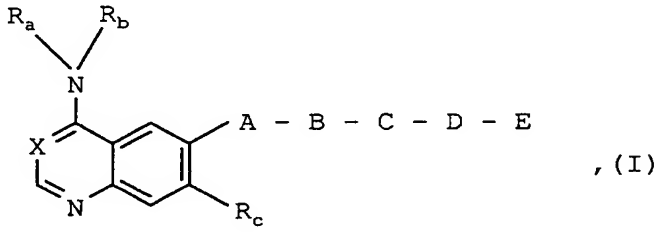
## CLAIMS

This listing of claims will replace all prior versions, and listings, of claims in the application:

**Listing of Claims:**

Claims 1-13 (canceled)

Claim 14 (previously presented) A quinazoline compound of formula




, (I)

wherein

$R_a$ denotes a hydrogen atom or a $C_{1-4}$-alkyl group, — benzyl, 1-phenylethyl or 3-phenylpropyl group

$R_b$ denotes a phenyl, benzyl or 1-phenylethyl group wherein the phenyl nucleus is substituted in each case by the groups $R_1$ to $R_3$, whilst

$R_1$ and $R_2$, which may be identical or different, in each case denote a hydrogen, fluorine, chlorine, bromine or iodine atom,

a $C_{1-4}$-alkyl, hydroxy, $C_{1-4}$-alkoxy, $C_{3-6}$-cycloalkyl, $C_{4-6}$-cycloalkoxy, $C_{2-5}$-alkenyl or $C_{2-5}$-alkynyl group,

an aryl, aryloxy, arylmethyl or arylmethoxy group,

a $C_{3-5}$-alkenyloxy or $C_{3-5}$-alkynyloxy group, whilst the unsaturated moiety may not be linked to the oxygen atom,

a $C_{1-4}$-alkylsulfenyl, $C_{1-4}$-alkylsulfinyl, $C_{1-4}$-alkylsulfonyl, $C_{1-4}$-alkylsulfonyloxy, trifluoromethylsulfenyl, trifluoromethylsulfinyl or trifluoromethylsulfonyl group,

a methyl or methoxy group substituted by 1 to 3 fluorine atoms,

an ethyl or ethoxy group substituted by 1 to 5 fluorine atoms,

a cyano or nitro group or an amino group optionally substituted by one or two $C_{1-4}$-alkyl groups, wherein the substituents may be identical or different, or

$R_1$ together with $R_2$, if they are bound to adjacent carbon atoms, denote a -CH=CH-CH=CH group and

$R_3$ denotes a hydrogen, fluorine, chlorine or bromine atom,

a $C_{1-4}$-alkyl, trifluoromethyl or $C_{1-4}$-alkoxy group,

X denotes a nitrogen atom,

A denotes an imino group optionally substituted by a $C_{1-4}$-alkyl group,

B denotes a carbonyl group,

C denotes a -CH=C=CH-, >C=$CH_2$ or -CH=CH- group which may be substituted in each case by one or two methyl groups or by a trifluoromethyl group,

an -C≡C- group or

a -CH=CH-CH=CH- group optionally substituted by 1 to 4 methyl groups or by a trifluoromethyl group,

D denotes an alkylene group wherein the alkylene moiety contains 1 to 8 carbon atoms and additionally 1 to 4 hydrogen atoms in the alkylene moiety may be replaced by fluorine atoms,

E denotes an amino, $C_{1-4}$-alkylamino or di-($C_{1-4}$-alkyl)-amino group wherein the alkyl moieties may be identical or different,

a $C_{2-4}$-alkylamino group wherein the alkyl moiety is substituted in β-, γ-, or δ-position with regard to the nitrogen atom of the amino group by the group $R_5$, whilst

$R_5$ denotes a hydroxy, $C_{1-4}$-alkoxy, amino, $C_{1-4}$-alkylamino or di-($C_{1-4}$-alkyl)-amino group,

an N-($C_{1-4}$-alkyl)-N-($C_{2-4}$-alkyl)-amino group wherein the $C_{2-4}$-alkyl moiety is substituted in β-, γ-, or δ-position with regard to the nitrogen atom of the amino group by the group $R_5$, whilst $R_5$ is as hereinbefore defined,

a di-($C_{2-4}$-alkyl)-amino group wherein the two $C_{2-4}$-alkyl moieties are substituted in each case in β-, γ-, or δ-position with regard to the nitrogen atom of the amino group by the group $R_5$, whilst the substituents may be identical or different and $R_5$ is as hereinbefore defined,

a $C_{3-7}$-cycloalkylamino or $C_{3-7}$-cycloalkyl-$C_{1-3}$-alkylamino group wherein in each case the nitrogen atom may be substituted by a further $C_{1-4}$-alkyl group,

$R_c$ denotes a $C_{4-7}$-cycloalkoxy or $C_{3-7}$-cycloalkyl-$C_{1-6}$-alkoxy group wherein the cycloalkyl moiety in each case may be substituted by a $C_{1-3}$-alkyl, hydroxy, $C_{1-4}$-alkoxy, amino, $C_{1-4}$-alkylamino, di-($C_{1-4}$-alkyl)-amino, hydroxy-$C_{1-2}$-alkyl, $C_{1-4}$-alkoxy-$C_{1-2}$-alkyl, amino-$C_{1-2}$-alkyl, $C_{1-4}$-alkylamino-$C_{1-2}$-alkyl, or di-($C_{1-4}$-alkyl)-amino-$C_{1-2}$-alkyl group, whilst the abovementioned monosubstituted cycloalkyl moieties may additionally be substituted by a $C_{1-3}$-alkyl group,

whilst

by the aryl moieties mentioned in the definition of the abovementioned groups is meant a phenyl group which in each case may be monosubstituted by $R_7$, mono-, di- or trisubstituted by $R_8$ or monosubstituted by $R_7$ and additionally mono- or disubstituted by $R_8$, wherein the substituents may be identical or different and

$R_7$ denotes a cyano, carboxy, $C_{1-4}$-alkoxycarbonyl, aminocarbonyl, $C_{1-4}$-alkylaminocarbonyl, di-($C_{1-4}$-alkyl)-aminocarbonyl, $C_{1-4}$-alkylsulfenyl, $C_{1-4}$-alkylsulfinyl, $C_{1-4}$-alkylsulfonyl, hydroxy, $C_{1-4}$-alkylsulfonyloxy, trifluoromethyloxy, nitro, amino, $C_{1-4}$-alkylamino, di-($C_{1-4}$-alkyl)-amino, $C_{1-4}$-alkyl-carbonylamino, N-($C_{1-4}$-alkyl)-$C_{1-4}$-alkylcarbonylamino, $C_{1-4}$-alkylsulfonylamino, N-($C_{1-4}$-alkyl)-$C_{1-4}$-alkylsulfonylamino, aminosulfonyl, $C_{1-4}$-alkylaminosulfonyl or di-($C_{1-4}$-alkyl)-aminosulfonyl group, and

$R_8$ denotes a fluorine, chlorine, bromine or iodine atom, a $C_{1-4}$-alkyl, trifluoromethyl or $C_{1-4}$-alkoxy group or

two groups $R_8$, if they are bound to adjacent carbon atoms, together denote a $C_{3-5}$-alkylene or 1,3-butadien-1,4-ylene group,

or the tautomers, or stereoisomers or pharmaceutically acceptable salts thereof.

Claim 15 (previously presented) The quinazoline of formula I according to claim 14, wherein

$R_a$ denotes a hydrogen atom,

$R_b$ denotes a phenyl, benzyl or 1-phenylethyl group wherein the phenyl nucleus is substituted in each case by the groups $R_1$ to $R_3$, whilst

$R_1$ and $R_2$, which may be identical or different, in each case denote a hydrogen, fluorine, chlorine, bromine or iodine atom,

a $C_{1-4}$-alkyl, hydroxy, $C_{1-4}$-alkoxy, $C_{3-6}$-cycloalkyl, $C_{4-6}$-cycloalkoxy, $C_{2-5}$-alkenyl or $C_{2-5}$-alkynyl group,

an aryl, aryloxy, arylmethyl or arylmethoxy group,

a methyl or methoxy group substituted by 1 to 3 fluorine atoms,

a cyano or nitro group and

$R_3$ denotes a hydrogen, fluorine, chlorine or bromine atom,

a $C_{1-4}$-alkyl, trifluoromethyl or $C_{1-4}$-alkoxy group,

X denotes a nitrogen atom,

A denotes an imino group,

B denotes a carbonyl group,

C denotes a -CH=C=CH-, $>C=CH_2$ or -CH=CH- group,

an -C≡C- or -CH=CH-CH=CH- group,

D denotes an alkylene group wherein the alkylene moiety in each case contains 1 to 4 carbon atoms and additionally 1 to 4 hydrogen atoms in the alkylene moiety may be replaced by fluorine atoms,

E denotes a di-($C_{1-4}$-alkyl)-amino group wherein the alkyl moieties may be identical or different,

an N-($C_{1-4}$-alkyl)-N-($C_{2-4}$-alkyl)-amino group wherein the $C_{2-4}$-alkyl moiety is substituted in β-, γ-, or δ-position with regard to the nitrogen atom of the amino group by the group $R_5$, where

$R_5$ denotes a hydroxy, $C_{1-4}$-alkoxy or di-($C_{1-4}$-alkyl)-amino group,

a di-($C_{2-4}$-alkyl)-amino group wherein the two $C_{2-4}$-alkyl moieties in each case are substituted in β-, γ-, or δ-position with regard to the nitrogen atom of the amino group by the group $R_5$, wherein the substituents may be identical or different and $R_5$ is as hereinbefore defined,

a $C_{3-7}$-cycloalkylamino or $C_{3-7}$-cycloalkyl-$C_{1-3}$-alkylamino group wherein in each case the nitrogen atom is substituted by a further $C_{1-4}$-alkyl group,

$R_c$ denotes a $C_{4-7}$-cycloalkoxy or $C_{3-7}$-cycloalkyl-$C_{1-6}$-alkoxy group wherein the cycloalkyl moiety in each case may be substituted by a $C_{1-3}$-alkyl, hydroxy, $C_{1-4}$-alkoxy, di-($C_{1-4}$-alkyl)-amino, hydroxy-$C_{1-2}$-alkyl, $C_{1-4}$-alkoxy-$C_{1-2}$-alkyl, or di-($C_{1-4}$-alkyl)-amino-$C_{1-2}$-alkyl group, whilst the abovementioned monosubstituted cycloalkyl moieties may additionally be substituted by a $C_{1-3}$-alkyl group, , whilst

by the aryl moieties mentioned in the definition of the abovementioned groups is meant a phenyl group which may in each case be monosubstituted by $R_7$, mono-, di- or trisubstituted by $R_8$ or monosubstituted by $R_7$ and additionally mono- or disubstituted by $R_8$, wherein the substituents may be identical or different and

$R_7$ denotes a cyano, carboxy, $C_{1-4}$-alkoxycarbonyl, aminocarbonyl, $C_{1-4}$-alkylaminocarbonyl, di-($C_{1-4}$-alkyl)-aminocarbonyl, $C_{1-4}$-alkylsulfenyl, $C_{1-4}$-alkylsulfinyl, $C_{1-4}$-alkylsulfonyl, hydroxy, $C_{1-4}$-alkylsulfonyloxy, trifluoromethyloxy, nitro, amino, $C_{1-4}$-alkylamino, di-($C_{1-4}$-alkyl)-amino, $C_{1-4}$-alkyl-carbonylamino, N-($C_{1-4}$-alkyl)-$C_{1-4}$-alkylcarbonylamino, $C_{1-4}$-alkylsulfonylamino,

N-($C_{1-4}$-alkyl)-$C_{1-4}$-alkylsulfonylamino, aminosulfonyl, $C_{1-4}$-alkylaminosulfonyl or di-($C_{1-4}$-alkyl)-aminosulfonyl group, and

$R_8$ denotes a fluorine, chlorine, bromine or iodine atom, a $C_{1-4}$-alkyl, trifluoromethyl or $C_{1-4}$-alkoxy group or

two groups $R_8$, if they are bound to adjacent carbon atoms, together denote a $C_{3-5}$-alkylene or 1,3-butadien-1,4-ylene group,

or the tautomers, or stereoisomers or pharmaceutically acceptable salts thereof.

Claim 16 (previously presented) The quinazoline of formula I according to claim 14, wherein

$R_a$ denotes a hydrogen atom,

$R_b$ denotes a phenyl, benzyl or 1-phenylethyl group wherein the phenyl nucleus is substituted in each case by the groups $R_1$ and $R_2$, where

$R_1$ and $R_2$, which may be identical or different, in each case denote a hydrogen, fluorine, chlorine or bromine atom,

a methyl, trifluoromethyl or methoxy group,

X denotes a nitrogen atom,

A denotes an imino group,

B denotes a carbonyl group,

C denotes a-CH=CH- group,

an -C≡C- or -CH=CH-CH=CH- group,

D denotes a $C_{1-4}$-alkylene group,

E denotes a di-($C_{1-4}$-alkyl)-amino group wherein the alkyl moieties may be identical or different,

an N-($C_{1-4}$-alkyl)-N-($C_{2-4}$-alkyl)-amino group wherein the $C_{2-4}$-alkyl moiety is substituted in β-, γ-, or δ-position with regard to the nitrogen atom of the amino group by the group $R_5$, whilst

$R_5$ denotes a hydroxy, $C_{1-3}$-alkoxy or di-($C_{1-3}$-alkyl)-amino group,

a di-($C_{2-4}$-alkyl)-amino group wherein the two $C_{2-4}$-alkyl moieties in each case are substituted in β-, γ-, or δ-position with regard to the nitrogen atom of the amino group by the group $R_5$, wherein the substituents may be identical or different and $R_5$ is as hereinbefore defined,

a $C_{3-5}$-cycloalkylamino or $C_{3-5}$-cycloalkyl-$C_{1-3}$-alkylamino group wherein in each case the nitrogen atom is substituted by a further $C_{1-3}$-alkyl group,

$R_c$ denotes a $C_{4-7}$-cycloalkoxy or $C_{3-7}$-cycloalkyl-$C_{1-4}$-alkoxy group wherein the cycloalkyl moiety in each case may be substituted by a $C_{1-3}$-alkyl or $C_{1-3}$-alkoxy group, or the tautomers, or stereoisomers or pharmaceutically acceptable salts thereof.

Claim 17 (previously presented) The quinazoline of formula I according to claim 14, wherein

$R_a$ denotes a hydrogen atom,

$R_b$ denotes a phenyl, benzyl or 1-phenylethyl group, whilst the phenyl nucleus is substituted in each case by the radicals $R_1$ and $R_2$, whilst

$R_1$ and $R_2$, which may be identical or different, each denotes a hydrogen, fluorine, chlorine or bromine atom,

X denotes a nitrogen atom,

A denotes an imino group,

B denotes a carbonyl group,

C denotes a -CH=CH-, -C≡C- or-CH=CH-CH=CH- group,

D denotes an $C_{1-3}$-alkylene group,

E denotes a di-($C_{1-4}$-alkyl)-amino group, wherein the alkyl moieties may be identical or different,

a methylamino or ethylamino group each substituted at the nitrogen atom by a 2-methoxy-ethyl, 1-methoxy-2-propyl, 2-methoxypropyl, 3-methoxypropyl, cyclopropyl or cyclopropylmethyl group,

a bis-(2-methoxyethyl)amino group,

$R_c$ denotes a cyclopropylmethoxy, cyclobutylmethoxy, cyclopentylmethoxy or cyclohexylmethoxy group,

a cyclobutyloxy, cyclopentyloxy or cyclohexyloxy group,
or the tautomers, or stereoisomers or pharmaceutically acceptable salts thereof.

Claim 18 (previously presented) The quinazoline of formula I according to claim 14, wherein

$R_a$ denotes a hydrogen atom,

$R_b$ denotes a 1-phenylethyl group or a phenyl group wherein the phenyl nucleus is substituted by the radicals $R_1$ and $R_2$, whilst

$R_1$ and $R_2$, which may be identical or different, each denote a hydrogen, fluorine, chlorine or bromine atom,

X denotes a nitrogen atom,

A denotes an imino group,

B denotes a carbonyl group,

C denotes a -CH=CH-, -C≡C- or -CH=CH-CH=CH- group,

D denotes a methylene group,

E denotes a dimethylamino, diethylamino, Bis(2-methoxyethyl)amino, *N*-methyl-*N*-(2-methoxyethyl)amino, *N*-ethyl-*N*-(2-methoxyethyl)amino, *N*-methyl-*N*-cyclopropylamino, *N*-methyl-*N*-cyclopropylmethyl-amino, *N*-methyl-*N*-(1-methoxy-2-propyl)amino, *N*-methyl-*N*-(2-methoxypropyl)amino or *N*-methyl-*N*-(3-methoxypropyl)amino group,

$R_c$ denotes a cyclopropylmethoxy, cyclobutyloxy or cyclopentyloxy group,

or the tautomers, or stereoisomers or pharmaceutically acceptable salts thereof.

Claim 19 (previously presented) The following compound of general formula I according to claim 14:

4-[(3-Chloro-4-fluorophenyl)amino]-6-{[4-(*N*,*N*-diethylamino)-1-oxo-2-buten-1-yl]amino}-7-cyclopropylmethoxyquinazoline

or a pharmaceutically acceptable salt thereof.

Claim 20 (previously presented) The physiologically acceptable salt of a compound according to one of claims 14 to 19 with an inorganic or organic acid or base.

Claim 21 (previously presented) A pharmaceutical composition comprising a compound according to claim 20, together with an inert carrier and with or without a diluent.

Claim 22 (previously presented) A method for treating a disease comprising administering a pharmaceutical composition according to claim 21, wherein said disease is selected from the group consisting of: malignant tumors, diseases of the airways and lungs and diseases of the gastrointestinal tract and the bile duct and gall bladder.

## REMARKS/ARGUMENTS

Priority

In the Reply with Amendment Under 37 U.S.C. § 1.111 filed January 8, 2004, applicants requested acknowledgment of the priority claim. As explained in that Reply, the instant application is the national stage of International Application PCT/EP00/05547 (WO 00/78735) filed on June 16, 2000, which claims benefit to prior U.S. Provisional Application 60/146,644, filed July 30, 1999 and prior German Application Nos. DE 199 28 281 and DE 100 23 085, filed June 21, 1999 and May 11, 2000, respectively. Benefit of the earlier filing date of the prior International Application was claimed pursuant to 35 U.S.C. §365(c) on the Declaration for Utility or Design Application, filed on April 25, 2002. In the Office Action mailed April 23, 2004, the Examiner noted that the file was missing certified copes of both the German applications. The priority documents were submitted on October 21, 2004. A copy of the return post card date stamped on October 25, 2004 is enclosed. Applicants submit that the priority is in order and respectfully requests acknowledgment of the priority claim.

Obviousness-type Double Patenting Rejection

In the communication mailed October 12, 2005, the Examiner has withdrawn an obviousness-type double patenting rejection based on 6,627,634. However, the Examiner has maintained a provisional double patenting rejection based on a copending application 10/023,099 ("the '099 application"). Applicants would like to respectfully draw the Examiner attention to the Declaration of Frank Himmelsbach signed on 8 April, 2004 submitted in the copending '099 application. In view of this 1.132 declaration, the Examiner has already removed the obviousness-type rejection in copending application '099. Furthermore, applicants note that "where, through no fault of the applicant, the claims in a later filed application issue first, an obvious-type double patenting rejection is improper, in the absence of a two-way obviousness determination, because the applicant does not have complete control over the rate of progress of a patent application through the Office." *See* MPEP §804 citing *In re Braat*, 937 F.2d 589, 19 USPQ2d 1289 (Fed. Cir 1991). In view of the foregoing, it is respectfully submitted that the obviousness-type

double patenting rejection should similarly be withdrawn in the instant application since a two-way obviousness determination cannot be met for the pending claims of this application. Accordingly, no terminal disclaimer is warranted.

In view of the foregoing, it is respectfully submitted that the subject application is in condition for allowance and such favorable action at an early date is earnestly solicited.

Respectfully submitted,

/Paula K. Wittmayer/

Paula K. Wittmayer
Attorney for Applicant(s)
Reg. No. 53,785

Patent Department
Boehringer Ingelheim Corp.
900 Ridgebury Road
P.O. Box 368
Ridgefield, CT. 06877
Tel.: (203) 791-6692
Fax: (203) 798-4408
Dated: March 13, 2006

5/1262 SLH

APPLICANT(S): **Himmelsbach, et al**
SERIAL NO.: **10/016,280**
FILING DATE: **December 10, 2001**
DOCKET NO.: **5/1262**
TITLE: **Bicyclic heterocycles, pharmaceutical compositions containing these compounds, their use and processes for preparing them**

IN CONNECTION WITH THE ABOVE CASE, PLEASE DATE STAMP TO ACKNOWLEDGE RECEIPT OF THE DOCUMENTS LISTED BELOW, AND RETURN TO ADDRESSEE.

1. **Reply after Final with Amendment (16 pages)**
2. **Notice of Appeal (1 page in triplicate)**
3. **Petition for Extension of Time (1 page in triplicate)**
4. **Priority Document #199 28 281.1 and #100 23 085.7**

**Mailed: October 21, 2004**

# Electronic Acknowledgement Receipt

| | |
|---|---|
| **EFS ID:** | 1008851 |
| **Application Number:** | 10016280 |
| **Confirmation Number:** | 7351 |
| **Title of Invention:** | Bicyclic heterocycles, pharmaceutical compositions containing these compounds, their use and processes for preparing them |
| **First Named Inventor:** | Frank Himmelsbach |
| **Customer Number:** | 28505 |
| **Filer:** | Paula Wittmayer |
| **Filer Authorized By:** | |
| **Attorney Docket Number:** | 5/1262 |
| **Receipt Date:** | 15-MAR-2006 |
| **Filing Date:** | 10-DEC-2001 |
| **Time Stamp:** | 11:35:37 |
| **Application Type:** | Utility |
| **International Application Number:** | |

## Payment information:

| | |
|---|---|
| Submitted with Payment | no |

## File Listing:

| Document Number | Document Description | File Name | File Size(Bytes) | Multi Part | Pages |
|---|---|---|---|---|---|
| 1 | Request for Corrected Filing Receipt | 5-1262-Request-Correct-FilingReceipt.pdf | 67113 | no | 2 |

| Warnings: | | | | | |
|---|---|---|---|---|---|
| Information: | | | | | |
| 2 | Request for Corrected Filing Receipt | 5-1262-Filing-Receipt.pdf | 382335 | no | 2 |
| Warnings: | | | | | |
| Information: | | | | | |
| | | | Total Files Size (in bytes): | 449448 | |

This Acknowledgement Receipt evidences receipt on the noted date by the USPTO of the indicated documents, characterized by the applicant, and including page counts, where applicable. It serves as evidence of receipt similar to a Post Card, as described in MPEP 503.

New Applications Under 35 U.S.C. 111

If a new application is being filed and the application includes the necessary components for a filing date (see 37 CFR 1.53(b)-(d) and MPEP 506), a Filing Receipt (37 CFR 1.54) will be issued in due course and the date shown on this Acknowledgement Receipt will establish the filing date of the application.

National Stage of an International Application under 35 U.S.C. 371

If a timely submission to enter the national stage of an international application is compliant with the conditions of 35 U.S.C. 371 and other applicable requirements a Form PCT/DO/EO/903 indicating acceptance of the application as a national stage submission under 35 U.S.C. 371 will be issued in addition to the Filing Receipt, in due course.

IN THE UNITED STATES PATENT AND TRADEMARK OFFICE

| | | | |
|---|---|---|---|
| Application of: | Frank Himmelsbach, et al) | Art Unit: | 1624 |
| Serial No.: | 10/016,280 ) | Examiner: | Tamthom Ngo Truong |
| Conf. No.: | 7351 ) | | |
| Filed: | December 10, 2001 | | |
| For: | Bicyclic heterocycles, pharmaceutical compositions containing these compounds, their use and processes for preparing them | | |
| Docket No.: | 5/1262 | | |

Commissioner for Patents
P.O. Box 1450
Alexandria, VA 22313-1450

**REQUEST FOR CORRECTION OF FILING RECEIPT**

Sir:

The undersigned respectfully requests correction of the Filing Receipt for Application Number 10/016,280, Confirmation No. 7351.

**Please correct the Domestic Priority data as claimed by applicant to include –THIS APPLN IS A CONTINUATION OF INTERNATIONAL APPLICATION PCT/EP00/05547 06/16/2000–, as noted on the attached marked copy of the Official Filing Receipt.**

Application of Frank Himmelsbach, et al
Serial No. 10/016,280
March 15, 2006

The undersigned hereby requests that the United States Patent and Trademark Office correct its records accordingly and issue a corrected Filing Receipt.

Respectfully submitted,

/Paula K. Wittmayer/

Paula K. Wittmayer
Attorney for Applicant(s)
Reg. No. 53,785

Patent Department
Boehringer Ingelheim Corp.
900 Ridgebury Road
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Fax: (203) 798-4408

March 15, 2006

d TXW

UNITED STATES PATENT AND TRADEMARK OFFICE

COMMISSIONER FOR PATENTS
UNITED STATES PATENT AND TRADEMARK OFFICE
WASHINGTON, D.C. 20231
www.uspto.gov

| APPLICATION NUMBER | FILING DATE | GRP ART UNIT | FIL FEE REC'D | ATTY.DOCKET.NO | DRAWINGS | TOT CLAIMS | IND CLAIMS |
|---|---|---|---|---|---|---|---|
| 10/016,280 | 12/10/2001 | 1619 | 1168 | 5/1262 | | 11 | 1 |

**CONFIRMATION NO. 7351**

28505
BOEHRINGER INGELHEIM CORPORATION
900 RIDGEBURY ROAD
P. O. BOX 368
RIDGEFIELD, CT 06877

**UPDATED FILING RECEIPT**

*OC000000008178530*

Date Mailed: 05/23/2002

Receipt is acknowledged of this nonprovisional Patent Application. It will be considered in its order and you will be notified as to the results of the examination. Be sure to provide the U.S. APPLICATION NUMBER, FILING DATE, NAME OF APPLICANT, and TITLE OF INVENTION when inquiring about this application. Fees transmitted by check or draft are subject to collection. Please verify the accuracy of the data presented on this receipt. **If an error is noted on this Filing Receipt, please write to the Office of Initial Patent Examination's Filing Receipt Corrections, facsimile number 703-746-9195. Please provide a copy of this Filing Receipt with the changes noted thereon. If you received a "Notice to File Missing Parts" for this application, please submit any corrections to this Filing Receipt with your reply to the Notice. When the USPTO processes the reply to the Notice, the USPTO will generate another Filing Receipt incorporating the requested corrections (if appropriate).**

**Applicant(s)**

Frank Himmelsbach, Mittelbiberach, GERMANY;
Elke Langkopf, Warthausen, GERMANY;
Thomas Metz, Wien, AUSTRIA;
Flavio Solca, Wien, AUSTRIA;
Birgit Jung, Schwabenheim, GERMANY;
Anke Baum, Alland, AUSTRIA;

RECEIVED
MAY 28 2002
PATENT SECTION

**Domestic Priority data as claimed by applicant**

THIS APPLN IS A CONTINUATION OF INTERNATIONAL APPLICATION PCT/EP00/05547 06/16/2000
THIS APPLN CLAIMS BENEFIT OF 60/146,644 07/30/1999

**Foreign Applications**

GERMANY 199 28 281.1 06/21/1999
GERMANY 100 23 085.7 05/11/2000

**If Required, Foreign Filing License Granted** 04/10/2002

**Projected Publication Date:** 08/29/2002

**Non-Publication Request:** No

**Early Publication Request:** No

RECEIVED
JUL 22 2002
T. X. WITKOWSKI

**Title**

Bicyclic heterocycles, pharmaceutical compositions containing these compounds, their use and processes for preparing them

**Preliminary Class**

424

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## LICENSE FOR FOREIGN FILING UNDER
## Title 35, United States Code, Section 184
## Title 37, Code of Federal Regulations, 5.11 & 5.15

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The applicant has been granted a license under 35 U.S.C. 184, if the phrase "IF REQUIRED, FOREIGN FILING LICENSE GRANTED" followed by a date appears on this form. Such licenses are issued in all applications where the conditions for issuance of a license have been met, regardless of whether or not a license may be required as set forth in 37 CFR 5.15. The scope and limitations of this license are set forth in 37 CFR 5.15(a) unless an earlier license has been issued under 37 CFR 5.15(b). The license is subject to revocation upon written notification. The date indicated is the effective date of the license, unless an earlier license of similar scope has been granted under 37 CFR 5.13 or 5.14.

This license is to be retained by the licensee and may be used at any time on or after the effective date thereof unless it is revoked. This license is automatically transferred to any related applications(s) filed under 37 CFR 1.53(d). This license is not retroactive.

The grant of a license does not in any way lessen the responsibility of a licensee for the security of the subject matter as imposed by any Government contract or the provisions of existing laws relating to espionage and the national security or the export of technical data. Licensees should apprise themselves of current regulations especially with respect to certain countries, of other agencies, particularly the Office of Defense Trade Controls, Department of State (with respect to Arms, Munitions and Implements of War (22 CFR 121-128)); the Office of Export Administration, Department of Commerce (15 CFR 370.10 (j)); the Office of Foreign Assets Control, Department of Treasury (31 CFR Parts 500+) and the Department of Energy.

**NOT GRANTED**

No license under 35 U.S.C. 184 has been granted at this time, if the phrase "IF REQUIRED, FOREIGN FILING LICENSE GRANTED" DOES NOT appear on this form. Applicant may still petition for a license under 37 CFR 5.12, if a license is desired before the expiration of 6 months from the filing date of the application. If 6 months has lapsed from the filing date of this application and the licensee has not received any indication of a secrecy order under 35 U.S.C. 181, the licensee may foreign file the application pursuant to 37 CFR 5.15(b).

UNITED STATES PATENT AND TRADEMARK OFFICE

UNITED STATES DEPARTMENT OF COMMERCE
United States Patent and Trademark Office
Address: COMMISSIONER FOR PATENTS
P.O. Box 1450
Alexandria, Virginia 22313-1450
www.uspto.gov

PKW

| APPLICATION NO. | FILING DATE | FIRST NAMED INVENTOR | ATTORNEY DOCKET NO. | CONFIRMATION NO. |
|---|---|---|---|---|
| 10/016,280 | 12/10/2001 | Frank Himmelsbach | 5/1262 | 7351 |

28505 7590 04/11/2006

MICHAEL P. MORRIS
BOEHRINGER INGELHEIM CORPORATION
900 RIDGEBURY ROAD
P. O. BOX 368
RIDGEFIELD, CT 06877-0368

Advisory Action

| EXAMINER | |
|---|---|
| TRUONG, TAMTHOM NGO | |
| ART UNIT | PAPER NUMBER |
| 1624 | |

DATE MAILED: 04/11/2006

3/11/06 Last Day

Please find below and/or attached an Office communication concerning this application or proceeding.

| Advisory Action Before the Filing of an Appeal Brief | Application No. 10/016,280 | Applicant(s) HIMMELSBACH ET AL. | |
|---|---|---|---|
| | Examiner Tamthom N. Truong | Art Unit 1624 | |

*--The MAILING DATE of this communication appears on the cover sheet with the correspondence address --*

THE REPLY FILED 13 March 2006 FAILS TO PLACE THIS APPLICATION IN CONDITION FOR ALLOWANCE.

1. ☒ The reply was filed after a final rejection, but prior to or on the same day as filing a Notice of Appeal. To avoid abandonment of this application, applicant must timely file one of the following replies: (1) an amendment, affidavit, or other evidence, which places the application in condition for allowance; (2) a Notice of Appeal (with appeal fee) in compliance with 37 CFR 41.31; or (3) a Request for Continued Examination (RCE) in compliance with 37 CFR 1.114. The reply must be filed within one of the following time periods:
   a) ☒ The period for reply expires 5 months from the mailing date of the final rejection.
   b) ☐ The period for reply expires on: (1) the mailing date of this Advisory Action, or (2) the date set forth in the final rejection, whichever is later. In no event, however, will the statutory period for reply expire later than SIX MONTHS from the mailing date of the final rejection.

   Examiner Note: If box 1 is checked, check either box (a) or (b). ONLY CHECK BOX (b) WHEN THE FIRST REPLY WAS FILED WITHIN TWO MONTHS OF THE FINAL REJECTION. See MPEP 706.07(f).

Extensions of time may be obtained under 37 CFR 1.136(a). The date on which the petition under 37 CFR 1.136(a) and the appropriate extension fee have been filed is the date for purposes of determining the period of extension and the corresponding amount of the fee. The appropriate extension fee under 37 CFR 1.17(a) is calculated from: (1) the expiration date of the shortened statutory period for reply originally set in the final Office action; or (2) as set forth in (b) above, if checked. Any reply received by the Office later than three months after the mailing date of the final rejection, even if timely filed, may reduce any earned patent term adjustment. See 37 CFR 1.704(b).

NOTICE OF APPEAL

2. ☐ The Notice of Appeal was filed on _____. A brief in compliance with 37 CFR 41.37 must be filed within two months of the date of filing the Notice of Appeal (37 CFR 41.37(a)), or any extension thereof (37 CFR 41.37(e)), to avoid dismissal of the appeal. Since a Notice of Appeal has been filed, any reply must be filed within the time period set forth in 37 CFR 41.37(a).

AMENDMENTS

3. ☐ The proposed amendment(s) filed after a final rejection, but prior to the date of filing a brief, will not be entered because
   (a) ☐ They raise new issues that would require further consideration and/or search (see NOTE below);
   (b) ☐ They raise the issue of new matter (see NOTE below);
   (c) ☐ They are not deemed to place the application in better form for appeal by materially reducing or simplifying the issues for appeal; and/or
   (d) ☐ They present additional claims without canceling a corresponding number of finally rejected claims.

   NOTE: _____. (See 37 CFR 1.116 and 41.33(a)).
4. ☐ The amendments are not in compliance with 37 CFR 1.121. See attached Notice of Non-Compliant Amendment (PTOL-324).
5. ☐ Applicant's reply has overcome the following rejection(s): _____.
6. ☐ Newly proposed or amended claim(s) _____ would be allowable if submitted in a separate, timely filed amendment canceling the non-allowable claim(s).
7. ☒ For purposes of appeal, the proposed amendment(s): a) ☐ will not be entered, or b) ☒ will be entered and an explanation of how the new or amended claims would be rejected is provided below or appended.

   The status of the claim(s) is (or will be) as follows:
   Claim(s) allowed: _____.
   Claim(s) objected to: *21 and 22*.
   Claim(s) rejected: *14-20*.
   Claim(s) withdrawn from consideration: _____.

AFFIDAVIT OR OTHER EVIDENCE

8. ☐ The affidavit or other evidence filed after a final action, but before or on the date of filing a Notice of Appeal will not be entered because applicant failed to provide a showing of good and sufficient reasons why the affidavit or other evidence is necessary and was not earlier presented. See 37 CFR 1.116(e).
9. ☐ The affidavit or other evidence filed after the date of filing a Notice of Appeal, but prior to the date of filing a brief, will not be entered because the affidavit or other evidence failed to overcome all rejections under appeal and/or appellant fails to provide a showing a good and sufficient reasons why it is necessary and was not earlier presented. See 37 CFR 41.33(d)(1).
10. ☐ The affidavit or other evidence is entered. An explanation of the status of the claims after entry is below or attached.

REQUEST FOR RECONSIDERATION/OTHER

11. ☒ The request for reconsideration has been considered but does NOT place the application in condition for allowance because: See attachment.
12. ☐ Note the attached Information Disclosure Statement(s). (PTO/SB/08 or PTO-1449) Paper No(s). _____
13. ☒ Other: attached Bib. Data Sheet.

## ADVISORY ACTION

Applicant's amendment of 3-13-06 has been considered. The request of withdrawing the previous rejection of Obviousness-type Double Patenting (ODP) has not been found persuasive for the following reason:

In applicant's response of 3-13-06, the ODP rejection was traversed on the grounds that the subject matter covered by the claims of the US patent was deemed patentably distinct and thus 2-way obviousness is required. This is not persuasive since the instant application was filed prior to the copending application of 10/ 023,099 (now US 7,019,012).

A review of the MPEP 804, section (a), pp. 88-23, August 2001 Ed. states that **where an application at issue is the earlier filed application, only a one-way determination** (for obviousness) is required **unless two conditions** are met. In the instant case prong A, i.e. sufficient evidence of administrative delay on the part of the PTO, has not been met, and thus, the rejection must be maintained.

### *Priority*

Receipt is acknowledged of priority documents of DE 199-28-281.1 & DE 100-23-085.7 submitted under 35 U.S.C. 119(a)-(d), which documents have been placed of record in the file.

---

Any inquiry concerning this communication or earlier communications from the examiner should be directed to Tamthom N. Truong whose telephone number is 571-272-0676. The examiner can normally be reached on M-F (9:30-6:00).

If attempts to reach the examiner by telephone are unsuccessful, the examiner's supervisor, James O. Wilson can be reached on 571-272-0661. The fax phone number for the organization where this application or proceeding is assigned is 571-273-8300.

Information regarding the status of an application may be obtained from the Patent Application Information Retrieval (PAIR) system. Status information for published applications may be obtained from either Private PAIR or Public PAIR. Status information for unpublished applications is available through Private PAIR only. For more information about the PAIR system, see http://pair-direct.uspto.gov. Should you have questions on access to the Private PAIR system, contact the Electronic Business Center (EBC) at 866-217-9197 (toll-free).

*Tamthom N. Truong*
*Examiner*
*Art Unit 1624*

***
3-29-06

EMILY BERNHARDT
PRIMARY EXAMINER
GROUP 1600

IN THE UNITED STATES PATENT AND TRADEMARK OFFICE

| | | | |
|---|---|---|---|
| Application of: | Frank Himmelsbach, et al) | Art Unit: | 1624 |
| Serial No.: | 10/016,280 ) | Examiner: | Tamthom Ngo Truong |
| Conf. No.: | 7351 ) | | |
| Filed: | December 10, 2001 | | |
| For: | Bicyclic heterocycles, pharmaceutical compositions containing these compounds, their use and processes for preparing them | | |
| Docket No.: | 5/1262 | | |

Commissioner for Patents
P.O. Box 1450
Alexandria, VA 22313-1450

**REQUEST FOR CORRECTION OF FILING RECEIPT**

Sir:

The undersigned respectfully requests correction of the Filing Receipt for Application Number 10/016,280, Confirmation No. 7351.

Please correct: the Domestic Priority data to state:

**-- This application is a CON of PCT/EP00/05547 06/16/2000 which claims benefit of 60/146,644 07/30/1999 --**

as noted on the attached marked copy of the Official Filing Receipt.

The undersigned hereby requests that the United States Patent and Trademark Office correct its records accordingly and issue a corrected Filing Receipt.

Respectfully submitted,

/Paula K. Wittmayer/

Paula K. Wittmayer, Reg. No. 53,785
Attorney for Applicant(s)

Patent Department
Boehringer Ingelheim Corp.
900 Ridgebury Road
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October 6, 2006

UNITED STATES PATENT AND TRADEMARK OFFICE

UNITED STATES DEPARTMENT OF COMMERCE
United States Patent and Trademark Office
Address: COMMISSIONER FOR PATENTS
P.O. Box 1450
Alexandria, Virginia 22313-1450
www.uspto.gov

| APPL NO. | FILING OR 371 (c) DATE | ART UNIT | FIL FEE REC'D | ATTY.DOCKET NO | DRAWINGS | TOT CLMS | IND CLMS |
|---|---|---|---|---|---|---|---|
| 10/016,280 | 12/10/2001 | 1624 | 1438 | 5/1262 | | 11 | 1 |

**CONFIRMATION NO. 7351**

**CORRECTED FILING RECEIPT**

*OC000000018304916*

28505
MICHAEL P. MORRIS
BOEHRINGER INGELHEIM CORPORATION
900 RIDGEBURY ROAD
P. O. BOX 368
RIDGEFIELD, CT 06877-0368

Date Mailed: 03/16/2006

Receipt is acknowledged of this regular Patent Application. It will be considered in its order and you will be notified as to the results of the examination. Be sure to provide the U.S. APPLICATION NUMBER, FILING DATE, NAME OF APPLICANT, and TITLE OF INVENTION when inquiring about this application. Fees transmitted by check or draft are subject to collection. Please verify the accuracy of the data presented on this receipt. **If an error is noted on this Filing Receipt, please mail to the Commissioner for Patents P.O. Box 1450 Alexandria Va 22313-1450. Please provide a copy of this Filing Receipt with the changes noted thereon. If you received a "Notice to File Missing Parts" for this application, please submit any corrections to this Filing Receipt with your reply to the Notice. When the USPTO processes the reply to the Notice, the USPTO will generate another Filing Receipt incorporating the requested corrections (if appropriate).**

**Applicant(s)**

Frank Himmelsbach, Mittelbiberach, GERMANY;
Elke Langkopf, Warthausen, GERMANY;
Thomas Metz, Wien, AUSTRIA;
Flavio Solca, Wien, AUSTRIA;
Birgit Jung, Schwabenheim, GERMANY;
Anke Baum, Alland, AUSTRIA;



**Power of Attorney:**

Robert Raymond--25089
Mary-Ellen Devlin--27928
Alan Stempel--28991
Timothy Witkowski--40232
Philip Datlow--41482
Anthony Bottino--41629
Susan Pocchiari--45016

**Domestic Priority data as claimed by applicant**

This application is a CON of PCT/EP00/05547 06/16/2000 which claims benefit of 60/146,644 07/30/1999

**Foreign Applications**

GERMANY 199 28 281.1 06/21/1999
GERMANY 100 23 085.7 05/11/2000

**If Required, Foreign Filing License Granted:** 04/10/2002

**The country code and number of your priority application, to be used for filing abroad under the Paris Convention, is US10/016,280**

**Projected Publication Date:** Not Applicable

**Non-Publication Request:** No

**Early Publication Request:** No

**Title**

Bicyclic heterocycles, pharmaceutical compositions containing these compounds, their use and processes for preparing them

**Preliminary Class**

544

## PROTECTING YOUR INVENTION OUTSIDE THE UNITED STATES

Since the rights granted by a U.S. patent extend only throughout the territory of the United States and have no effect in a foreign country, an inventor who wishes patent protection in another country must apply for a patent in a specific country or in regional patent offices. Applicants may wish to consider the filing of an international application under the Patent Cooperation Treaty (PCT). An international (PCT) application generally has the same effect as a regular national patent application in each PCT-member country. The PCT process **simplifies** the filing of patent applications on the same invention in member countries, but **does not result** in a grant of "an international patent" and does not eliminate the need of applicants to file additional documents and fees in countries where patent protection is desired.

Almost every country has its own patent law, and a person desiring a patent in a particular country must make an application for patent in that country in accordance with its particular laws. Since the laws of many countries differ in various respects from the patent law of the United States, applicants are advised to seek guidance from specific foreign countries to ensure that patent rights are not lost prematurely.

Applicants also are advised that in the case of inventions made in the United States, the Director of the USPTO must issue a license before applicants can apply for a patent in a foreign country. The filing of a U.S. patent application serves as a request for a foreign filing license. The application's filing receipt contains further information and guidance as to the status of applicant's license for foreign filing.

Applicants may wish to consult the USPTO booklet, "General Information Concerning Patents" (specifically, the section entitled "Treaties and Foreign Patents") for more information on timeframes and deadlines for filing foreign patent applications. The guide is available either by contacting the USPTO Contact Center at 800-786-9199, or it can be viewed on the USPTO website at http://www.uspto.gov/web/offices/pac/doc/general/index.html.

For information on preventing theft of your intellectual property (patents, trademarks and copyrights), you may wish to consult the U.S. Government website, http://www.stopfakes.gov. Part of a Department of Commerce initiative, this website includes self-help "toolkits" giving innovators guidance on how to protect intellectual property in specific countries such as China, Korea and Mexico. For questions regarding patent enforcement issues, applicants may call the U.S. Government hotline at 1-866-999-HALT (1-866-999-4158).

---

**LICENSE FOR FOREIGN FILING UNDER**
**Title 35, United States Code, Section 184**
**Title 37, Code of Federal Regulations, 5.11 & 5.15**

**GRANTED**

The applicant has been granted a license under 35 U.S.C. 184, if the phrase "IF REQUIRED, FOREIGN FILING LICENSE GRANTED" followed by a date appears on this form. Such licenses are issued in all applications where the conditions for issuance of a license have been met, regardless of whether or not a license may be required as set forth in 37 CFR 5.15. The scope and limitations of this license are set forth in 37 CFR 5.15(a) unless an earlier license has been issued under 37 CFR 5.15(b). The license is subject to revocation upon written notification. The date indicated is the effective date of the license, unless an earlier license of similar scope has been granted under 37 CFR 5.13 or 5.14.

This license is to be retained by the licensee and may be used at any time on or after the effective date thereof unless it is revoked. This license is automatically transferred to any related applications(s) filed under 37 CFR 1.53(d). This license is not retroactive.

The grant of a license does not in any way lessen the responsibility of a licensee for the security of the subject matter as imposed by any Government contract or the provisions of existing laws relating to esplonage and the national security or the export of technical data. Licensees should apprise themselves of current regulations especially with respect to certain countries, of other agencies, particularly the Office of Defense Trade Controls, Department of State (with respect to Arms, Munitions and Implements of War (22 CFR 121-128)); the Bureau of Industry and Security, Department of Commerce (15 CFR parts 730-774); the Office of Foreign Assets Control, Department of Treasury (31 CFR Parts 500+) and the Department of Energy.

**NOT GRANTED**

No license under 35 U.S.C. 184 has been granted at this time, if the phrase "IF REQUIRED, FOREIGN FILING LICENSE GRANTED" DOES NOT appear on this form. Applicant may still petition for a license under 37 CFR 5.12, if a license is desired before the expiration of 6 months from the filing date of the application. If 6 months has lapsed from the filing date of this application and the licensee has not received any indication of a secrecy order under 35 U.S.C. 181, the licensee may foreign file the application pursuant to 37 CFR 5.15(b).

UNITED STATES PATENT AND TRADEMARK OFFICE

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United States Patent and Trademark Office
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Alexandria, Virginia 22313-1450
www.uspto.gov

# NOTICE OF ALLOWANCE AND FEE(S) DUE



3.24.2007
4.24.2007

28505 7590 01/24/2007

MICHAEL P. MORRIS
BOEHRINGER INGELHEIM CORPORATION
900 RIDGEBURY ROAD
P. O. BOX 368
RIDGEFIELD, CT 06877-0368

| EXAMINER | |
|---|---|
| TRUONG, TAMTHOM NGO | |
| ART UNIT | PAPER NUMBER |
| 1624 | |

DATE MAILED: 01/24/2007

| APPLICATION NO. | FILING DATE | FIRST NAMED INVENTOR | ATTORNEY DOCKET NO. | CONFIRMATION NO. |
|---|---|---|---|---|
| 10/016,280 | 12/10/2001 | Frank Himmelsbach | 5/1262 | 7351 |

TITLE OF INVENTION: BICYCLIC HETEROCYCLES, PHARMACEUTICAL COMPOSITIONS CONTAINING THESE COMPOUNDS, THEIR USE AND PROCESSES FOR PREPARING THEM

| APPLN. TYPE | SMALL ENTITY | ISSUE FEE DUE | PUBLICATION FEE DUE | PREV. PAID ISSUE FEE | TOTAL FEE(S) DUE | DATE DUE |
|---|---|---|---|---|---|---|
| nonprovisional | NO | $1400 | $300 | $0 | $1700 | 04/24/2007 |

**THE APPLICATION IDENTIFIED ABOVE HAS BEEN EXAMINED AND IS ALLOWED FOR ISSUANCE AS A PATENT. PROSECUTION ON THE MERITS IS CLOSED. THIS NOTICE OF ALLOWANCE IS NOT A GRANT OF PATENT RIGHTS. THIS APPLICATION IS SUBJECT TO WITHDRAWAL FROM ISSUE AT THE INITIATIVE OF THE OFFICE OR UPON PETITION BY THE APPLICANT. SEE 37 CFR 1.313 AND MPEP 1308.**

**THE ISSUE FEE AND PUBLICATION FEE (IF REQUIRED) MUST BE PAID WITHIN THREE MONTHS FROM THE MAILING DATE OF THIS NOTICE OR THIS APPLICATION SHALL BE REGARDED AS ABANDONED. THIS STATUTORY PERIOD CANNOT BE EXTENDED. SEE 35 U.S.C. 151. THE ISSUE FEE DUE INDICATED ABOVE DOES NOT REFLECT A CREDIT FOR ANY PREVIOUSLY PAID ISSUE FEE IN THIS APPLICATION. IF AN ISSUE FEE HAS PREVIOUSLY BEEN PAID IN THIS APPLICATION (AS SHOWN ABOVE), THE RETURN OF PART B OF THIS FORM WILL BE CONSIDERED A REQUEST TO REAPPLY THE PREVIOUSLY PAID ISSUE FEE TOWARD THE ISSUE FEE NOW DUE.**

**HOW TO REPLY TO THIS NOTICE:**

I. Review the SMALL ENTITY status shown above.

If the SMALL ENTITY is shown as YES, verify your current SMALL ENTITY status:

A. If the status is the same, pay the TOTAL FEE(S) DUE shown above.

B. If the status above is to be removed, check box 5b on Part B - Fee(s) Transmittal and pay the PUBLICATION FEE (if required) and twice the amount of the ISSUE FEE shown above, or

If the SMALL ENTITY is shown as NO:

A. Pay TOTAL FEE(S) DUE shown above, or

B. If applicant claimed SMALL ENTITY status before, or is now claiming SMALL ENTITY status, check box 5a on Part B - Fee(s) Transmittal and pay the PUBLICATION FEE (if required) and 1/2 the ISSUE FEE shown above.

II. PART B - FEE(S) TRANSMITTAL, or its equivalent, must be completed and returned to the United States Patent and Trademark Office (USPTO) with your ISSUE FEE and PUBLICATION FEE (if required). If you are charging the fee(s) to your deposit account, section "4b" of Part B - Fee(s) Transmittal should be completed and an extra copy of the form should be submitted. If an equivalent of Part B is filed, a request to reapply a previously paid issue fee must be clearly made, and delays in processing may occur due to the difficulty in recognizing the paper as an equivalent of Part B.

III. All communications regarding this application must give the application number. Please direct all communications prior to issuance to Mail Stop ISSUE FEE unless advised to the contrary.

**IMPORTANT REMINDER: Utility patents issuing on applications filed on or after Dec. 12, 1980 may require payment of maintenance fees. It is patentee's responsibility to ensure timely payment of maintenance fees when due.**





✓

# PART B - FEE(S) TRANSMITTAL

**Complete and send this form, together with applicable fee(s), to: Mail** Mail Stop ISSUE FEE
Commissioner for Patents
P.O. Box 1450
Alexandria, Virginia 22313-1450
**or Fax** (571)-273-2885

INSTRUCTIONS: This form should be used for transmitting the ISSUE FEE and PUBLICATION FEE (if required). Blocks 1 through 5 should be completed when appropriate. All further correspondence including the Patent, advance orders and notification of maintenance fees will be mailed to the current correspondence address as indicated unless corrected below or directed otherwise in Block 1, by (a) specifying a new correspondence address; and/or (b) indicating a separate "FEE ADDRESS" for maintenance fee notifications.

CURRENT CORRESPONDENCE ADDRESS (Note: Use Block 1 for any change of address)

28505 7590 01/24/2007

MICHAEL P. MORRIS
BOEHRINGER INGELHEIM CORPORATION
900 RIDGEBURY ROAD
P. O. BOX 368
RIDGEFIELD, CT 06877-0368

Note: A certificate of mailing can only be used for domestic mailings of the Fee(s) Transmittal. This certificate cannot be used for any other accompanying papers. Each additional paper, such as an assignment or formal drawing, must have its own certificate of mailing or transmission.

**Certificate of Mailing or Transmission**
I hereby certify that this Fee(s) Transmittal is being deposited with the United States Postal Service with sufficient postage for first class mail in an envelope addressed to the Mail Stop ISSUE FEE address above, or being facsimile transmitted to the USPTO (571) 273-2885, on the date indicated below.

(Depositor's name)

(Signature)

(Date)

| APPLICATION NO. | FILING DATE | FIRST NAMED INVENTOR | ATTORNEY DOCKET NO. | CONFIRMATION NO. |
|---|---|---|---|---|
| 10/016,280 | 12/10/2001 | Frank Himmelsbach | 5/1262 | 7351 |

TITLE OF INVENTION: BICYCLIC HETEROCYCLES, PHARMACEUTICAL COMPOSITIONS CONTAINING THESE COMPOUNDS, THEIR USE AND PROCESSES FOR PREPARING THEM

| APPLN. TYPE | SMALL ENTITY | ISSUE FEE DUE | PUBLICATION FEE DUE | PREV. PAID ISSUE FEE | TOTAL FEE(S) DUE | DATE DUE |
|---|---|---|---|---|---|---|
| nonprovisional | NO | $1400 | $300 | $0 | $1700 | 04/24/2007 |

| EXAMINER | ART UNIT | CLASS-SUBCLASS |
|---|---|---|
| TRUONG, TAMTHOM NGO | 1624 | 514-266400 |

1. Change of correspondence address or indication of "Fee Address" (37 CFR 1.363).
☐ Change of correspondence address (or Change of Correspondence Address form PTO/SB/122) attached.
☐ "Fee Address" indication (or "Fee Address" Indication form PTO/SB/47; Rev 03-02 or more recent) attached. **Use of a Customer Number is required.**

2. For printing on the patent front page, list
(1) the names of up to 3 registered patent attorneys or agents OR, alternatively,
(2) the name of a single firm (having as a member a registered attorney or agent) and the names of up to 2 registered patent attorneys or agents. If no name is listed, no name will be printed.
1 _____
2 _____
3 _____

3. ASSIGNEE NAME AND RESIDENCE DATA TO BE PRINTED ON THE PATENT (print or type)

PLEASE NOTE: Unless an assignee is identified below, no assignee data will appear on the patent. If an assignee is identified below, the document has been filed for recordation as set forth in 37 CFR 3.11. Completion of this form is NOT a substitute for filing an assignment.

(A) NAME OF ASSIGNEE (B) RESIDENCE: (CITY and STATE OR COUNTRY)

Please check the appropriate assignee category or categories (will not be printed on the patent) : ☐ Individual ☐ Corporation or other private group entity ☐ Government

4a. The following fee(s) are submitted:
☐ Issue Fee
☐ Publication Fee (No small entity discount permitted)
☐ Advance Order - # of Copies _____

4b. Payment of Fee(s): **(Please first reapply any previously paid issue fee shown above)**
☐ A check is enclosed.
☐ Payment by credit card. Form PTO-2038 is attached.
☐ The Director is hereby authorized to charge the required fee(s), any deficiency, or credit any overpayment, to Deposit Account Number _____ (enclose an extra copy of this form).

5. **Change in Entity Status** (from status indicated above)
☐ a. Applicant claims SMALL ENTITY status. See 37 CFR 1.27. ☐ b. Applicant is no longer claiming SMALL ENTITY status. See 37 CFR 1.27(g)(2).

NOTE: The Issue Fee and Publication Fee (if required) will not be accepted from anyone other than the applicant; a registered attorney or agent; or the assignee or other party in interest as shown by the records of the United States Patent and Trademark Office.

Authorized Signature _____ Date _____

Typed or printed name _____ Registration No. _____

This collection of information is required by 37 CFR 1.311. The information is required to obtain or retain a benefit by the public which is to file (and by the USPTO to process) an application. Confidentiality is governed by 35 U.S.C. 122 and 37 CFR 1.14. This collection is estimated to take 12 minutes to complete, including gathering, preparing, and submitting the completed application form to the USPTO. Time will vary depending upon the individual case. Any comments on the amount of time you require to complete this form and/or suggestions for reducing this burden, should be sent to the Chief Information Officer, U.S. Patent and Trademark Office, U.S. Department of Commerce, P.O. Box 1450, Alexandria, Virginia 22313-1450. DO NOT SEND FEES OR COMPLETED FORMS TO THIS ADDRESS. SEND TO: Commissioner for Patents, P.O. Box 1450, Alexandria, Virginia 22313-1450.

Under the Paperwork Reduction Act of 1995, no persons are required to respond to a collection of information unless it displays a valid OMB control number.

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| APPLICATION NO. | FILING DATE | FIRST NAMED INVENTOR | ATTORNEY DOCKET NO. | CONFIRMATION NO. |
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28505 7590 01/24/2007

MICHAEL P. MORRIS
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900 RIDGEBURY ROAD
P. O. BOX 368
RIDGEFIELD, CT 06877-0368

| EXAMINER | |
|---|---|
| TRUONG, TAMTHOM NGO | |
| ART UNIT | PAPER NUMBER |
| 1624 | |

DATE MAILED: 01/24/2007

**Determination of Patent Term Adjustment under 35 U.S.C. 154 (b)**
(application filed on or after May 29, 2000)

The Patent Term Adjustment to date is 0 day(s). If the issue fee is paid on the date that is three months after the mailing date of this notice and the patent issues on the Tuesday before the date that is 28 weeks (six and a half months) after the mailing date of this notice, the Patent Term Adjustment will be 0 day(s).

If a Continued Prosecution Application (CPA) was filed in the above-identified application, the filing date that determines Patent Term Adjustment is the filing date of the most recent CPA.

Applicant will be able to obtain more detailed information by accessing the Patent Application Information Retrieval (PAIR) WEB site (http://pair.uspto.gov).

Any questions regarding the Patent Term Extension or Adjustment determination should be directed to the Office of Patent Legal Administration at (571)-272-7702. Questions relating to issue and publication fee payments should be directed to the Customer Service Center of the Office of Patent Publication at 1-(888)-786-0101 or (571)-272-4200.

| Notice of Allowability | Application No. | Applicant(s) | |
|---|---|---|---|
| | 10/016,280 | HIMMELSBACH ET AL. | |
| | Examiner | Art Unit | |
| | Tamthom N. Truong | 1624 | |

*-- The MAILING DATE of this communication appears on the cover sheet with the correspondence address--*

All claims being allowable, PROSECUTION ON THE MERITS IS (OR REMAINS) CLOSED in this application. If not included herewith (or previously mailed), a Notice of Allowance (PTOL-85) or other appropriate communication will be mailed in due course. **THIS NOTICE OF ALLOWABILITY IS NOT A GRANT OF PATENT RIGHTS.** This application is subject to withdrawal from issue at the initiative of the Office or upon petition by the applicant. See 37 CFR 1.313 and MPEP 1308.

1. ☒ This communication is responsive to *RCE and amdt of 10-6-06*.

2. ☒ The allowed claim(s) is/are *14-22*.

3. ☒ Acknowledgment is made of a claim for foreign priority under 35 U.S.C. § 119(a)-(d) or (f).
   a) ☒ All b) ☐ Some* c) ☐ None of the:
      1. ☒ Certified copies of the priority documents have been received.
      2. ☐ Certified copies of the priority documents have been received in Application No. _____ .
      3. ☐ Copies of the certified copies of the priority documents have been received in this national stage application from the International Bureau (PCT Rule 17.2(a)).

   * Certified copies not received: _____.

Applicant has THREE MONTHS FROM THE "MAILING DATE" of this communication to file a reply complying with the requirements noted below. Failure to timely comply will result in ABANDONMENT of this application.
**THIS THREE-MONTH PERIOD IS NOT EXTENDABLE.**

4. ☐ A SUBSTITUTE OATH OR DECLARATION must be submitted. Note the attached EXAMINER'S AMENDMENT or NOTICE OF INFORMAL PATENT APPLICATION (PTO-152) which gives reason(s) why the oath or declaration is deficient.

5. ☐ CORRECTED DRAWINGS ( as "replacement sheets") must be submitted.
   (a) ☐ including changes required by the Notice of Draftsperson's Patent Drawing Review ( PTO-948) attached
      1) ☐ hereto or 2) ☐ to Paper No./Mail Date _____.
   (b) ☐ including changes required by the attached Examiner's Amendment / Comment or in the Office action of Paper No./Mail Date _____.

   **Identifying indicia such as the application number (see 37 CFR 1.84(c)) should be written on the drawings in the front (not the back) of each sheet. Replacement sheet(s) should be labeled as such in the header according to 37 CFR 1.121(d).**

6. ☐ DEPOSIT OF and/or INFORMATION about the deposit of BIOLOGICAL MATERIAL must be submitted. Note the attached Examiner's comment regarding REQUIREMENT FOR THE DEPOSIT OF BIOLOGICAL MATERIAL.

**Attachment(s)**

1. ☒ Notice of References Cited (PTO-892)
2. ☐ Notice of Draftperson's Patent Drawing Review (PTO-948)
3. ☒ Information Disclosure Statements (PTO/SB/08), Paper No./Mail Date 9-7-04
4. ☐ Examiner's Comment Regarding Requirement for Deposit of Biological Material
5. ☐ Notice of Informal Patent Application
6. ☐ Interview Summary (PTO-413), Paper No./Mail Date _____ .
7. ☒ Examiner's Amendment/Comment
8. ☒ Examiner's Statement of Reasons for Allowance
9. ☐ Other _____.

JAMES O. WILSON
SUPERVISORY PATENT EXAMINER
TECHNOLOGY CENTER 1600

## EXAMINER'S AMENDMENT

An examiner's amendment to the record appears below. Should the changes and/or additions be unacceptable to applicant, an amendment may be filed as provided by 37 CFR 1.312. To ensure consideration of such an amendment, it MUST be submitted no later than the payment of the issue fee.

In the specification:

Below the title, insert the following sentence:

– This is a continuation of PCT/EP 00/05547 filed on 6/16/00. –

***Continued Examination Under 37 CFR 1.114***

A request for continued examination under 37 CFR 1.114, including the fee set forth in 37 CFR 1.17(e), was filed in this application after final rejection. Since this application is eligible for continued examination under 37 CFR 1.114, and the fee set forth in 37 CFR 1.17(e) has been timely paid, the finality of the previous Office action has been withdrawn pursuant to 37 CFR 1.114. Applicant's submission filed on 10-6-06 has been entered.

***Allowable Subject Matter***

Applicant's filing of the terminal disclaimer has overcome the previous rejection of Obviousness-type Double Patenting. Thus, said rejection is now withdrawn.

With no other outstanding rejection, pending claims 14-22 are allowed.

***Reasons for Allowance***

The following is an examiner's statement of reasons for allowance:

> The invention is drawn to substituted quinazolinyl compounds having two side chains of A-B-C-D-E and $R_c$. The prior art of record fails to teach or fairly suggest the combination of substituents equivalent to those side chains. The reference of Barth et. al. (US 2004/0158065 A1) teaches related compounds; however, its effective filing date does not antedate the filing date of this application. Therefore, it is not a competent

prior art.

Any comments considered necessary by applicant must be submitted no later than the payment of the issue fee and, to avoid processing delays, should preferably accompany the issue fee. Such submissions should be clearly labeled "Comments on Statement of Reasons for Allowance."

---

Any inquiry concerning this communication or earlier communications from the examiner should be directed to Tamthom N. Truong whose telephone number is 571-272-0676. The examiner can normally be reached on M, T and Th (9:00-5:30).

If attempts to reach the examiner by telephone are unsuccessful, the examiner's supervisor, James O. Wilson can be reached on 571-272-0661. The fax phone number for the organization where this application or proceeding is assigned is 571-273-8300.

Information regarding the status of an application may be obtained from the Patent Application Information Retrieval (PAIR) system. Status information for published applications may be obtained from either Private PAIR or Public PAIR. Status information for unpublished applications is available through Private PAIR only. For more information about the PAIR system, see http://pair-direct.uspto.gov. Should you have questions on access to the Private PAIR system, contact the Electronic Business Center (EBC) at 866-217-9197 (toll-free). If you would like assistance from a USPTO Customer Service Representative or access to the automated information system, call 800-786-9199 (IN USA OR CANADA) or 571-272-1000.

*Tamthom N. Truong*
*Examiner*
*Art Unit 1624*

JAMES O. WILSON
SUPERVISORY PATENT EXAMINER
TECHNOLOGY CENTER 1600

***
1-18-07

SEP - 9 2004



PTO/SB/08a (08-03)
Approved for use through 07/31/2006. OMB 0651-0031
U.S. Patent and Trademark Office; U.S. DEPARTMENT OF COMMERCE



Substitute for form 1449A/PTO

# INFORMATION DISCLOSURE STATEMENT BY APPLICANT

*(Use as many sheets as necessary)*

Sheet 1 of 2

| Complete if Known | |
|---|---|
| Application Number | 10/016,280 |
| Filing Date | December 10, 2001 |
| First Named Inventor | Frank Himmelsbach |
| Art Unit | 1624 |
| Examiner Name | ~~Patel, S.~~ T. TRUONG |
| Attorney Docket Number | 5/1262 |

## U. S. PATENT DOCUMENTS

| Examiner Initials* | Cite No.[1] | Document Number Number-Kind Code[2] (if known) | Publication Date MM-DD-YYYY | Name of Patentee or Applicant of Cited Document | Pages, Columns, Lines, Where Relevant Passages or Relevant Figures Appear |
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## FOREIGN PATENT DOCUMENTS

| Examiner Initials* | Cite No.[1] | Foreign Patent Document Country Code[3] Number[4] Kind Code[5] (if known) | Publication Date MM-DD-YYYY | Name of Patentee or Applicant of Cited Document | Pages, Columns, Lines, Where Relevant Passages or Relevant Figures Appear | T[6] |
|---|---|---|---|---|---|---|
| TM | ✓ | WO 95/20045 | 07/27/1995 | The Institute of Cancer Research, Royal Cancer Hosp. | | |
| | ✓ | WO 99/35146 | 07/15/1999 | Glaxo Group Limited | | |
| | ✓ | WO 97/02266 | 01/23/1997 | CIBA-Geigy AG | | |
| | ✓ | WO 00/31068 | 06/02/2000 | Berlex Laboratories | | |
| TM | ✓ | WO 96/30347 | 10/03/1996 | Pfizer Inc. | | |

| Examiner Signature | | Date Considered | 1/18/07 |
|---|---|---|---|

*EXAMINER: Initial if reference considered, whether or not citation is in conformance with MPEP 609. Draw line through citation if not in conformance and not considered. Include copy of this form with next communication to applicant. 1 Applicant's unique citation designation number (optional). 2 See Kinds Codes of USPTO Patent Documents at www.uspto.gov or MPEP 901.04. 3 Enter Office that issued the document, by the two-letter code (WIPO Standard ST.3). 4 For Japanese patent documents, the indication of the year of the reign of the Emperor must precede the serial number of the patent document. 5 Kind of document by the appropriate symbols as indicated on the document under WIPO Standard ST.16 if possible. 6 Applicant is to place a check mark here if English language Translation is attached.

SEP - 9 2004



PTO/SB/08a (08-03)
Approved for use through 07/31/2006. OMB 0651-0031
U.S. Patent and Trademark Office; U.S. DEPARTMENT OF COMMERCE
Under the Paperwork Reduction Act of 1995, no persons are required to respond to a collection of information unless it contains a valid OMB control number.

Substitute for form 1449A/PTO

# INFORMATION DISCLOSURE STATEMENT BY APPLICANT

*(Use as many sheets as necessary)*

Sheet 2 of 2

| Complete If Known | |
|---|---|
| Application Number | 10/016,280 |
| Filing Date | December 10, 2001 |
| First Named Inventor | Frank Himmelsbach |
| Art Unit | 1624 |
| Examiner Name | ~~Patel, S.~~ T-Truong |
| Attorney Docket Number | 5/1262 |

## U. S. PATENT DOCUMENTS

| Examiner Initials* | Cite No.[1] | Document Number Number-Kind Code[2] (if known) | Publication Date MM-DD-YYYY | Name of Patentee or Applicant of Cited Document | Pages, Columns, Lines, Where Relevant Passages or Relevant Figures Appear |
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## FOREIGN PATENT DOCUMENTS

| Examiner Initials* | Cite No.[1] | Foreign Patent Document Country Code[3] Number[4] Kind Code[5] (if known) | Publication Date MM-DD-YYYY | Name of Patentee or Applicant of Cited Document | Pages, Columns, Lines, Where Relevant Passages or Relevant Figures Appear | T[6] |
|---|---|---|---|---|---|---|
| TM | ✓ | WO 96/33980 | 10/31/1996 | Zeneca Limited | | |
| TM | ✓ | WO 98/43960 | 10/08/1998 | American Cyanamid | | |
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| | | | | | | |

| Examiner Signature | | Date Considered | 1/18/07 |
|---|---|---|---|

*EXAMINER: Initial if reference considered, whether or not citation is in conformance with MPEP 609. Draw line through citation if not in conformance and not considered. Include copy of this form with next communication to applicant. [1] Applicant's unique citation designation number (optional). [2] See Kinds Codes of USPTO Patent Documents at www.uspto.gov or MPEP 901.04. [3] Enter Office that issued the document, by the two-letter code (WIPO Standard ST.3). [4] For Japanese patent documents, the indication of the year of the reign of the Emperor must precede the serial number of the patent document. [5] Kind of document by the appropriate symbols as indicated on the document under WIPO Standard ST.16 if possible. [6] Applicant is to place a check mark here if English language Translation is attached.

This collection of information is required by 37 CFR 1.97 and 1.98. The information is required to obtain or retain a benefit by the public which is to file (and by the USPTO to process) an application. Confidentiality is governed by 35 U.S.C. 122 and 37 CFR 1.14. This collection is estimated to take 2 hours to complete, including gathering, preparing, and submitting the completed application form to the USPTO. Time will vary depending upon the individual case. Any comments on the amount of time you require to complete this form and/or suggestions for reducing this burden, should be sent to the Chief Information Officer, U.S. Patent and Trademark Office, U.S. Department of Commerce, P.O. Box 1450, Alexandria, VA 22313-1450. DO NOT SEND FEES OR COMPLETED FORMS TO THIS ADDRESS. **SEND TO: Commissioner for Patents, P.O. Box 1450, Alexandria, VA 22313-1450.**

*If you need assistance in completing the form, call 1-800-PTO-9199 and select option 2.*

**EXAMINER'S AMENDMENT**

An examiner's amendment to the record appears below. Should the changes and/or additions be unacceptable to applicant, an amendment may be filed as provided by 37 CFR 1.312. To ensure consideration of such an amendment, it MUST be submitted no later than the payment of the issue fee.

Please enter TNT 1/18/07

In the specification:

Below the title, insert the following sentence:

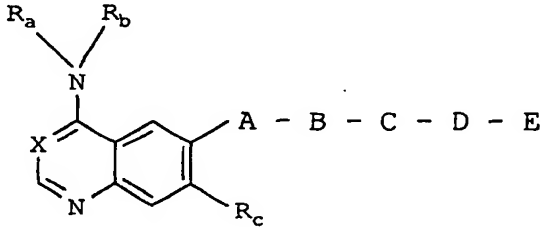
– This is a continuation of PCT/EP 00/05547 filed on 6/16/00. –

Case 5/1262

# BICYCLIC HETEROCYCLES, PHARMACEUTICAL COMPOSITIONS CONTAINING THESE COMPOUNDS, THEIR USE AND PROCESSES FOR PREPARING THEM

This is a continuation of PCT/EP 00/05547 filed on 6/16/00.

The present invention relates to bicyclic heterocycles of general formula



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the tautomers, the stereoisomers and the salts thereof, particularly the physiologically acceptable salts thereof with inorganic or organic acids or bases which have valuable pharmacological properties, particularly an inhibitory effect on signal transduction mediated by tyrosine kinases, the use thereof for treating diseases, particularly tumoral diseases, diseases of the lungs and respiratory tract, and the preparation thereof.

In the above general formula I:

$R_a$ denotes a hydrogen atom or a $C_{1-4}$-alkyl group,

$R_b$ denotes a phenyl, benzyl or 1-phenylethyl group wherein the phenyl nucleus is substituted in each case by the groups $R_1$ to $R_3$, whilst

$R_1$ and $R_2$, which may be identical or different, in each case denote a hydrogen, fluorine, chlorine, bromine or iodine atom,

a $C_{1-4}$-alkyl, hydroxy, $C_{1-4}$-alkoxy, $C_{3-6}$-cycloalkyl, $C_{4-6}$-cycloalkoxy, $C_{2-5}$-alkenyl or $C_{2-5}$-alkynyl group,

an aryl, aryloxy, arylmethyl or arylmethoxy group,

a $C_{3-5}$-alkenyloxy or $C_{3-5}$-alkynyloxy group, whilst the unsaturated moiety may not be linked to the oxygen atom,

| Notice of References Cited | Application/Control No. 10/016,280 | Applicant(s)/Patent Under Reexamination HIMMELSBACH ET AL. | |
|---|---|---|---|
| | Examiner Tamthom N. Truong | Art Unit 1624 | Page 1 of 1 |

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| * | | Document Number Country Code-Number-Kind Code | Date MM-YYYY | Name | Classification |
|---|---|---|---|---|---|
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| | B | US- | | | |
| | C | US- | | | |
| | D | US- | | | |
| | E | US- | | | |
| | F | US- | | | |
| | G | US- | | | |
| | H | US- | | | |
| | I | US- | | | |
| | J | US- | | | |
| | K | US- | | | |
| | L | US- | | | |
| | M | US- | | | |

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**NON-PATENT DOCUMENTS**

| * | | Include as applicable: Author, Title Date, Publisher, Edition or Volume, Pertinent Pages) |
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| | U | |
| | V | |
| | W | |
| | X | |

*A copy of this reference is not being furnished with this Office action. (See MPEP § 707.05(a).)
Dates in MM-YYYY format are publication dates. Classifications may be US or foreign.



1/18/07

**IN THE UNITED STATES PATENT AND TRADEMARK OFFICE**

| | | | |
|---|---|---|---|
| Application of | : Himmelsbach, Frank et al. | ) Art Unit: | 1624 |
| U.S. Patent No. | : 7,220,750 | ) Examiner: | Truong, Tamthom N. |
| Issue Date | : May 22, 2007 | | |
| U.S. Appln. No. | : 10/016,280 | | |
| U.S. Filing Date | : December 10, 2001 | | |
| Title of Invention | : Bicyclic Heterocycles, Pharmaceutical Compositions containing these Compounds, Their Use and Processes for Preparing Them | | |
| Attny. Docket No. | : 5/1262 | | |

June 6, 2007

Commissioner for Patents
Office of Patent Publication
ATTN: Certificate of Correction Branch
Alexandria, VA 22313-1450

**REQUEST FOR CERTIFICATE OF CORRECTION**

Sir:

The undersigned respectfully requests the issuance of a Certificate of Correction for the U.S. Patent No. 7,220,750 to correct the error indicated below.

On Page 1 of the patent under the heading Related U.S. Application Data, please correct the entry to read:

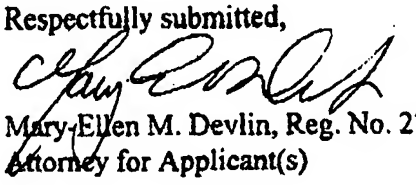
> Continuation of Application No. PCT/EP00/05547, filed June 16, 2000, which claimed the benefit of Provisional Application No. 60/146,644, filed July 30, 1999, and German Application Nos. DE 199280281.1 and DE 10023085.7, filed June 21, 1999 and May 11, 2000, respectively.

In conjunction with this request for a Certificate of Correction, Applicants' attorney has also filed a petition to correct the claim of benefit under CFR § 1.78.

The undersigned hereby requests that the United States Patent and Trademark Office correct its records accordingly and issue a Certificate of Correction.

If it is determined that a fee is due in connection with this submission, the Commissioner is hereby authorized to charge such fee to Deposit Account No. 02-2955.

Respectfully submitted,



Mary-Ellen M. Devlin, Reg. No. 27,928
Attorney for Applicant(s)

Patent Department
Boehringer Ingelheim Corp.
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Date: June 6, 2007

PTO/SB/44 (04-05)
Approved for use through 04/30/2007. OMB 0651-0033
U.S. Patent and Trademark Office; U.S. DEPARTMENT OF COMMERCE

(Also Form PTO-1050)

# UNITED STATES PATENT AND TRADEMARK OFFICE
## CERTIFICATE OF CORRECTION



PATENT NO. : 7,220,750

APPLICATION NO.: 10/016,280

ISSUE DATE : May 22, 2007

INVENTOR(S) : Frank Himmelsbach, et al

It is certified that an error appears or errors appear in the above-identified patent and that said Letters Patent is hereby corrected as shown below:

On Page 1 of U.S. Patent No. 7,220,750, under the heading Related U.S. Application Data, please correct the entry to read:

Continuation of Application No. PCT/EP00/05547, filed June 16, 2000, which claimed the benefit of Provisional Application No. 60/146,644, filed July 30, 1999, and German Application Nos. DE 199280281.1 and DE 10023085.7, filed June 21, 1999 and Mary 11, 2000, respectively.

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